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(FILE 'HOME' ENTERED AT 10:23:25 ON 22 NOV 2005)

FILE 'HCAPLUS' ENTERED AT 10:23:51 ON 22 NOV 2005

E US2003-662046/APPS

L1 1 SEA ABB=ON PLU=ON US2003-662046/AP
SEL RN

FILE 'REGISTRY' ENTERED AT 10:24:26 ON 22 NOV 2005

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L3 43 SEA ABB=ON PLU=ON L2 AND N>2
L4 43 SEA ABB=ON PLU=ON L3 AND O>1
L5 43 SEA ABB=ON PLU=ON L4 AND NRS>1

FILE 'HCAPLUS' ENTERED AT 10:25:20 ON 22 NOV 2005

L6 1 SEA ABB=ON PLU=ON L1 AND L5
D IALL HITSTR

FILE 'REGISTRY' ENTERED AT 10:25:59 ON 22 NOV 2005

L7 STR
L8 10 SEA SSS SAM L7
L9 290 SEA SSS FUL L7
L*** DEL 29 S L9 AND L2
L*** DEL 29 S L5 AND L10

FILE 'HCAPLUS' ENTERED AT 10:29:17 ON 22 NOV 2005

L10 15 SEA ABB=ON PLU=ON L9

FILE 'BEILSTEIN' ENTERED AT 10:29:33 ON 22 NOV 2005

L11 2 SEA SSS FUL L7
L12 2 SEA ABB=ON PLU=ON L11 NOT L10
L13 2 SEA ABB=ON PLU=ON L11 NOT L9

FILE 'REGISTRY' ENTERED AT 10:30:49 ON 22 NOV 2005

L14 10 SEA ABB=ON PLU=ON L9 AND C3/ES
L15 8 SEA ABB=ON PLU=ON L14 AND F/ELS
L16 6 SEA ABB=ON PLU=ON L15 AND S/ELS
D SCA

FILE 'HCAPLUS' ENTERED AT 10:37:30 ON 22 NOV 2005

L17 1 SEA ABB=ON PLU=ON L16

FILE 'REGISTRY' ENTERED AT 10:37:40 ON 22 NOV 2005

L18 201 SEA ABB=ON PLU=ON L9 AND "4-CHLOROPHENYL"
L19 39 SEA ABB=ON PLU=ON L18 AND "BIPHENYL"
L20 21 SEA ABB=ON PLU=ON L19 AND "3-FLUORO"
L21 2 SEA ABB=ON PLU=ON L20 AND "PROPIONAMIDE"
D SCA

FILE 'HCAPLUS' ENTERED AT 10:40:57 ON 22 NOV 2005

L22 1 SEA ABB=ON PLU=ON L21

FILE HOME

FILE HCAPLUS

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FILE COVERS 1907 - 22 Nov 2005 VOL 143 ISS 22
FILE LAST UPDATED: 21 Nov 2005 (20051121/ED)

New CAS Information Use Policies, enter HELP USAGETERMS for details.

This file contains CAS Registry Numbers for easy and accurate substance identification.

FILE REGISTRY

Property values tagged with IC are from the ZIC/VINITI data file provided by InfoChem.

STRUCTURE FILE UPDATES: 21 NOV 2005 HIGHEST RN 868586-21-4
DICTIONARY FILE UPDATES: 21 NOV 2005 HIGHEST RN 868586-21-4

New CAS Information Use Policies, enter HELP USAGETERMS for details.

TSCA INFORMATION NOW CURRENT THROUGH JULY 14, 2005

Please note that search-term pricing does apply when

conducting SmartSELECT searches.

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*
* The CA roles and document type information have been removed from
* the IDE default display format and the ED field has been added,
* effective March 20, 2005. A new display format, IDERL, is now
* available and contains the CA role and document type information.
*
*****
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Structure search iteration limits have been increased. See HELP SLIMITS for details.

REGISTRY includes numerically searchable data for experimental and predicted properties as well as tags indicating availability of experimental property data in the original document. For information on property searching in REGISTRY, refer to:

<http://www.cas.org/ONLINE/UG/regprops.html>

FILE BEILSTEIN

FILE LAST UPDATED ON OCTOBER 10, 2005

FILE COVERS 1771 TO 2005.

FILE CONTAINS 9,363,954 SUBSTANCES

>>>PLEASE NOTE: Reaction Data and substance data are stored in separate documents and can not be searched together in one query. Reaction data for BEILSTEIN compounds may be displayed immediately with the display codes PRE (preparations) and REA (reactions). A substance answer set retrieved after the search for a chemical name, a compounds with available reaction information by combining with PRE/FA, REA/FA or more generally with RX/FA. The BEILSTEIN Registry Number (BRN) is the link between a BEILSTEIN compound and belonging reactions. For more detailed reaction searches BRNs can be searched as reaction partner BRNs Reactant BRN (RX.RBRN) or Product BRN (RX.PBRN).<<<

>>> FOR SEARCHING PREPARATIONS SEE HELP PRE <<<

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*****
* PLEASE NOTE THAT THERE ARE NO FORMATS FREE OF COST.
* SET NOTICE FEATURE: THE COST ESTIMATES CALCULATED FOR SET NOTICE
* ARE BASED ON THE HIGHEST PRICE CATEGORY. THEREFORE; THESE
* ESTIMATES MAY NOT REFLECT THE ACTUAL COSTS.
* FOR PRICE INFORMATION SEE HELP COST
*****
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NEW

- * PATENT NUMBERS (PN) AND BABS ACCESSION NUMBERS (BABSAN) CAN NOW BE SEARCHED, SELECTED AND TRANSFERRED.
- * NEW DISPLAY FORMATS ALLREF, ALLP AND BABSAN SHOW ALL REFERENCES, ALL PATENT REFERENCES, OR ALL BABS ACCESSION NUMBERS FOR A COMPOUND AT A GLANCE.

=> fil hcap

FILE 'HCAPLUS' ENTERED AT 10:41:17 ON 22 NOV 2005

USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT.

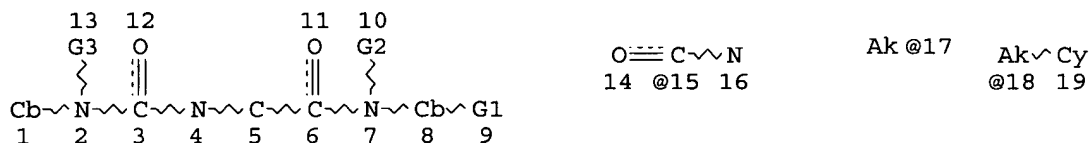
PLEASE SEE "HELP USAGETERMS" FOR DETAILS.

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New CAS Information Use Policies, enter HELP USAGETERMS for details.

This file contains CAS Registry Numbers for easy and accurate substance identification.

L7 STR



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VAR G1=CY/15
VAR G2=H/17/CY/18
VAR G3=H/AK/CY
NODE ATTRIBUTES:
NSPEC      IS RC      AT      5
NSPEC      IS RC      AT      16
CONNECT IS E1      RC AT      17
DEFAULT MLEVEL IS ATOM
DEFAULT ELEVEL IS LIMITED

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GRAPH ATTRIBUTES:
RING(S) ARE ISOLATED OR EMBEDDED
NUMBER OF NODES IS 19

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STEREO ATTRIBUTES: NONE
L9          290 SEA FILE=REGISTRY SSS FUL L7
L10         15 SEA FILE=HCAPLUS ABB=ON  PLU=ON  L9
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L10 ANSWER 1 OF 15 HCAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 2004:738402 HCAPLUS
DOCUMENT NUMBER: 141:243828
TITLE: Synthesis of amino acid ethylene derivatives for use
as coagulation factor Xa inhibitors for treatment of
disease
INVENTOR(S): Mederski, Werner; Tsaklakidis, Christos; Dorsch,
Dieter; Cezanne, Bertram; Gleitz, Johannes; Van

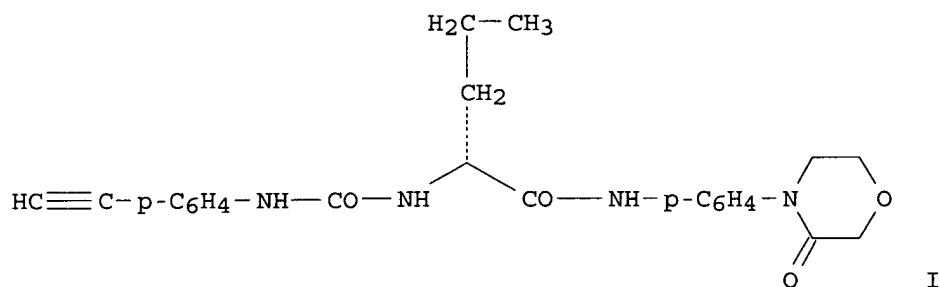
Amsterdam, Christoph
 PATENT ASSIGNEE(S): Merck Patent GmbH, Germany
 SOURCE: Ger. Offen., 19 pp.
 CODEN: GWXXBX
 DOCUMENT TYPE: Patent
 LANGUAGE: German
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
DE 10308907	A1	20040909	DE 2003-10308907	20030228
CA 2517391	AA	20040910	CA 2004-2517391	20040130
WO 2004076429	A1	20040910	WO 2004-EP817	20040130

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI
 RW: BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

PRIORITY APPLN. INFO.: DE 2003-10308907 A 20030228
 WO 2004-EP817 W 20040130

OTHER SOURCE(S): MARPAT 141:243828
 GI



AB Title compds., e.g., (I), were prepared and tested for use as inhibitors of coagulation factors Xa and VIIa, for treatment of thromboembolic illnesses or tumors. Thus, Fmoc-D-Nva-OH (Nva = norvaline) was reacted with 4-(3-oxo-4-morpholinyl)aniline, the intermediate Fmoc-deprotected, and the product coupled with 4-ethynylaniline to give I. I had IC50 affinities for factor Xa or VIIa receptors, resp., of 2.5×10^{-8} M and 8.8×10^{-8} M (no exptl. details given).

IT **749250-59-7P**

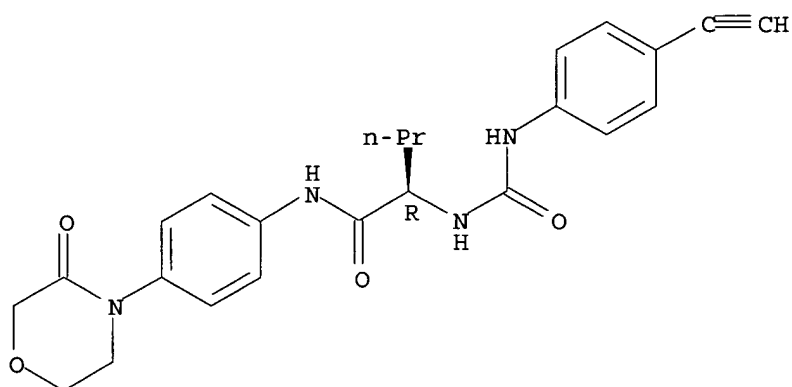
RL: BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of amino acid ethylene derivs. for use as coagulation factor Xa inhibitors for treatment of disease)

RN 749250-59-7 HCAPLUS

CN Pentanamide, 2-[[[(4-ethynylphenyl)amino]carbonyl]amino]-N-[4-(3-oxo-4-morpholinyl)phenyl]-, (2R)-(9CI) (CA INDEX NAME)

Absolute stereochemistry.



IT 749250-60-0 749250-61-1 749250-62-2

749250-64-4

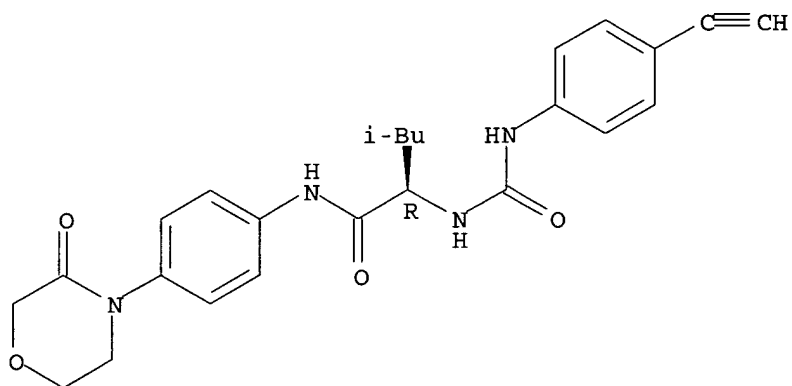
RL: BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)

(preparation of amino acid ethylene derivs. for use as coagulation factor Xa inhibitors for treatment of disease)

RN 749250-60-0 HCAPLUS

CN Pentanamide, 2-[[[(4-ethynylphenyl)amino]carbonyl]amino]-4-methyl-N-[4-(3-oxo-4-morpholinyl)phenyl]-, (2R)- (9CI) (CA INDEX NAME)

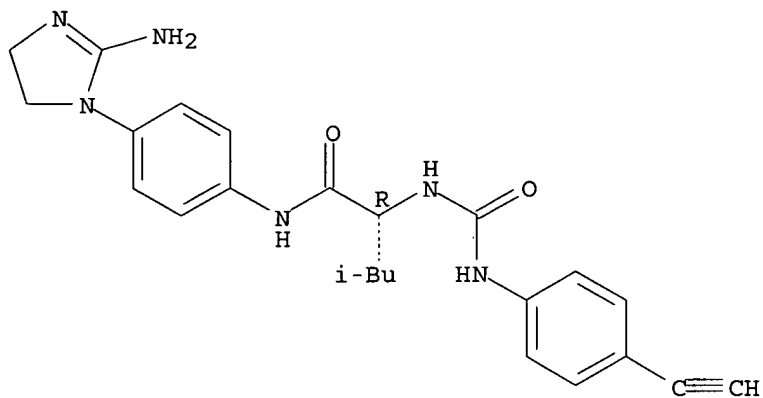
Absolute stereochemistry.



RN 749250-61-1 HCAPLUS

CN Pentanamide, N-[4-(2-amino-4,5-dihydro-1H-imidazol-1-yl)phenyl]-2-[[[(4-ethynylphenyl)amino]carbonyl]amino]-4-methyl-, (2R)- (9CI) (CA INDEX NAME)

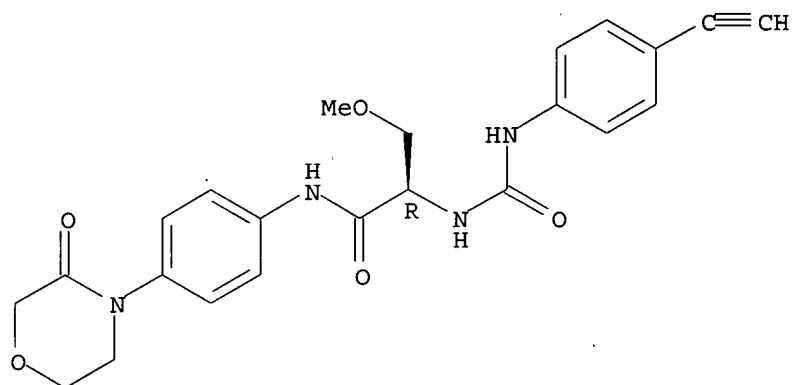
Absolute stereochemistry.



RN 749250-62-2 HCAPLUS

CN Propanamide, 2-[[[(4-ethynylphenyl)amino]carbonyl]amino]-3-methoxy-N-[4-(3-oxo-4-morpholinyl)phenyl]-, (2R)- (9CI) (CA INDEX NAME)

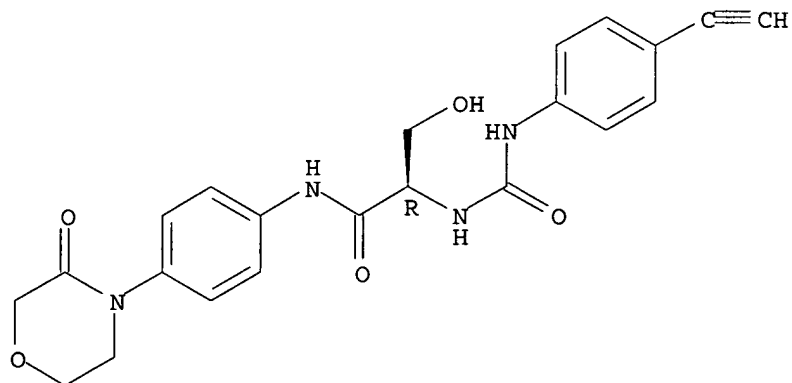
Absolute stereochemistry.



RN 749250-64-4 HCAPLUS

CN Propanamide, 2-[[[(4-ethynylphenyl)amino]carbonyl]amino]-3-hydroxy-N-[4-(3-oxo-4-morpholinyl)phenyl]-, (2R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



IT 749250-66-6 749250-67-7 749250-68-8

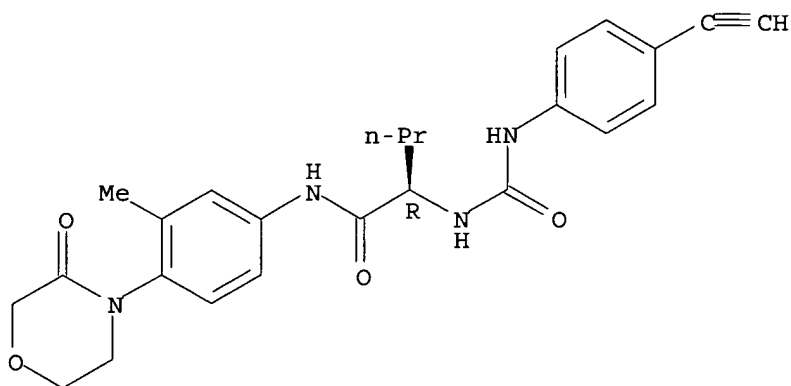
RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)

(preparation of amino acid ethylene derivs. for use as coagulation factor Xa inhibitors for treatment of disease)

RN 749250-66-6 HCAPLUS

CN Pentanamide, 2-[[[(4-ethynylphenyl)amino]carbonyl]amino]-N-[3-methyl-4-(3-oxo-4-morpholinyl)phenyl]-, (2R)- (9CI) (CA INDEX NAME)

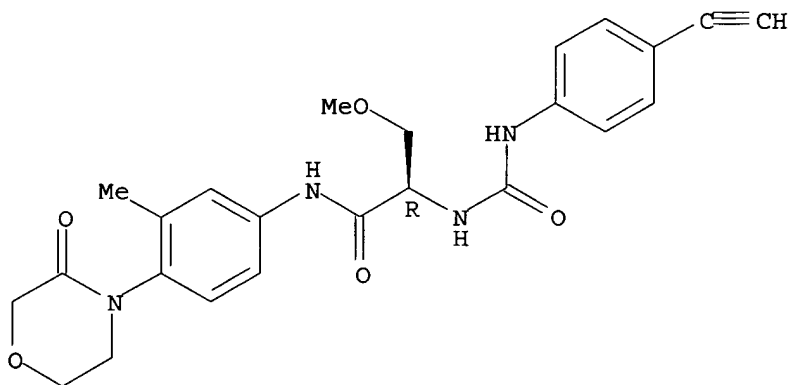
Absolute stereochemistry.



RN 749250-67-7 HCAPLUS

CN Propanamide, 2-[[[(4-ethynylphenyl)amino]carbonyl]amino]-3-methoxy-N-[3-methyl-4-(3-oxo-4-morpholinyl)phenyl]-, (2R)- (9CI) (CA INDEX NAME)

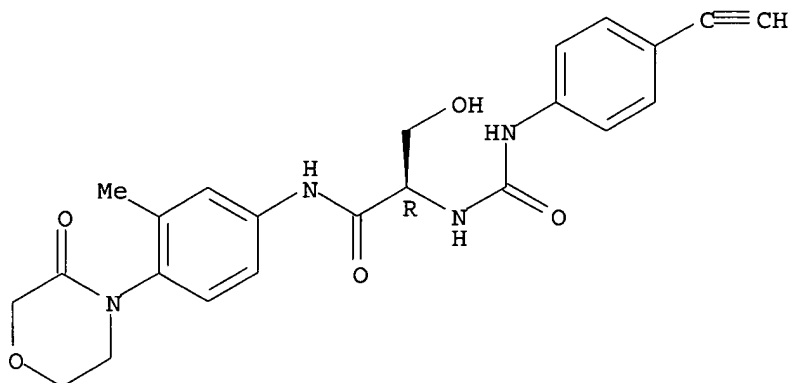
Absolute stereochemistry.



RN 749250-68-8 HCAPLUS

CN Propanamide, 2-[[[(4-ethynylphenyl)amino]carbonyl]amino]-3-hydroxy-N-[3-methyl-4-(3-oxo-4-morpholinyl)phenyl]-, (2R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L10 ANSWER 2 OF 15 HCAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 2004:605492 HCAPLUS

DOCUMENT NUMBER: 141:157122

TITLE: Preparation of ureidoazinyllalkanamides as inhibitors of blood coagulation Factor VIIa and Xa.

INVENTOR(S): Dorsch, Dieter; Cezanne, Bertram; Mederski, Werner; Tsaklakidis, Christos; Gleitz, Johannes; Van Amsterdam, Christoph

PATENT ASSIGNEE(S): Merck Patent GmbH, Germany

SOURCE: Ger. Offen., 25 pp.

CODEN: GWXXBX

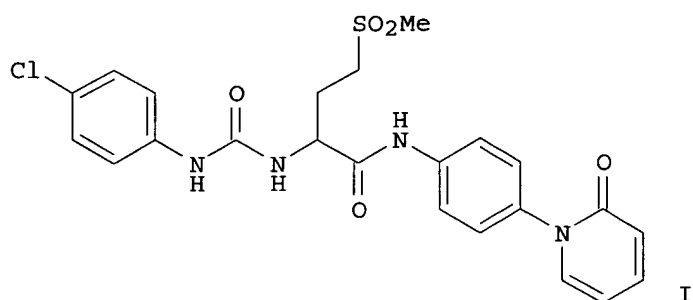
DOCUMENT TYPE: Patent

LANGUAGE: German

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
DE 10302500	A1	20040729	DE 2003-10302500	20030123
CA 2514100	AA	20040805	CA 2004-2514100	20040108
WO 2004065369	A1	20040805	WO 2004-EP61	20040108
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EP 1585730	A1	20051019	EP 2004-700684	20040108
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK				
PRIORITY APPLN. INFO.:			DE 2003-10302500	A 20030123
			WO 2004-EP61	W 20040108
OTHER SOURCE(S):			MARPAT 141:157122	
GI				



AB DNHCOXCHR1CONHWYT [D = (substituted) Ph, pyridyl; R1 = (substituted) A; W = [C(R3)2]n; X = NR3, O; Y = alkylene, heterocyclylene, arylene; R2 = H, A, [C(R3)2]nAr, etc.; Ar = (substituted) Ph; R3 = H, A; T = N(R2)2, (substituted) saturated, unsatd., or aromatic carbocyclyl, heterocyclyl; A =

(O-, S, or CH:CH-interrupted) (fluorinated) alkyl; n = 0-2], were prepared Thus, 2-amino-4-methylsulfonylbutyric acid in H2O at 80° was treated with 4-chlorophenyl isocyanate followed by stirring for 1 h to give 2-[3-(4-chlorophenyl)ureido]-4-methanesulfonylbutyric acid. This was stirred with 1-(4-aminophenyl)-1H-pyridin-2-one and TBTU in DMF for 24 h to give title compound (I). I bound to Factor Xa receptors with IC50 = 2.8 + 10-8 M.

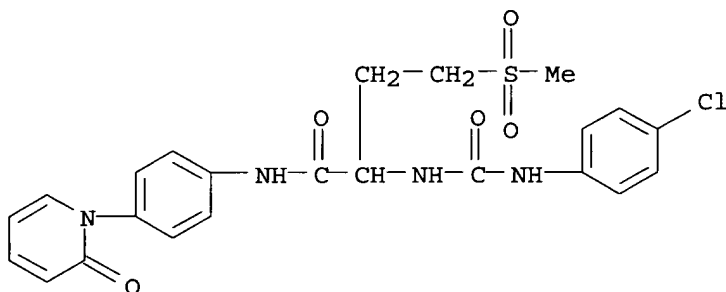
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728945-21-9P 728945-22-0P 728945-23-1P
728945-24-2P 728945-25-3P 728945-26-4P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(claimed compound; preparation of ureidoazinyllalkanamides as inhibitors of Factor VIIa and Xa)

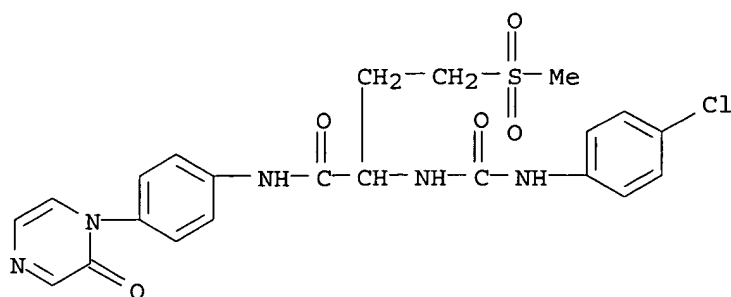
RN 678178-11-5 HCAPLUS

CN Butanamide, 2-[[[(4-chlorophenyl)amino]carbonyl]amino]-4-(methylsulfonyl)-N-[4-(2-oxo-1(2H)-pyridinyl)phenyl]- (9CI) (CA INDEX NAME)



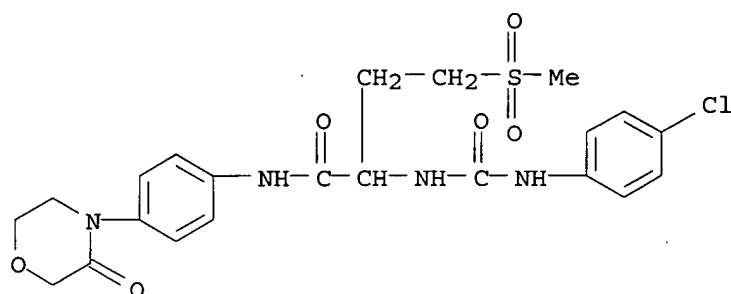
RN 728945-08-2 HCAPLUS

CN Butanamide, 2-[[[(4-chlorophenyl)amino]carbonyl]amino]-4-(methylsulfonyl)-N-[4-(2-oxo-1(2H)-pyrazinyl)phenyl]- (9CI) (CA INDEX NAME)



RN 728945-09-3 HCAPLUS

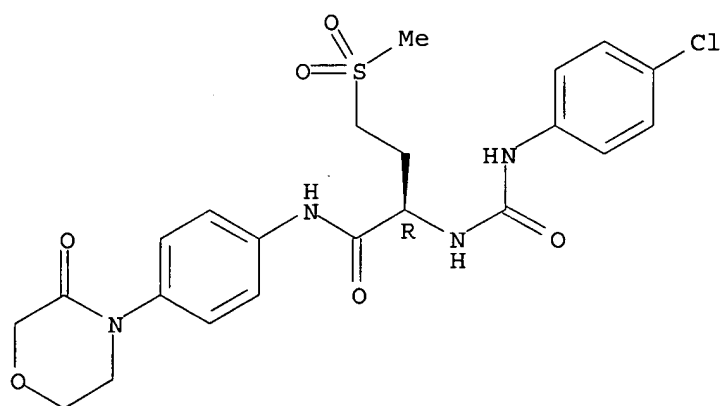
CN Butanamide, 2-[[[(4-chlorophenyl)amino]carbonyl]amino]-4-(methylsulfonyl)-N-[4-(3-oxo-4-morpholinyl)phenyl]- (9CI) (CA INDEX NAME)



RN 728945-10-6 HCAPLUS

CN Butanamide, 2-[[[(4-chlorophenyl)amino]carbonyl]amino]-4-(methylsulfonyl)-N-[4-(3-oxo-4-morpholinyl)phenyl]-, (2R)- (9CI) (CA INDEX NAME)

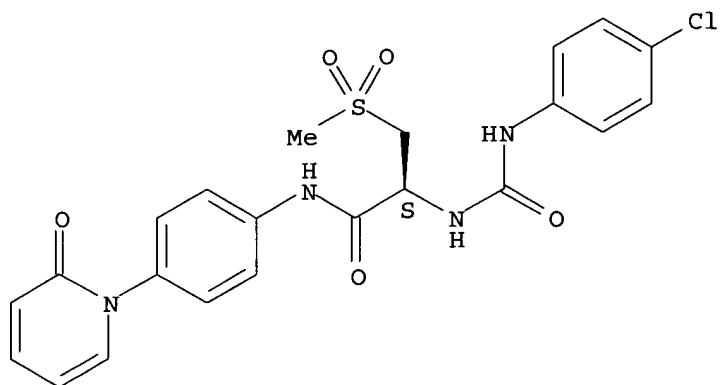
Absolute stereochemistry.



RN 728945-11-7 HCAPLUS

CN Propanamide, 2-[[[(4-chlorophenyl)amino]carbonyl]amino]-3-(methylsulfonyl)-N-[4-(2-oxo-1(2H)-pyridinyl)phenyl]-, (2S)- (9CI) (CA INDEX NAME)

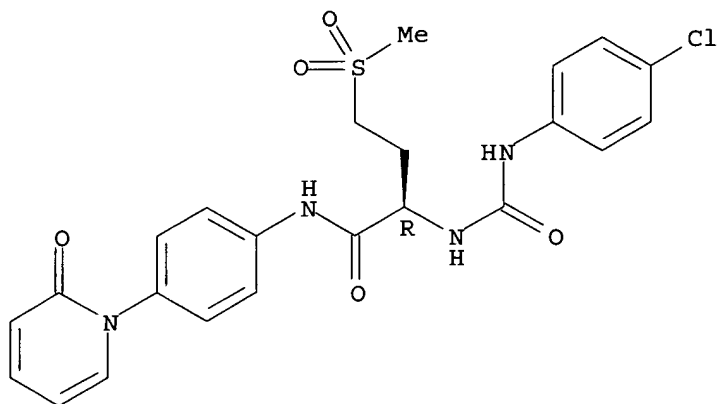
Absolute stereochemistry.



RN 728945-13-9 HCAPLUS

CN Butanamide, 2-[[[(4-chlorophenyl)amino]carbonyl]amino]-4-(methylsulfonyl)-N-[4-(2-oxo-1(2H)-pyridinyl)phenyl]-, (2R)- (9CI) (CA INDEX NAME)

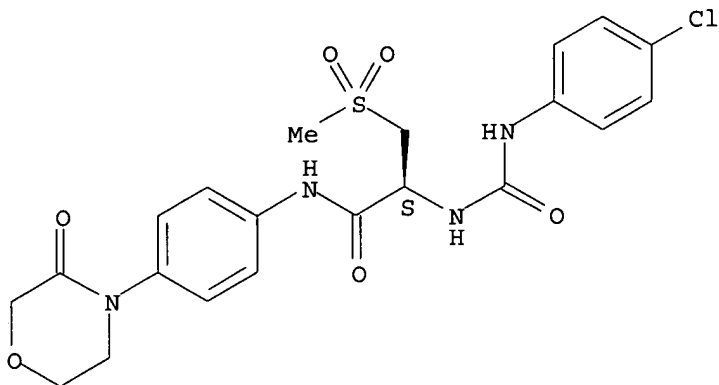
Absolute stereochemistry.



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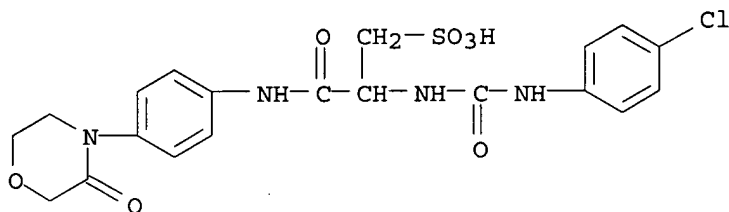
CN Propanamide, 2-[[[(4-chlorophenyl)amino]carbonyl]amino]-3-(methylsulfonyl)-N-[4-(3-oxo-4-morpholinyl)phenyl]-, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



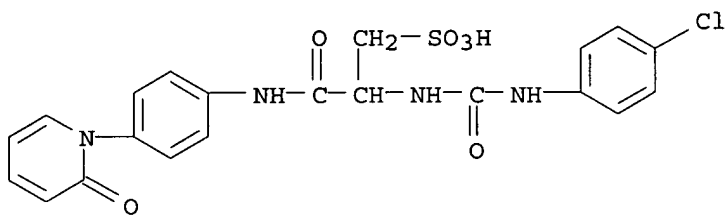
RN 728945-16-2 HCAPLUS

CN 1-Propanesulfonic acid, 2-[[[(4-chlorophenyl)amino]carbonyl]amino]-3-oxo-3-[[4-(3-oxo-4-morpholinyl)phenyl]amino]- (9CI) (CA INDEX NAME)



RN 728945-17-3 HCAPLUS

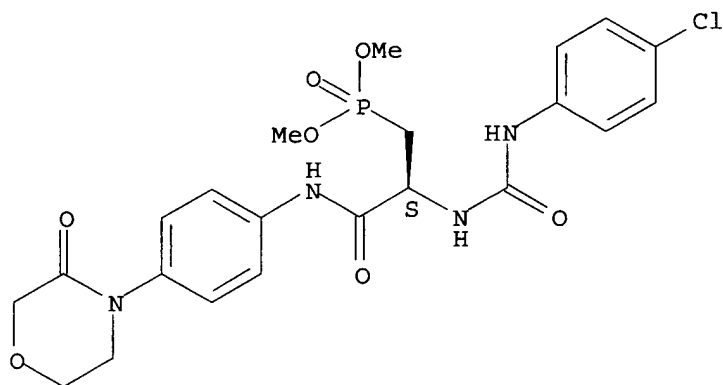
CN 1-Propanesulfonic acid, 2-[[[(4-chlorophenyl)amino]carbonyl]amino]-3-oxo-3-[[4-(2-oxo-1(2H)-pyridinyl)phenyl]amino]- (9CI) (CA INDEX NAME)



RN 728945-18-4 HCAPLUS

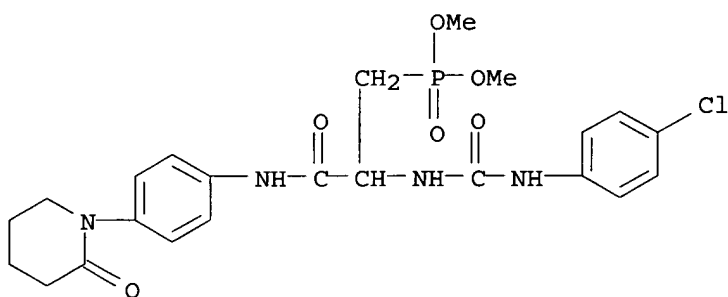
CN Phosphonic acid, [(2S)-2-[[[(4-chlorophenyl)amino]carbonyl]amino]-3-oxo-3-[[4-(3-oxo-4-morpholinyl)phenyl]amino]propyl]-, dimethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



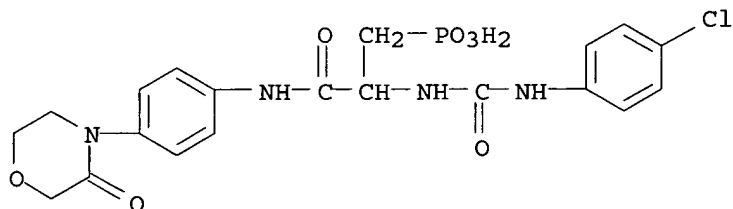
RN 728945-19-5 HCAPLUS

CN Phosphonic acid, [2-[[[(4-chlorophenyl)amino]carbonyl]amino]-3-oxo-3-[[4-(2-oxo-1-piperidiny)phenyl]amino]propyl]-, dimethyl ester (9CI) (CA INDEX NAME)



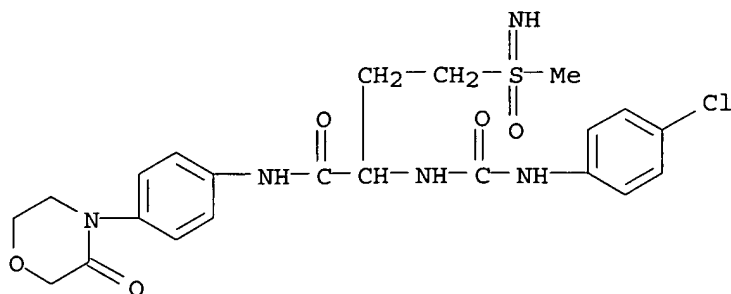
RN 728945-20-8 HCAPLUS

CN Phosphonic acid, [2-[[[(4-chlorophenyl)amino]carbonyl]amino]-3-oxo-3-[[4-(3-oxo-4-morpholinyl)phenyl]amino]propyl]- (9CI) (CA INDEX NAME)



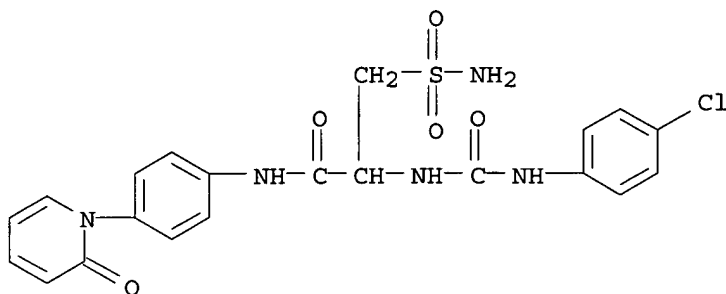
RN 728945-21-9 HCAPLUS

CN Butanamide, 2-[[[(4-chlorophenyl)amino]carbonyl]amino]-4-(S-methylsulfonimidoyl)-N-[4-(3-oxo-4-morpholinyl)phenyl]- (9CI) (CA INDEX NAME)



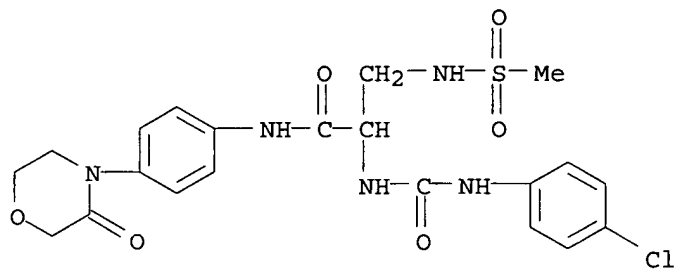
RN 728945-22-0 HCAPLUS

CN Propanamide, 3-(aminosulfonyl)-2-[[[(4-chlorophenyl)amino]carbonyl]amino]-N-[4-(2-oxo-1(2H)-pyridinyl)phenyl]- (9CI) (CA INDEX NAME)



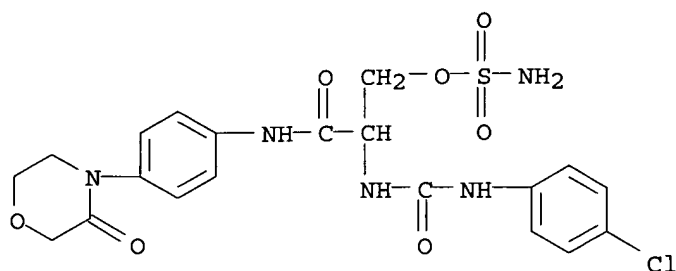
RN 728945-23-1 HCAPLUS

CN Propanamide, 2-[[[(4-chlorophenyl)amino]carbonyl]amino]-3-[(methylsulfonyl)amino]-N-[4-(3-oxo-4-morpholinyl)phenyl]- (9CI) (CA INDEX NAME)



RN 728945-24-2 HCAPLUS

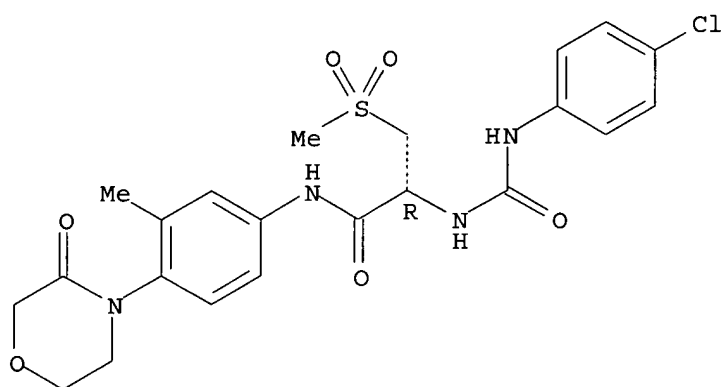
CN Propanamide, 3-[(aminosulfonyl)oxy]-2-[[[(4-chlorophenyl)amino]carbonyl]amino]-N-[4-(3-oxo-4-morpholinyl)phenyl]- (9CI) (CA INDEX NAME)



RN 728945-25-3 HCAPLUS

CN Propanamide, 2-[[[(4-chlorophenyl)amino]carbonyl]amino]-N-[3-methyl-4-(3-oxo-4-morpholinyl)phenyl]-3-(methylsulfonyl)-, (2R)- (9CI) (CA INDEX NAME)

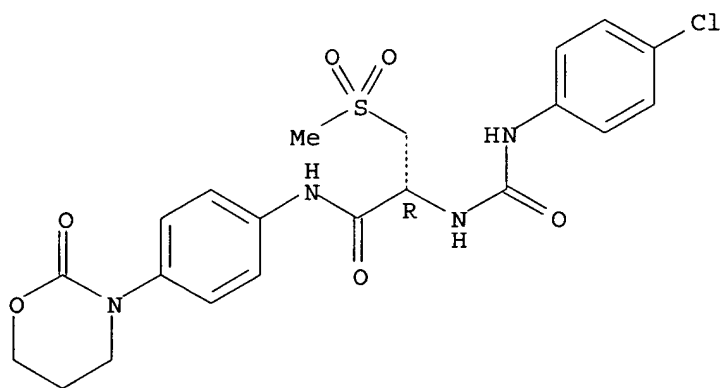
Absolute stereochemistry.



RN 728945-26-4 HCAPLUS

CN Propanamide, 2-[[[(4-chlorophenyl)amino]carbonyl]amino]-N-[4-(dihydro-2-oxo-2H-1,3-oxazin-3(4H)-yl)phenyl]-3-(methylsulfonyl)-, (2R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



IT 728945-29-7P

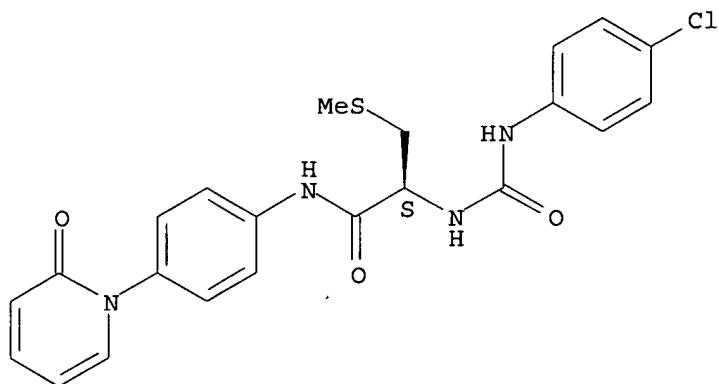
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT
(Reactant or reagent)

(preparation of ureidoazinyalkanamides as inhibitors of Factor VIIa and Xa)

RN 728945-29-7 HCAPLUS

CN Propanamide, 2-[[[(4-chlorophenyl)amino]carbonyl]amino]-3-(methylthio)-N-
[4-(2-oxo-1(2H)-pyridinyl)phenyl]-, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L10 ANSWER 3 OF 15 HCAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 2004:523308 HCAPLUS

DOCUMENT NUMBER: 141:225134

TITLE: Parallel synthesis and structure-activity
relationships of a series of highly potent, selective,
and neutral factor Xa inhibitors

AUTHOR(S): Bauer, Shawn M.; Goldman, Erick A.; Huang, Wenrong;
Su, Ting; Wang, Lingyan; Woolfrey, John; Wu, Yanhong;
Zuckett, Jingmei F.; Arfsten, Ann; Huang, Brian;
Kothule, Jaya; Lin, Joyce; May, Bridget; Sinha, Uma;
Wong, Paul W.; Hutchaleelaha, Athiwat; Scarborough,
Robert M.; Zhu, Bing-Yan

CORPORATE SOURCE: Department of Medicinal Chemistry, Millennium
Pharmaceuticals, Inc., San Francisco, CA, 94080, USA

SOURCE: Bioorganic & Medicinal Chemistry Letters (2004),
14(15), 4045-4050

CODEN: BMCLE8; ISSN: 0960-894X

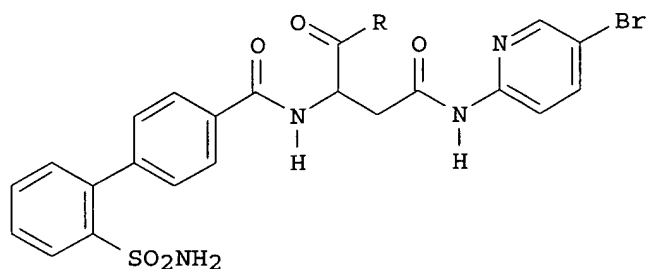
PUBLISHER: Elsevier Science B.V.

DOCUMENT TYPE: Journal

LANGUAGE: English

OTHER SOURCE(S): CASREACT 141:225134

GI

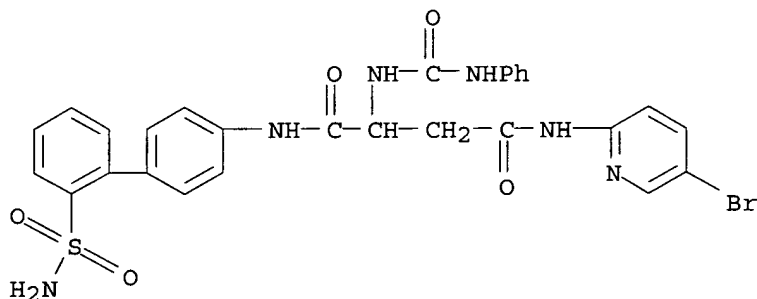


AB Parallel synthesis and iterative optimization led to the discovery of a series of potent and specific factor Xa inhibitors, e.g. I (R = HO, MeO, EtO₂CCH₂NH, PhNH, 1-piperidinyl, 4-morpholinyl, etc.), demonstrating excellent in vitro activity with promising pharmacokinetics.

IT **745021-03-8**
 RL: PAC (Pharmacological activity); BIOL (Biological study)
 (parallel synthesis of aminoalkyl- or amidoalkyl-substituted aromatic amides as selective and neutral factor Xa inhibitors)

RN 745021-03-8 HCAPLUS

CN Butanediamide, N1-[2'-(aminosulfonyl)[1,1'-biphenyl]-4-yl]-N4-(5-bromo-2-pyridinyl)-2-[[(phenylamino)carbonyl]amino]- (9CI) (CA INDEX NAME)



L10 ANSWER 4 OF 15 HCAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 2004:308415 HCAPLUS

DOCUMENT NUMBER: 140:321240

TITLE: Preparation of lactam-containing diaminoalkanes, β -amino acids, α -amino acids and derivatives thereof as factor Xa inhibitors

INVENTOR(S): Qiao, Jennifer X.; Han, Wei

PATENT ASSIGNEE(S): Bristol-Myers Squibb Company, USA

SOURCE: PCT Int. Appl., 172 pp.
 CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004031145	A2	20040415	WO 2003-US31079	20031001
WO 2004031145	A3	20040701		

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW

RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

US 2004077635 A1 20040422 US 2003-677063 20031001
EP 1558606 A2 20050803 EP 2003-773077 20031001

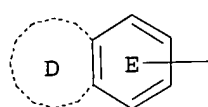
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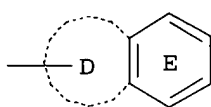
US 2002-415366P P 20021002
US 2002-417208P P 20021009
WO 2003-US31079 W 20031001

OTHER SOURCE(S): MARPAT 140:321240

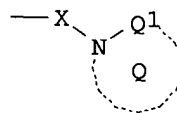
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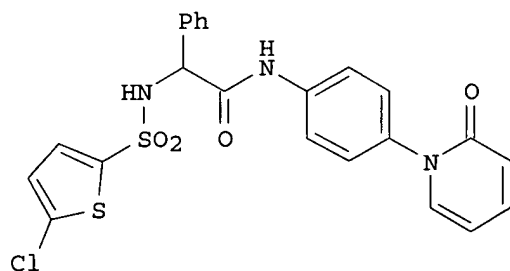
II



III



IV



V

AB The title compds. PMM1 [I; one of P and M1 = G and the other -AB; G = II, III (wherein ring D, including the two carbon atoms of ring E to which it is attached, is (un)substituted 5-6 membered ring consisting of carbon atoms and 0-3 heteroatoms selected from N, O, S(O)0-2; ring D may contain 0-3 ring double bonds; ring E = (un)substituted Ph, pyridyl, pyrimidinyl, etc.; alternatively, ring D is absent); M = (un)substituted 3-8 membered linear chain consisting of carbon atoms, carbonyl groups, thiocarbonyl, heteroatoms, and there are 0-2 double bonds and 0-1 triple bond; A = (un)substituted carbocycle, 5-12 membered heterocycle; B = IV (wherein Q1 = CO, SO2; ring Q = (un)substituted 4-8 membered monocyclic or bicyclic ring optionally containing optionally heteroatoms, and optionally fused, etc.; X = absent, CO, SO, SO2, etc.)], useful as inhibitors of trypsin-like serine proteases, specifically factor Xa for treating thromboembolic disorder, were prepared E.g., a 3-step synthesis of V, starting from 1-(4-aminophenyl)-1H-pyridin-2-one and Boc-DL-PHG-OH, was given. The number of compds. I were found to exhibit Ki's of $\leq 10 \mu\text{M}$ against human

factor Xa. The pharmaceutical composition comprising the compound I is claimed.

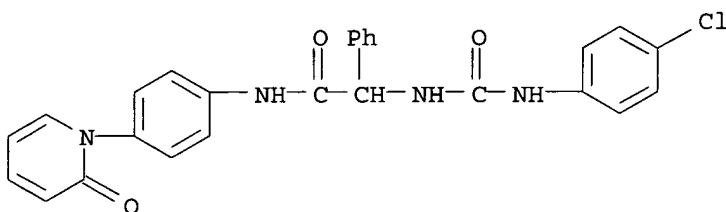
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 678178-05-7P 678178-06-8P 678178-07-9P
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 678178-30-8P 678178-31-9P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of lactam-containing diaminoalkanes, β -amino acids, α -amino acids and derivs. thereof as factor Xa inhibitors for treating thromboembolic disorder)

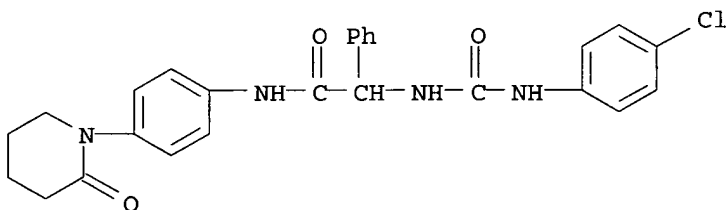
RN 678174-81-7 HCAPLUS

CN Benzeneacetamide, α -[[[(4-chlorophenyl)amino]carbonyl]amino]-N-[4-(2-oxo-1(2H)-pyridinyl)phenyl]- (9CI) (CA INDEX NAME)



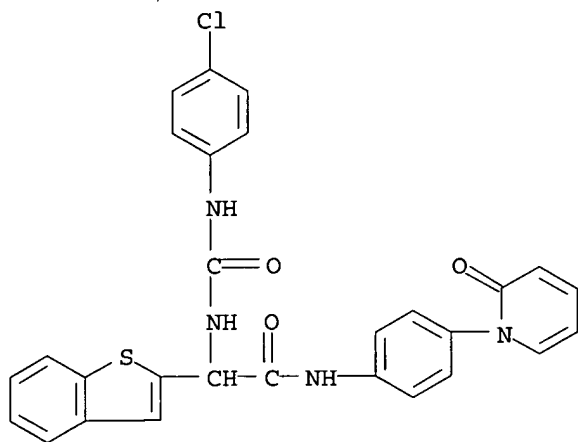
RN 678177-49-6 HCAPLUS

CN Benzeneacetamide, α -[[[(4-chlorophenyl)amino]carbonyl]amino]-N-[4-(2-oxo-1-piperidiny)phenyl]- (9CI) (CA INDEX NAME)

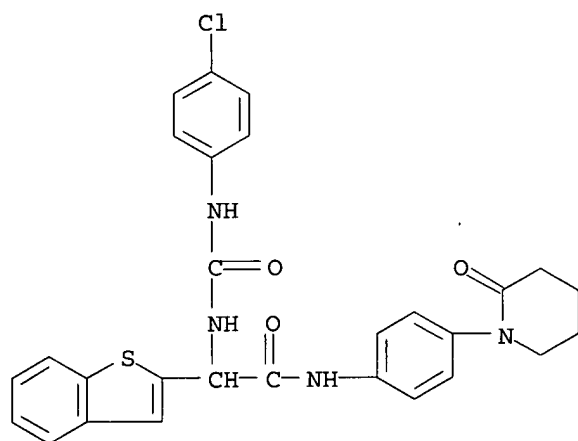


RN 678177-92-9 HCAPLUS

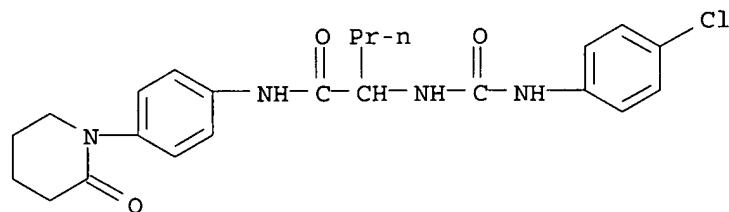
CN Benzo[b]thiophene-2-acetamide, α -[[[(4-chlorophenyl)amino]carbonyl]amino]-N-[4-(2-oxo-1(2H)-pyridinyl)phenyl]- (9CI) (CA INDEX NAME)



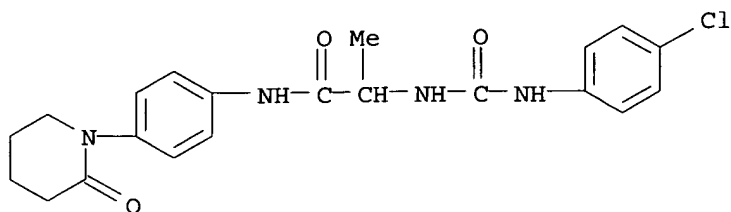
Benzo[b]thiophene-2-acetamide, α -[[[(4-chlorophenyl)amino]carbonyl]amino]-N-[4-(2-oxo-1-piperidinyl)phenyl]- (9CI) (CA INDEX NAME)



Pentanamide, 2-[[[(4-chlorophenyl)amino]carbonyl]amino]-N-[4-(2-oxo-1-piperidinyl)phenyl]- (9CI) (CA INDEX NAME)

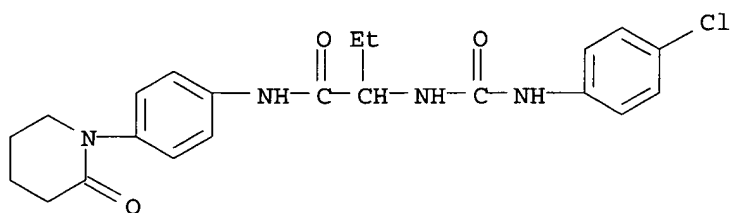


CN Propanamide, 2-[[[(4-chlorophenyl)amino]carbonyl]amino]-N-[4-(2-oxo-1-piperidinyl)phenyl]- (9CI) (CA INDEX NAME)



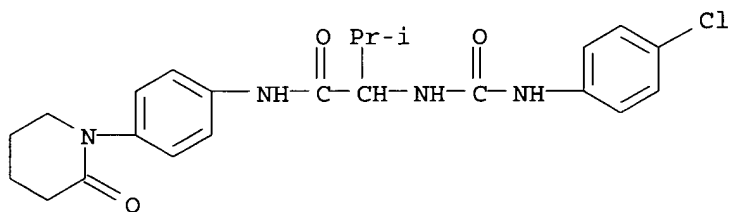
RN 678178-02-4 HCAPLUS

CN Butanamide, 2-[[[(4-chlorophenyl)amino]carbonyl]amino]-N-[4-(2-oxo-1-piperidiny)phenyl]- (9CI) (CA INDEX NAME)



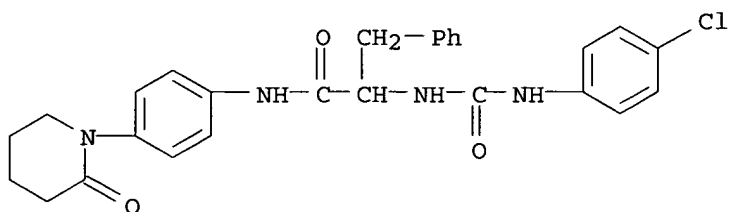
RN 678178-03-5 HCAPLUS

CN Butanamide, 2-[[[(4-chlorophenyl)amino]carbonyl]amino]-3-methyl-N-[4-(2-oxo-1-piperidiny)phenyl]- (9CI) (CA INDEX NAME)



RN 678178-04-6 HCAPLUS

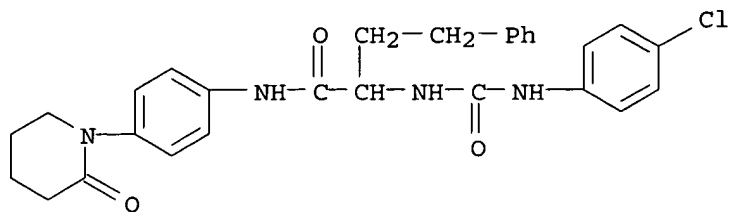
CN Benzenepropanamide, α -[[[(4-chlorophenyl)amino]carbonyl]amino]-N-[4-(2-oxo-1-piperidiny)phenyl]- (9CI) (CA INDEX NAME)



RN 678178-05-7 HCAPLUS

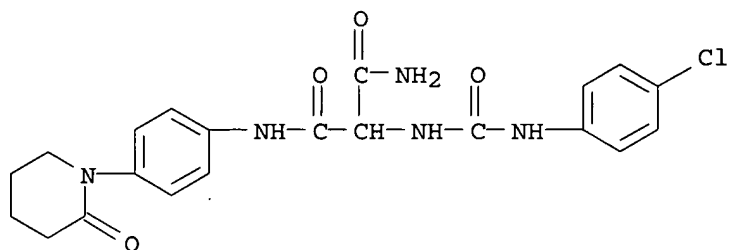
CN Benzenebutanamide, α -[[[(4-chlorophenyl)amino]carbonyl]amino]-N-[4-(2-oxo-1-piperidiny)phenyl]- (9CI) (CA INDEX NAME)

(2-oxo-1-piperidinyl)phenyl]- (9CI) (CA INDEX NAME)



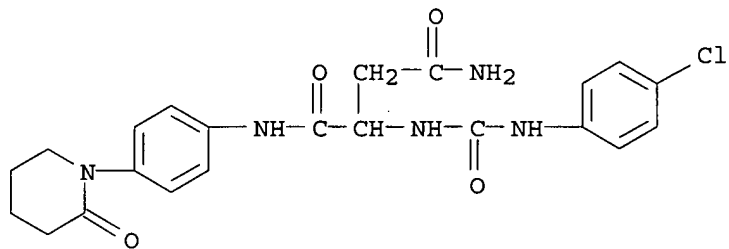
RN 678178-06-8 HCAPLUS

CN Propanediamide, 2-[[[(4-chlorophenyl)amino]carbonyl]amino]-N-[4-(2-oxo-1-piperidinyl)phenyl]- (9CI) (CA INDEX NAME)



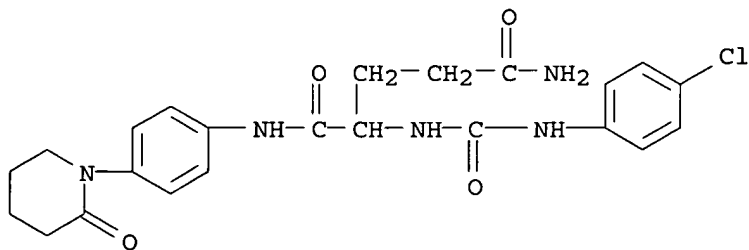
RN 678178-07-9 HCAPLUS

CN Butanediamide, 2-[[[(4-chlorophenyl)amino]carbonyl]amino]-N1-[4-(2-oxo-1-piperidinyl)phenyl]- (9CI) (CA INDEX NAME)



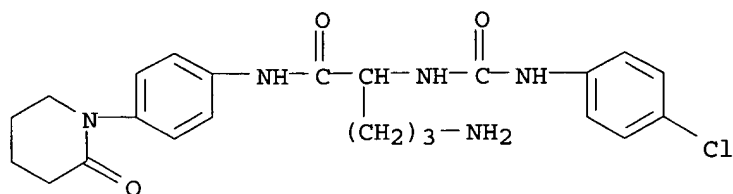
RN 678178-08-0 HCAPLUS

CN Pentanediamide, 2-[[[(4-chlorophenyl)amino]carbonyl]amino]-N1-[4-(2-oxo-1-piperidinyl)phenyl]- (9CI) (CA INDEX NAME)



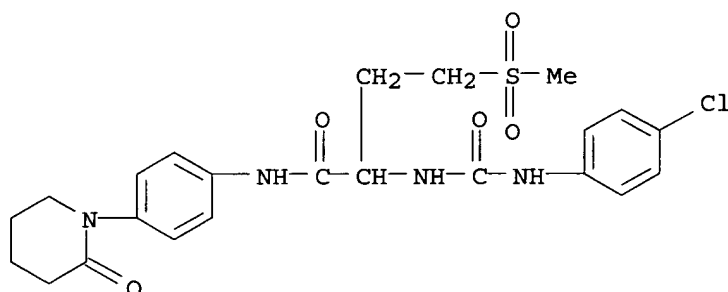
RN 678178-09-1 HCAPLUS

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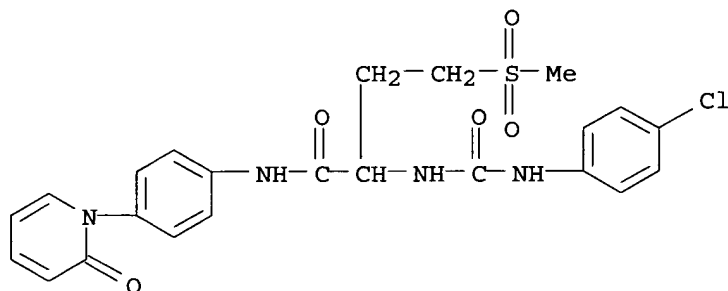
RN 678178-10-4 HCAPLUS

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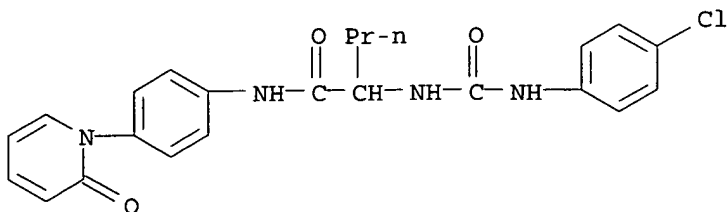
RN 678178-11-5 HCAPLUS

CN Butanamide, 2-[[[(4-chlorophenyl)amino]carbonyl]amino]-4-(methylsulfonyl)-N-[4-(2-oxo-1(2H)-pyridinyl)phenyl]- (9CI) (CA INDEX NAME)



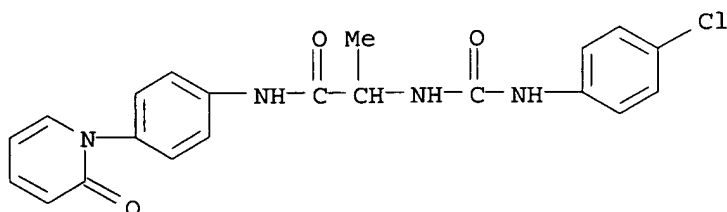
RN 678178-18-2 HCAPLUS

CN Pentanamide, 2-[[[(4-chlorophenyl)amino]carbonyl]amino]-N-[4-(2-oxo-1(2H)-pyridinyl)phenyl]- (9CI) (CA INDEX NAME)



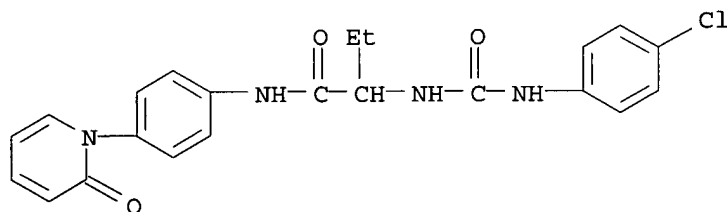
RN 678178-19-3 HCAPLUS

CN Propanamide, 2-[[[(4-chlorophenyl)amino]carbonyl]amino]-N-[4-(2-oxo-1(2H)-pyridinyl)phenyl]- (9CI) (CA INDEX NAME)



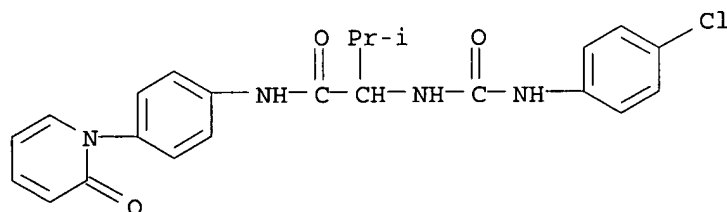
RN 678178-20-6 HCAPLUS

CN Butanamide, 2-[[[(4-chlorophenyl)amino]carbonyl]amino]-N-[4-(2-oxo-1(2H)-pyridinyl)phenyl]- (9CI) (CA INDEX NAME)



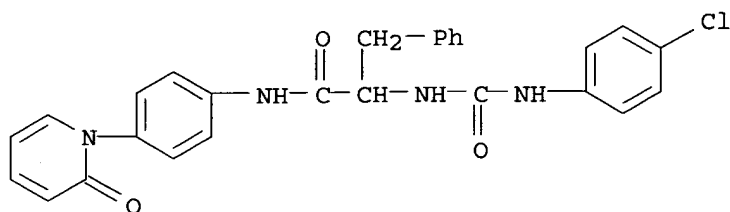
RN 678178-21-7 HCAPLUS

CN Butanamide, 2-[[[(4-chlorophenyl)amino]carbonyl]amino]-3-methyl-N-[4-(2-oxo-1(2H)-pyridinyl)phenyl]- (9CI) (CA INDEX NAME)



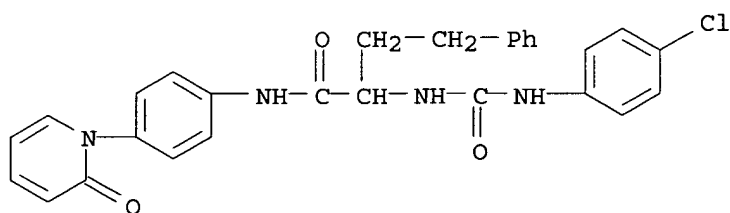
RN 678178-23-9 HCAPLUS

CN Benzenepropanamide, α-[[[(4-chlorophenyl)amino]carbonyl]amino]-N-[4-(2-oxo-1(2H)-pyridinyl)phenyl]- (9CI) (CA INDEX NAME)



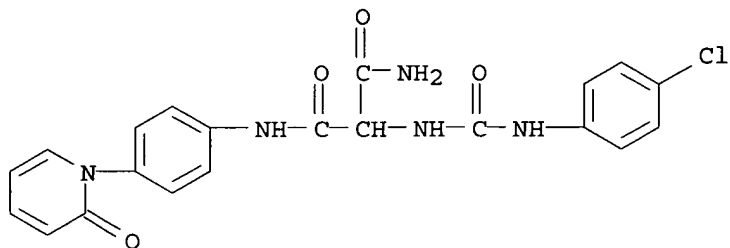
RN 678178-25-1 HCAPLUS

CN Benzenebutanamide, α-[[[(4-chlorophenyl)amino]carbonyl]amino]-N-[4-(2-oxo-1(2H)-pyridinyl)phenyl]- (9CI) (CA INDEX NAME)



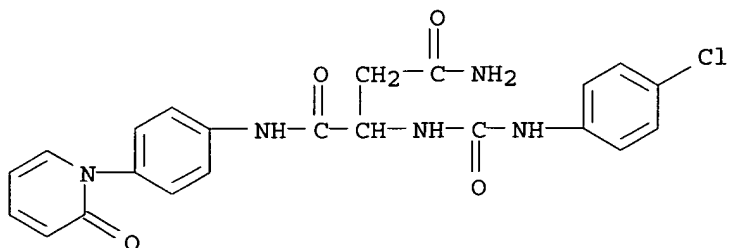
RN 678178-27-3 HCAPLUS

CN Propanediamide, 2-[[[(4-chlorophenyl)amino]carbonyl]amino]-N-[4-(2-oxo-1(2H)-pyridinyl)phenyl]- (9CI) (CA INDEX NAME)



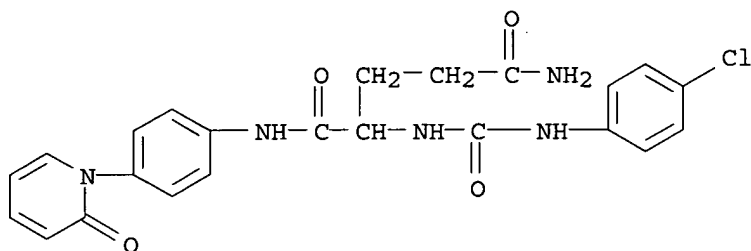
RN 678178-29-5 HCAPLUS

CN Butanediamide, 2-[[[(4-chlorophenyl)amino]carbonyl]amino]-N1-[4-(2-oxo-1(2H)-pyridinyl)phenyl]- (9CI) (CA INDEX NAME)



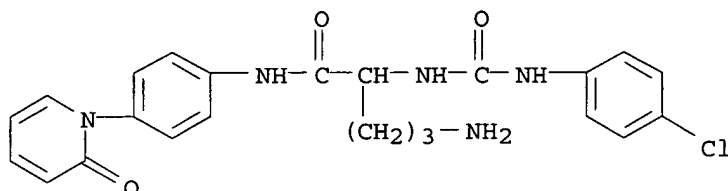
RN 678178-30-8 HCAPLUS

CN Pentanediamide, 2-[[[(4-chlorophenyl)amino]carbonyl]amino]-N1-[4-(2-oxo-1(2H)-pyridinyl)phenyl]- (9CI) (CA INDEX NAME)



RN 678178-31-9 HCAPLUS

CN Pentanamide, 5-amino-2-[[[(4-chlorophenyl)amino]carbonyl]amino]-N-[4-(2-oxo-1(2H)-pyridinyl)phenyl]- (9CI) (CA INDEX NAME)



L10 ANSWER 5 OF 15 HCAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 2004:252476 HCAPLUS

DOCUMENT NUMBER: 140:287179

TITLE: Preparation of [phenylureido(hetero)cyclyl]carboxamide
s as inhibitors of factor Xa and other serine
proteases involved in the coagulation cascade

INVENTOR(S): Bolton, Gary Louis; Filipski, Kevin James; Kohrt,
Jeffrey Thomas; La, Frances Thu; Leonard, Daniele
Marie

PATENT ASSIGNEE(S): Warner-Lambert Company Llc, USA

SOURCE: PCT Int. Appl., 111 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

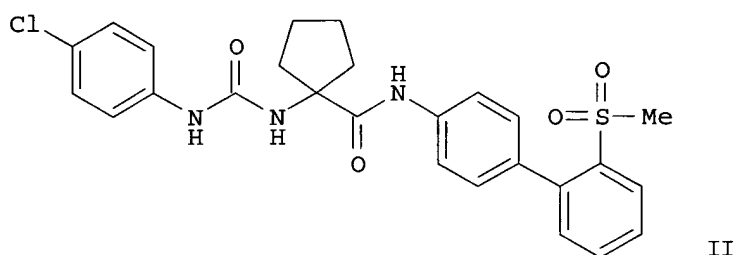
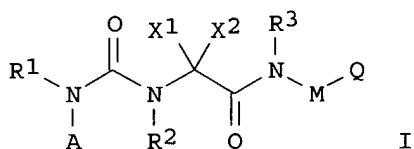
LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004024679	A1	20040325	WO 2003-IB3900	20030902
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR,				

BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG
 CA 2497003 AA 20040325 CA 2003-2497003 20030902
 EP 1539686 A1 20050615 EP 2003-795154 20030902
 R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,
 IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK
 BR 2003014219 A 20050719 BR 2003-14219 20030902
 US 2004167131 A1 20040826 US 2003-662046 20030911
 PRIORITY APPLN. INFO.: US 2002-409891P P 20020911
 WO 2003-IB3900 W 20030902
 OTHER SOURCE(S): MARPAT 140:287179
 GI



AB Title amino acid derivs. I [wherein X1 and X2 = independently H, (ar)alkyl, alkenyl, alkynyl, (hetero)aryl, cycloalkyl(alkyl), (CH₂)_m-halo, (CH₂)_m-heteroaryl, (CH₂)_mSOR₃, (CH₂)_mOCOR₃, (CH₂)_mOSO₂R₃, (CH₂)_mOSO₂NR₄R₅, (CH₂)_mNR₄R₅, (CH₂)_mOR₃, CN, NO₂, (CH₂)_mO(CH₂)_mOR₃, (CH₂)_mO(CH₂)_mNR₄R₅, (CH₂)_mR₃, (CH₂)_mCO₂R₃, (CH₂)_mCOR₃, (CH₂)_mCONR₄R₅, (CH₂)_mNR₆COR₃, (CH₂)_mNR₆CONR₄R₅, (CH₂)_mSO₂R₃, (CH₂)_mSO₂NR₄R₅, (CH₂)_m-morpholinyl, (CH₂)_m-piperazinyl, etc.; or CX₁X₂ = (hetero)cyclyl; A = aryl(cycloalkyl), heteroaryl(cycloalkyl), cycloalkyl, or cycloalkenyl; M = (hetero)arylene, (hetero)cycloalkylene, or (hetero)cycloalkenylene; Q = CONR₄R₅, (hetero)aryl, (hetero)cycloalkyl, or (hetero)cycloalkenyl; R₁ = H, alkyl, (hetero)aryl, or alkenyl; R₂ = H, (cyclo)alkyl, (hetero)aryl, alkenyl, (hetero)cycloalkylalkyl, (hetero)aralkyl, carboxy, (CH₂)_mNR₄R₅, (CH₂)_mOR₃, (CH₂)_mSR₃, (CH₂)_mCONR₄R₅, or (CH₂)_mNR₆COR₃; R₃ and R₆ = independently H, (ar)alkyl, (hetero)aryl, alkenyl, alkynyl, cycloalkyl(alkyl), or heteroarylalkyl; R₄ and R₅ = independently H, (ar)alkyl, (hetero)aryl, alkenyl, alkynyl, cycloalkyl(alkyl), heteroarylalkyl, acyl, alkoxy carbonyl, alkylthiocarbonyl, or alkylcarbonyl; or NR₄R₅ = heterocyclyl; m = 0-8; and pharmaceutically acceptable salts thereof] were prepared as serine protease factor Xa inhibitors. For example, 1-(tert-butoxycarbonylamino)cyclopentanecarboxylic acid was condensed with 4-bromoaniline using EEDQ and TEA in CHCl₃ to give the amide (55%). Coupling with 2-(methylthio)benzeneboronic acid in the presence of tetrabutylammonium bromide and Na₂CO₃, H₂O, Pd(PPh₃)₄ in toluene provided the biphenyl derivative (57%). Oxidation to the mesyl derivative with m-CPBA

in

EtOAc (79%), followed by treatment with TFA in DCM and reaction with 4-chlorophenyl isocyanate using TEA in THF gave the desired urea II (82%). The latter suppressed cleavage of a fluorogenic substrate by human factor Xa (3 pM) with a IC50 value of 38 nM and increased prothrombin clotting time by 2-fold at a concentration of 13.46 μ M. Thus, I and pharmaceutically acceptable compns. comprising them are useful as therapeutic agents for treating or preventing disease states in mammals characterized by abnormal thrombosis (no data).

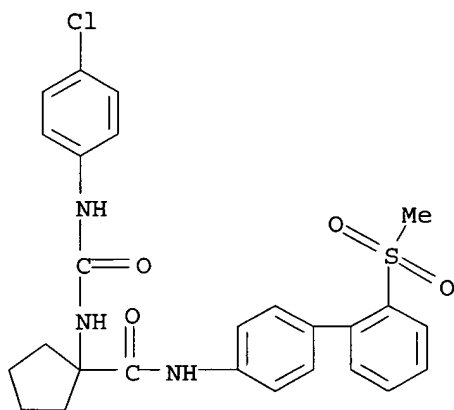
IT **675833-57-5P**, 1-[3-(4-Chlorophenyl)ureido]cyclopentanecarboxylic acid N-[2'-(methanesulfonyl)biphenyl-4-yl]amide **675833-63-3P**, 1-[3-(4-Chlorophenyl)ureido]cyclopropanecarboxylic acid N-[2'-(methanesulfonyl)biphenyl-4-yl]amide **675833-67-7P**, 1-[3-(4-Chlorophenyl)ureido]cyclopropanecarboxylic acid N-[3-fluoro-2'-(methanesulfonyl)biphenyl-4-yl]amide **675833-71-3P**, 1-[3-(4-Chlorophenyl)ureido]cyclopropanecarboxylic acid N-(3-fluoro-2'-sulfamoylbiphenyl-4-yl)amide **675833-75-7P**, 2-[3-(4-Chlorophenyl)ureido]-N-[3-fluoro-2'-(methanesulfonyl)biphenyl-4-yl]-2-methylpropionamide **675833-79-1P**, 2-[3-(4-Chlorophenyl)ureido]-N-(3-fluoro-2'-sulfamoylbiphenyl-4-yl)-2-methylpropionamide **675833-82-6P**, 1-[3-(4-Chlorophenyl)ureido]cyclohexanecarboxylic acid N-[2'-(methanesulfonyl)biphenyl-4-yl]amide **675833-86-0P**, 1-[3-(4-Chlorophenyl)ureido]cyclopent-3-ene-1-carboxylic acid N-(3-fluoro-2'-sulfamoylbiphenyl-4-yl)amide **675833-89-3P**, 2-[3-(4-Chlorophenyl)-1-methylureido]-N-[3-fluoro-2'-(methanesulfonyl)biphenyl-4-yl]acetamide **675833-92-8P**, 2-[3-(4-Chlorophenyl)-3-methylureido]-N-[3-fluoro-2'-(methanesulfonyl)biphenyl-4-yl]acetamide **675833-95-1P**, 2-[3-(4-Chlorophenyl)-1,3-dimethylureido]-N-[3-fluoro-2'-(methanesulfonyl)biphenyl-4-yl]acetamide **675833-96-2P**, 2-[3-(4-Chlorophenyl)ureido]-3-hydroxy-2-hydroxymethyl-N-(2'-sulfamoylbiphenyl-4-yl)propionamide **675834-01-2P**, **675834-03-4P**, 4-[3-(4-Chlorophenyl)ureido]-3,4,5,6-tetrahydro-2H-thiopyran-4-carboxylic acid N-(3-fluoro-2'-sulfamoylbiphenyl-4-yl)amide **675834-06-7P**, (1S,2S)-1-[3-(4-Chlorophenyl)ureido]-2-hydroxymethylcyclopropanecarboxylic acid N-[3-fluoro-2'-(methanesulfonyl)biphenyl-4-yl]amide **675834-10-3P**, (1S,2S)-1-[3-(4-Chlorophenyl)ureido]-2-hydroxymethylcyclopropanecarboxylic acid N-[2-fluoro-4-(2-oxopiperidin-1-yl)phenyl]amide **675834-11-4P**, (1R,2S)-1-[3-(4-Chlorophenyl)ureido]-2-hydroxymethylcyclopropanecarboxylic acid N-[3-fluoro-2'-(methanesulfonyl)biphenyl-4-yl]amide **675834-15-8P**, (1R,2S)-1-[3-(4-Chlorophenyl)ureido]-2-hydroxymethylcyclopropanecarboxylic acid N-[2-fluoro-4-(2-oxopiperidin-1-yl)phenyl]amide **675834-16-9P**, 3-[3-(4-Chlorophenyl)ureido]-3-[[3-fluoro-2'-(methanesulfonyl)biphenyl-4-yl]carbamoyl]pyrrolidine-1-carboxylic acid benzyl ester **675834-22-7P**, 2-[3-(4-Chlorophenyl)-1-(cyclopropylmethyl)ureido]-N-[3-fluoro-2'-(methanesulfonyl)biphenyl-4-yl]acetamide **675834-25-0P**, 2-[3-(4-Chlorophenyl)-1-(2-methoxyethyl)ureido]-N-[3-fluoro-2'-(methanesulfonyl)biphenyl-4-yl]acetamide **675834-26-1P**, 2-[3-(4-Chlorophenyl)-1-isobutylureido]-N-[3-fluoro-2'-(methanesulfonyl)biphenyl-4-yl]acetamide **675834-27-2P**, 2-[3-(4-Chlorophenyl)-1-(2-dimethylaminoethyl)ureido]-N-[3-fluoro-2'-(methanesulfonyl)biphenyl-4-yl]acetamide **675834-28-3P**, 2-[1-Benzyl-3-(4-chlorophenyl)ureido]-N-[3-fluoro-2'-(methanesulfonyl)biphenyl-4-yl]acetamide **675834-29-4P**, 2-[3-(4-Chlorophenyl)-1-(4-methoxybenzyl)ureido]-N-[3-fluoro-2'-(methanesulfonyl)biphenyl-4-yl]acetamide **675834-30-7P**, 2-[3-(4-Chlorophenyl)-1-(2-methoxyethyl)ureido]-N-[2-fluoro-4-(2-oxopiperidin-1-yl)phenyl]acetamide

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU
(Therapeutic use); BIOL (Biological study); PREP (Preparation); USES
(Uses)

(factor Xa inhibitor; preparation of [phenylureido(hetero)cyclyl]carboxamide
s as factor Xa inhibitors for treatment of abnormal thrombosis)

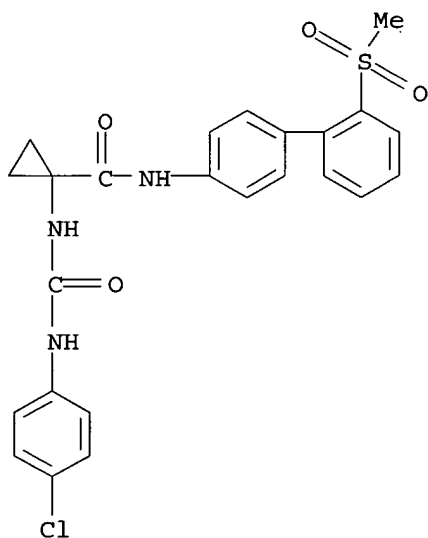
RN 675833-57-5 HCAPLUS

CN Cyclopentanecarboxamide, 1-[[[(4-chlorophenyl)amino]carbonyl]amino]-N-[2'-(methylsulfonyl)[1,1'-biphenyl]-4-yl]- (9CI) (CA INDEX NAME)



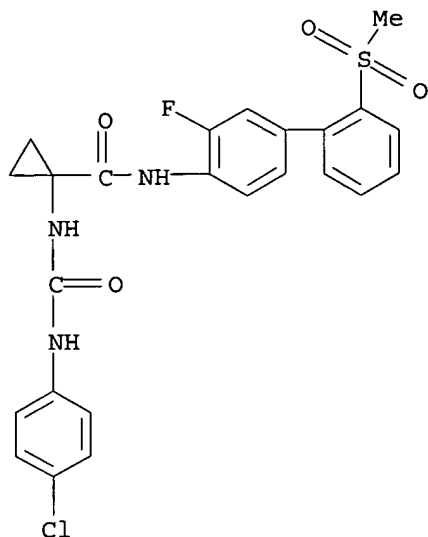
RN 675833-63-3 HCAPLUS

CN Cyclopropanecarboxamide, 1-[[[(4-chlorophenyl)amino]carbonyl]amino]-N-[2'-(methylsulfonyl)[1,1'-biphenyl]-4-yl]- (9CI) (CA INDEX NAME)



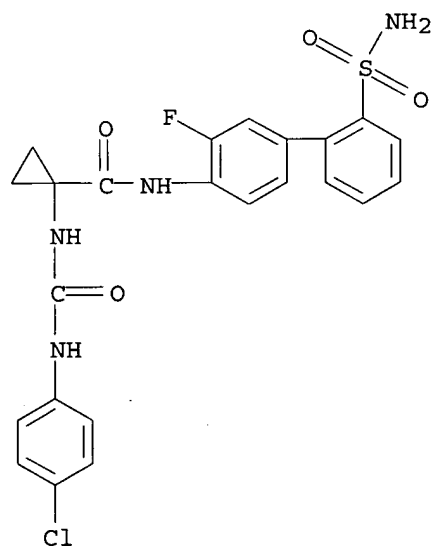
RN 675833-67-7 HCAPLUS

CN Cyclopropanecarboxamide, 1-[[[(4-chlorophenyl)amino]carbonyl]amino]-N-[3-fluoro-2'-(methylsulfonyl)[1,1'-biphenyl]-4-yl]- (9CI) (CA INDEX NAME)



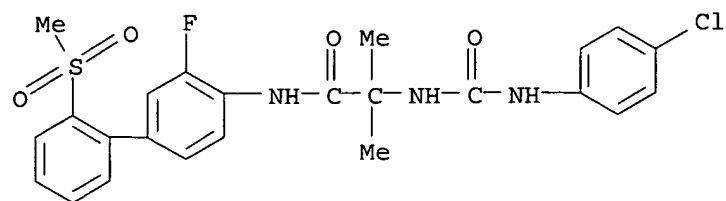
RN 675833-71-3 HCAPLUS

CN Cyclopropanecarboxamide, N-[2'-(aminosulfonyl)-3-fluoro[1,1'-biphenyl]-4-yl]-1-[[[(4-chlorophenyl)amino]carbonyl]amino]- (9CI) (CA INDEX NAME)



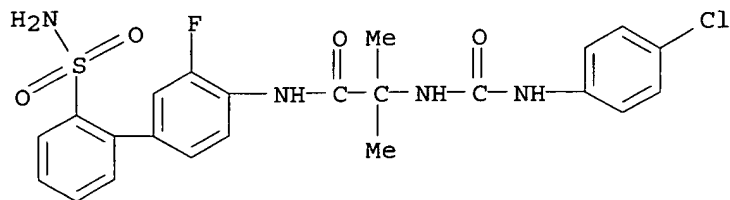
RN 675833-75-7 HCAPLUS

CN Propanamide, 2-[[[(4-chlorophenyl)amino]carbonyl]amino]-N-[3-fluoro-2'-(methylsulfonyl)[1,1'-biphenyl]-4-yl]-2-methyl- (9CI) (CA INDEX NAME)



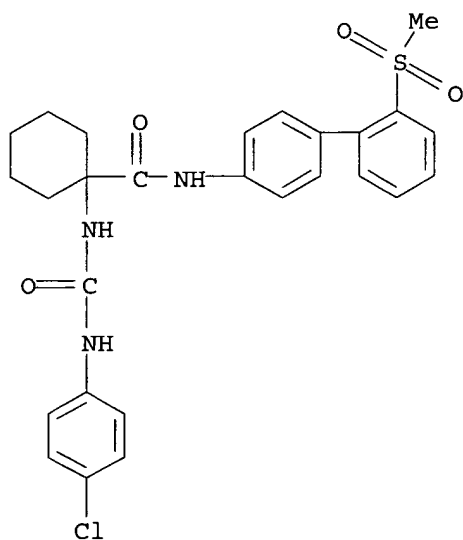
RN 675833-79-1 HCAPLUS

CN Propanamide, N-[2'-(aminosulfonyl)-3-fluoro[1,1'-biphenyl]-4-yl]-2-[[[(4-chlorophenyl)amino]carbonyl]amino]-2-methyl- (9CI) (CA INDEX NAME)



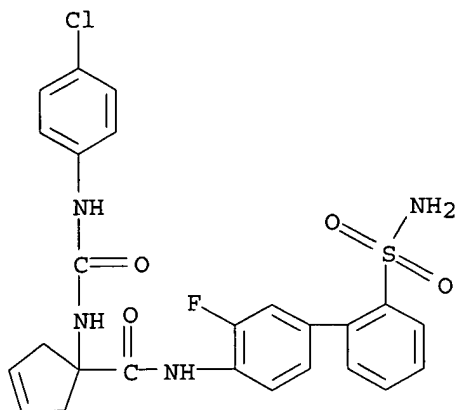
RN 675833-82-6 HCAPLUS

CN Cyclohexanecarboxamide, 1-[[[(4-chlorophenyl)amino]carbonyl]amino]-N-[2'-(methylsulfonyl)[1,1'-biphenyl]-4-yl]- (9CI) (CA INDEX NAME)



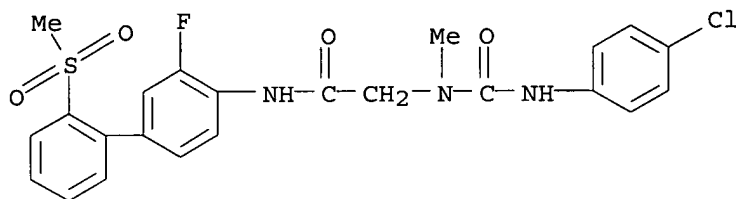
RN 675833-86-0 HCAPLUS

CN 3-Cyclopentene-1-carboxamide, N-[2'-(aminosulfonyl)-3-fluoro[1,1'-biphenyl]-4-yl]-1-[[[(4-chlorophenyl)amino]carbonyl]amino]- (9CI) (CA INDEX NAME)



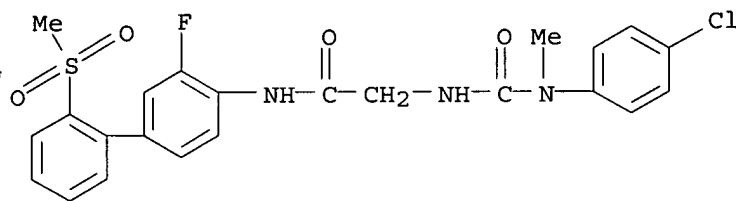
RN 675833-89-3 HCAPLUS

CN Acetamide, 2-[[[(4-chlorophenyl)amino]carbonyl]methylamino]-N-[3-fluoro-2'-(methylsulfonyl)[1,1'-biphenyl]-4-yl]- (9CI) (CA INDEX NAME)



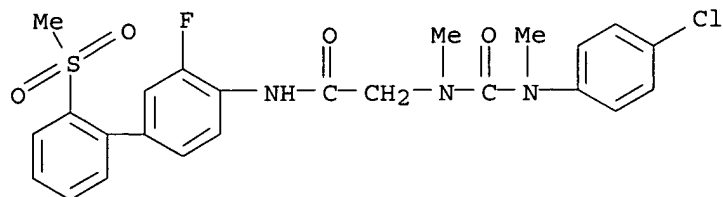
RN 675833-92-8 HCAPLUS

CN Acetamide, 2-[[[(4-chlorophenyl)methylamino]carbonyl]amino]-N-[3-fluoro-2'-(methylsulfonyl)[1,1'-biphenyl]-4-yl]- (9CI) (CA INDEX NAME)



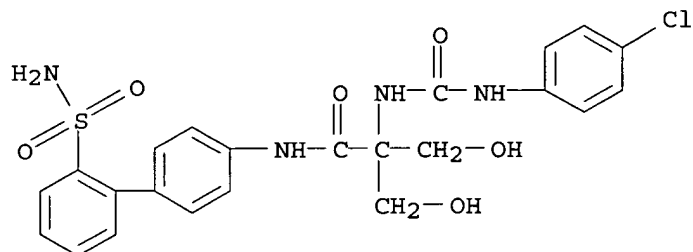
RN 675833-95-1 HCAPLUS

CN Acetamide, 2-[[[(4-chlorophenyl)methylamino]carbonyl]methylamino]-N-[3-fluoro-2'-(methylsulfonyl)[1,1'-biphenyl]-4-yl]- (9CI) (CA INDEX NAME)



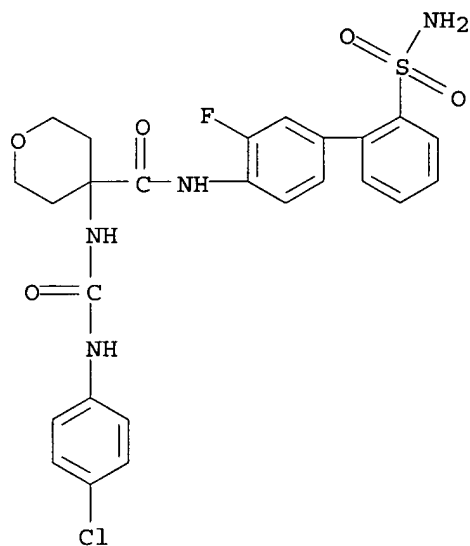
RN 675833-96-2 HCAPLUS

CN Propanamide, N-[2'-(aminosulfonyl)[1,1'-biphenyl]-4-yl]-2-[[[(4-chlorophenyl)amino]carbonyl]amino]-3-hydroxy-2-(hydroxymethyl)- (9CI) (CA INDEX NAME)



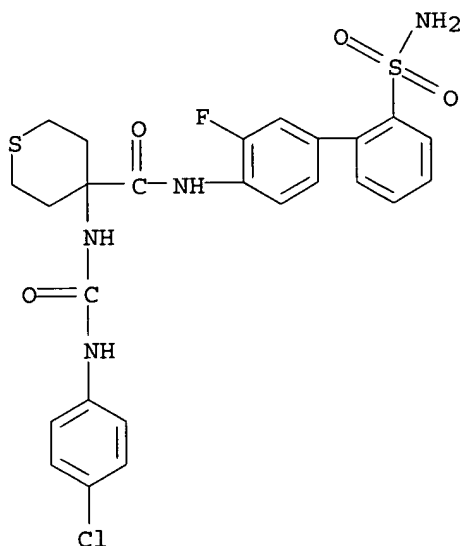
RN 675834-01-2 HCAPLUS

CN 2H-Pyran-4-carboxamide, N-[2'-(aminosulfonyl)-3-fluoro[1,1'-biphenyl]-4-yl]-4-[[[(4-chlorophenyl)amino]carbonyl]amino]tetrahydro- (9CI) (CA INDEX NAME)



RN 675834-03-4 HCAPLUS

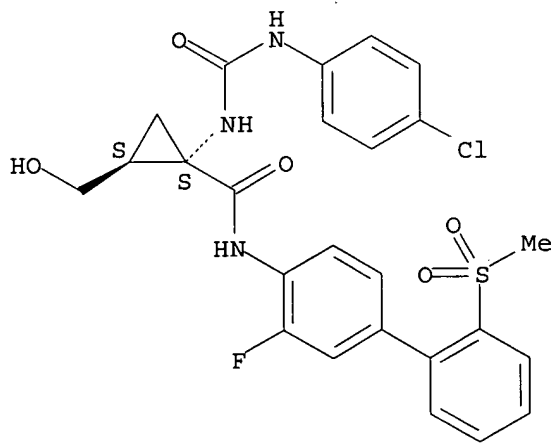
CN 2H-Thiopyran-4-carboxamide, N-[2'-(aminosulfonyl)-3-fluoro[1,1'-biphenyl]-4-yl]-4-[[[(4-chlorophenyl)amino]carbonyl]amino]tetrahydro- (9CI) (CA INDEX NAME)



RN 675834-06-7 HCAPLUS

CN Cyclopropanecarboxamide, 1-[[[(4-chlorophenyl)amino]carbonyl]amino]-N-[3-fluoro-2'-(methanesulfonyl)[1,1'-biphenyl]-4-yl]-2-(hydroxymethyl)-, (1S,2S)- (9CI) (CA INDEX NAME)

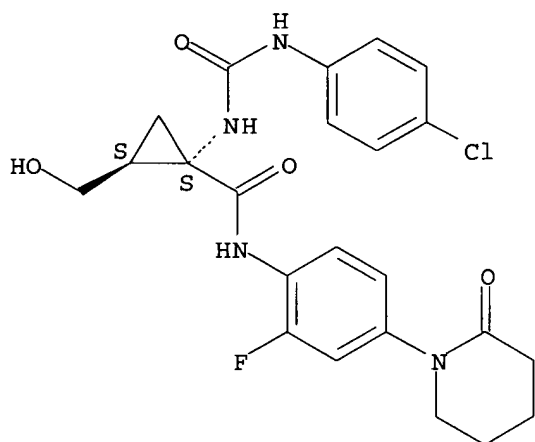
Absolute stereochemistry.



RN 675834-10-3 HCAPLUS

CN Cyclopropanecarboxamide, 1-[[[(4-chlorophenyl)amino]carbonyl]amino]-N-[2-fluoro-4-(2-oxo-1-piperidinyl)phenyl]-2-(hydroxymethyl)-, (1S,2S)- (9CI) (CA INDEX NAME)

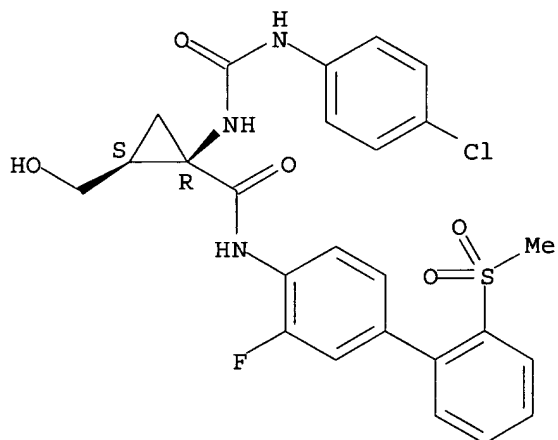
Absolute stereochemistry.



RN 675834-11-4 HCAPLUS

CN Cyclopropanecarboxamide, 1-[[[(4-chlorophenyl)amino]carbonyl]amino]-N-[3-fluoro-2'-(methylsulfonyl)[1,1'-biphenyl]-4-yl]-2-(hydroxymethyl)-, (1R,2S)- (9CI) (CA INDEX NAME)

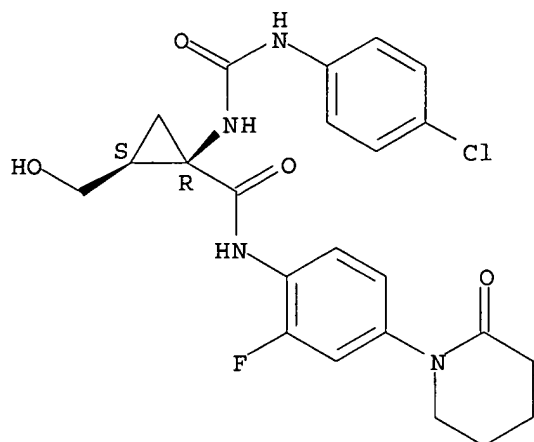
Absolute stereochemistry.



RN 675834-15-8 HCAPLUS

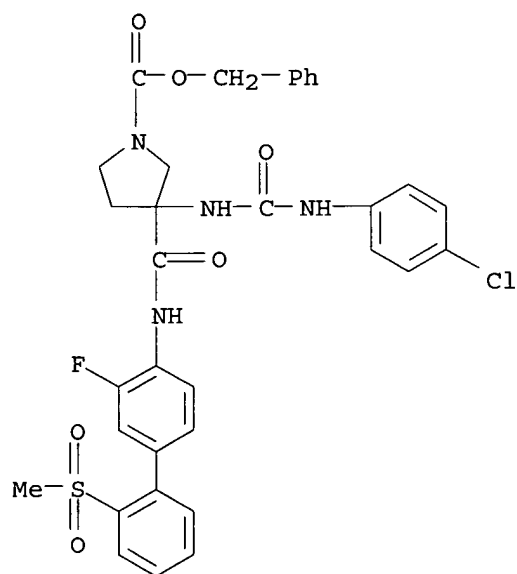
CN Cyclopropanecarboxamide, 1-[[[(4-chlorophenyl)amino]carbonyl]amino]-N-[2-fluoro-4-(2-oxo-1-piperidinyl)phenyl]-2-(hydroxymethyl)-, (1R,2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



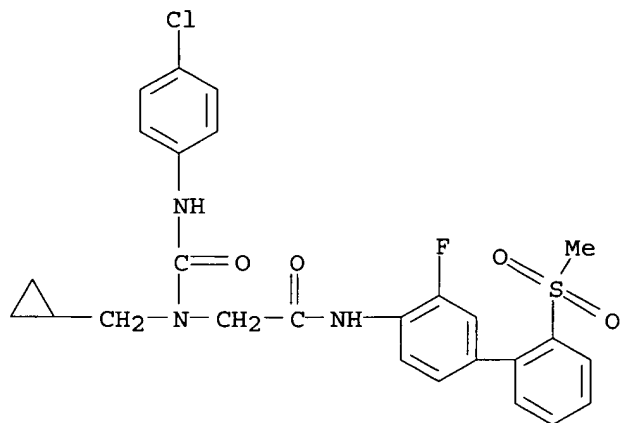
RN 675834-16-9 HCAPLUS

CN 1-Pyrrolidinecarboxylic acid, 3-[[[(4-chlorophenyl)amino]carbonyl]amino]-3-[[[3-fluoro-2'-(methylsulfonyl)[1,1'-biphenyl]-4-yl]amino]carbonyl]-, phenylmethyl ester (9CI) (CA INDEX NAME)



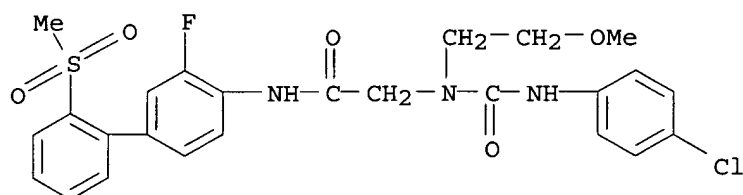
RN 675834-22-7 HCAPLUS

CN Acetamide, 2-[[[(4-chlorophenyl)amino]carbonyl] (cyclopropylmethyl)amino]-N-[3-fluoro-2'-(methylsulfonyl)[1,1'-biphenyl]-4-yl]- (9CI) (CA INDEX NAME)



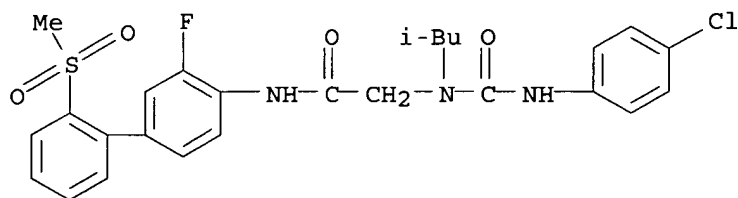
RN 675834-25-0 HCAPLUS

CN Acetamide, 2-[[[(4-chlorophenyl)amino]carbonyl](2-methoxyethyl)amino]-N-[3-fluoro-2'-(methylsulfonyl)[1,1'-biphenyl]-4-yl]- (9CI) (CA INDEX NAME)



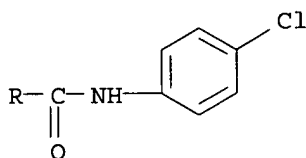
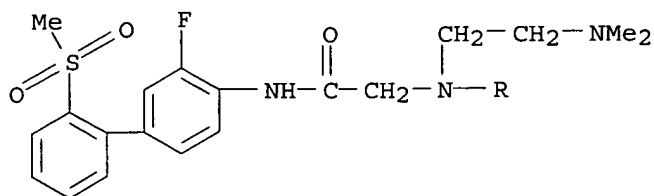
RN 675834-26-1 HCAPLUS

CN Acetamide, 2-[[[(4-chlorophenyl)amino]carbonyl](2-methylpropyl)amino]-N-[3-fluoro-2'-(methylsulfonyl)[1,1'-biphenyl]-4-yl]- (9CI) (CA INDEX NAME)



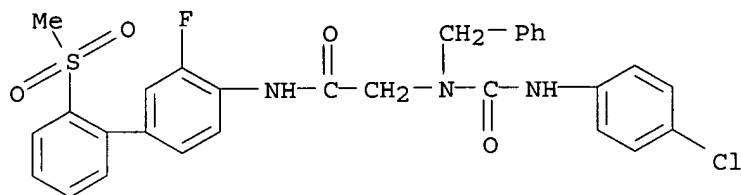
RN 675834-27-2 HCAPLUS

CN Acetamide, 2-[[[(4-chlorophenyl)amino]carbonyl][2-(dimethylamino)ethyl]amino]-N-[3-fluoro-2'-(methylsulfonyl)[1,1'-biphenyl]-4-yl]- (9CI) (CA INDEX NAME)



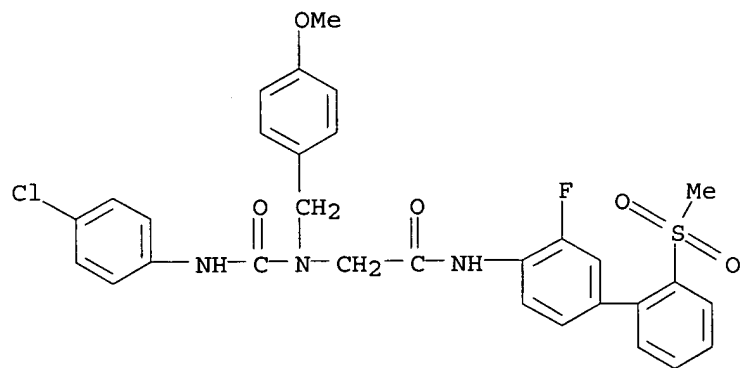
RN 675834-28-3 HCAPLUS

CN Acetamide, 2-[[[(4-chlorophenyl)amino]carbonyl] (phenylmethyl)amino]-N-[3-fluoro-2'-(methylsulfonyl) [1,1'-biphenyl]-4-yl]- (9CI) (CA INDEX NAME)



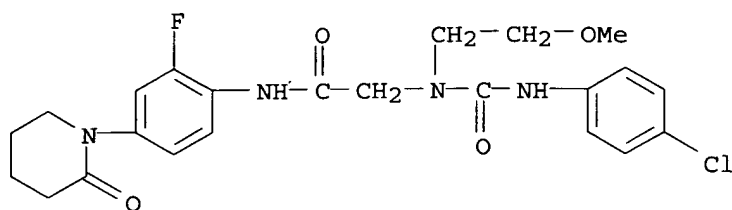
RN 675834-29-4 HCAPLUS

CN Acetamide, 2-[[[(4-chlorophenyl)amino]carbonyl] [(4-methoxyphenyl)methyl]amino]-N-[3-fluoro-2'-(methylsulfonyl) [1,1'-biphenyl]-4-yl]- (9CI) (CA INDEX NAME)



RN 675834-30-7 HCAPLUS

CN Acetamide, 2-[[[(4-chlorophenyl)amino]carbonyl] (2-methoxyethyl)amino]-N-[2-fluoro-4-(2-oxo-1-piperidinyl)phenyl]- (9CI) (CA INDEX NAME)



IT 675833-88-2P, 1-[3-(4-Chlorophenyl)ureido]cyclopent-3-ene-1-carboxylic acid N-(2'-tert-butylsulfamoyl-3-fluorobiphenyl-4-yl)amide

675834-00-1P, N-[2'-(tert-Butylsulfamoyl)biphenyl-4-yl]-2-[3-(4-chlorophenyl)ureido]-3-hydroxy-2-hydroxymethylpropionamide

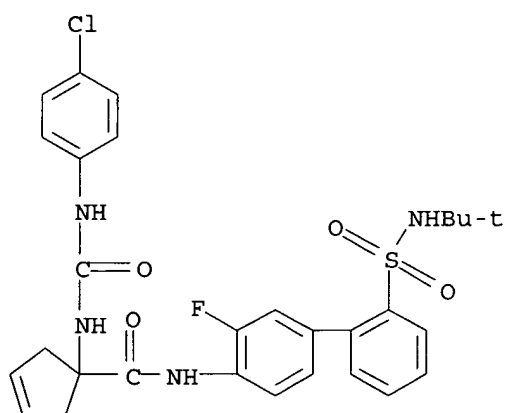
675834-14-7P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(intermediate; preparation of [phenylureido(hetero)cyclyl]carboxamides as factor Xa inhibitors for treatment of abnormal thrombosis)

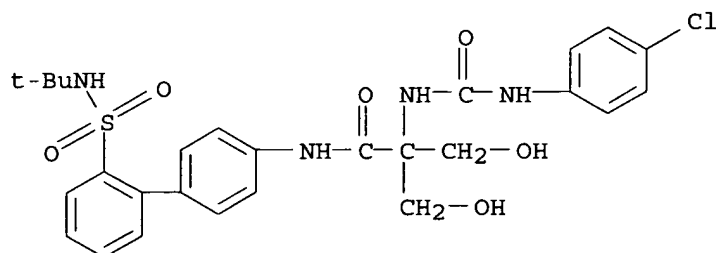
RN 675833-88-2 HCAPLUS

CN 3-Cyclopentene-1-carboxamide, 1-[[[(4-chlorophenyl)amino]carbonyl]amino]-N-[2'-[[[(1,1-dimethylethyl)amino]sulfonyl]-3-fluoro[1,1'-biphenyl]-4-yl]]-(9CI) (CA INDEX NAME)



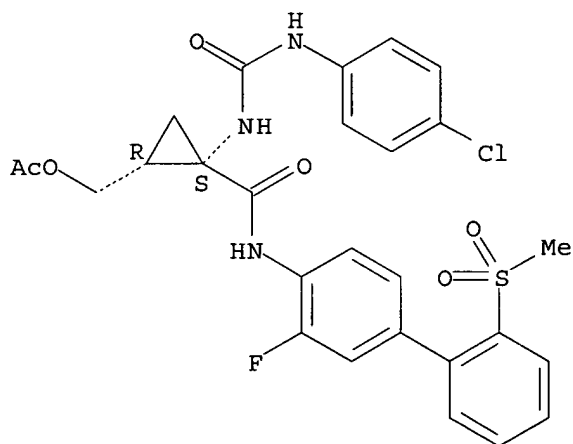
RN 675834-00-1 HCAPLUS

CN Propanamide, 2-[[[(4-chlorophenyl)amino]carbonyl]amino]-N-[2'-[[[(1,1-dimethylethyl)amino]sulfonyl][1,1'-biphenyl]-4-yl]-3-hydroxy-2-(hydroxymethyl)]-(9CI) (CA INDEX NAME)



RN 675834-14-7 HCAPLUS
 CN Cyclopropanecarboxamide, 2-[(acetyloxy)methyl]-1-[[[(4-chlorophenyl)amino]carbonyl]amino]-N-[3-fluoro-2'-(methylsulfonyl)[1,1'-biphenyl]-4-yl]-, (1S,2R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L10 ANSWER 6 OF 15 HCAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 2004:143100 HCAPLUS

DOCUMENT NUMBER: 140:199315

TITLE: Preparation of iminothiazolidinone amino acid derivatives as inhibitors of HCV replication

INVENTOR(S): Romine, Jeffrey Lee; Martin, Scott W.; Snyder, Lawrence B.; Serrano-Wu, Michael; Deshpande, Milind; Whitehouse, Darren; Lemm, Julie; O'Boyle, Donald; Gao, Min; Colonno, Richard

PATENT ASSIGNEE(S): Bristol-Myers Squibb Company, USA

SOURCE: PCT Int. Appl., 127 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 3

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004014852	A2	20040219	WO 2003-US24717	20030808
WO 2004014852	A3	20040422		

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW

RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

US 2005069522	A1	20050331	US 2003-637156	20030808
US 2005096364	A1	20050505	US 2003-637099	20030808
PRIORITY APPLN. INFO.:			US 2002-402661P	P 20020812
			US 2002-403694P	P 20020815
OTHER SOURCE(S):	MARPAT 140:199315			
GI				

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB The title compound I [R1 = C1-C6 alkyl, C3-C7 cycloalkyl, C6-C10 aryl, C1-C6 alkoxy, C6-C10 aryloxy, C6-C10 aryl(C1-C6)alkyl, C6-C10 aryl(C1-C6)alkoxy, etc.; R2, R3 = independently C1-C6 alkyl, C3-C7 cycloalkyl, C6-C10 aryl, C1-C6 alkoxy, C6-C10 aryloxy, heterocyclyl, C6-C10 aryl(C1-C6)alkyl, C6-C10 aryl(C1-C6)alkoxy, etc., with the proviso that one of R2 or R3 can be a bond and R2 and R3 are joined to form a cyclic structure; R4 = C1-C4 alkyl, optionally substituted with 1-3 halo, 1-3 oxygen, or 1-3 nitrogen, said R4 having an S stereoconfiguration; R5 = H or a bond wherein R4 and R5 are joined to form a cyclic structure] were prepared as inhibitors of HCV replication. Thus, reaction of 5-(4-aminophenyl)-2-(3-fluorophenylimino)-3-furan-2-ylmethylthiazolidin-4-one (preparation given) with N-benzyloxycarbonyl-L-alanyl chloride gave compound II. The prepared compds. were assayed for the inhibition of HCV replicon cell line and were classified with activity of $EC_{50} < 0.1 \mu M$, $0.1 \mu M \leq EC_{50} \leq 1 \mu M$, $1 \mu M \leq EC_{50} \leq 5 \mu M$, or $EC_{50} \geq 5 \mu M$.

IT **657414-06-7P**

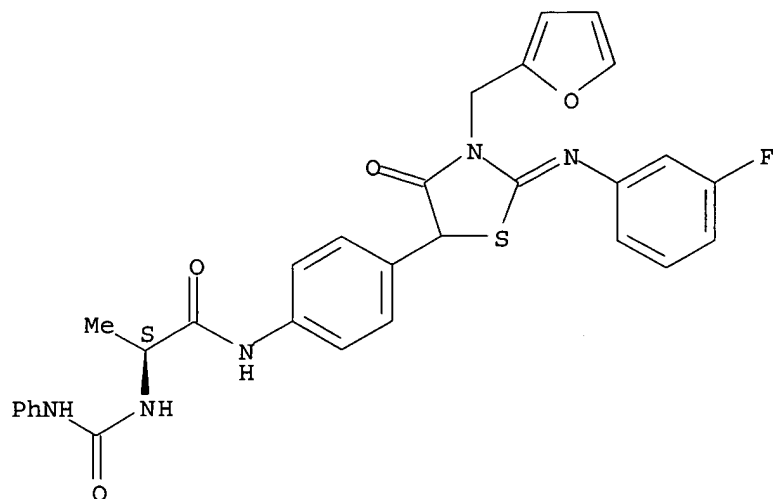
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of iminothiazolidinone amino acid derivs. as inhibitors of HCV replication)

RN 657414-06-7 HCAPLUS

CN Propanamide, N-[4-[2-[(3-fluorophenyl)imino]-3-(2-furanylmethyl)-4-oxo-5-thiazolidinyl]phenyl]-2-[(phenylamino)carbonyl]amino]-, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry unknown.



L10 ANSWER 7 OF 15 HCAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 2004:142910 HCAPLUS

DOCUMENT NUMBER: 140:199742

TITLE: Preparation of iminothiazolidinone amino acid derivatives as combination pharmaceutical agents for use as inhibitors of HCV replication

INVENTOR(S): Colonno, Richard; Lemm, Julie; O'Boyle, Donald; Gao, Min; Romine, Jeffrey Lee; Martin, Scott W.; Snyder, Lawrence B.; Serrano-Wu, Michael; Deshpande, Milind; Whitehouse, Darren

PATENT ASSIGNEE(S): Bristol-Myers Squibb Company, USA

SOURCE: PCT Int. Appl., 129 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 3

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004014313	A2	20040219	WO 2003-US25036	20030808
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW			
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US 2005069522	A1	20050331	US 2003-637156	20030808
US 2005096364	A1	20050505	US 2003-637099	20030808
PRIORITY APPLN. INFO.:			US 2002-402661P	P 20020812
			US 2002-403694P	P 20020815

OTHER SOURCE(S): MARPAT 140:199742

GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB Disclosed are combination pharmaceutical agents for the treatment of an HCV infection comprising a compound which is effective in inhibiting the function of the HCV NS5A protein and another compound having anti-HCV activity. Compds. which can inhibit the function of the NS5A protein have structure I [R1, R2, R3 are (cyclo)alkyl, aryl, alkoxy, aryloxy, arylalkyl, etc.; R4 is alkyl, optionally substituted by halogen, oxygen, or nitrogen; R2/R3 and R4/R5 can form rings] or their pharmaceutically-acceptable salt or prodrugs. Compds. having anti-HCV activity are selected from HCV metalloprotease, HCV serine protease, HCV polymerase, HCV helicase, etc. Thus, compound II was prepared by reaction of 5-(4-aminophenyl)-2-[(3-fluorophenyl)imino]-3-(furan-2-ylmethyl)thiazolidin-4-one (preparation given) with N-(benzyloxycarbonyl)-L-alanyl chloride (Cbz-L-Ala-Cl) and showed EC50 = 0.1-1 μ M in the HCV replicon cell line assay.

IT 657414-06-7P

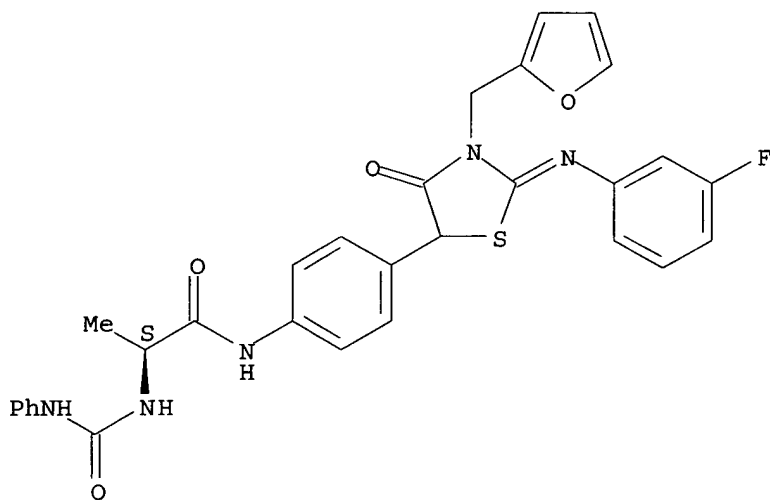
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of iminothiazolidinone amino acid derivs. as combination pharmaceutical agents for use as inhibitors of HCV replication)

RN 657414-06-7 HCAPLUS

CN Propanamide, N-[4-[2-[(3-fluorophenyl)imino]-3-(2-furanylmethyl)-4-oxo-5-thiazolidinyl]phenyl]-2-[[[(phenylamino)carbonyl]amino]-, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry unknown.



L10 ANSWER 8 OF 15 HCAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 2003:892749 HCAPLUS

DOCUMENT NUMBER: 139:381378

TITLE: Preparation of carboxylic acid amides as inhibitors of blood-coagulation factor Xa and VIIa

INVENTOR(S): Dorsch, Dieter; Mederski, Werner; Gleitz, Johannes;
Cezanne, Bertram; Tsaklakidis, Christos; Barnes,
Christopher
PATENT ASSIGNEE(S): Merck Patent G.m.b.H., Germany
SOURCE: PCT Int. Appl., 79 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: German
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003093235	A1	20031113	WO 2003-EP3331	20030331
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW			
RW:	GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG			
DE 10218974	A1	20031127	DE 2002-10218974	20020427
DE 10236868	A1	20040226	DE 2002-10236868	20020812
CA 2483228	AA	20031113	CA 2003-2483228	20030331
EP 1499591	A1	20050126	EP 2003-747402	20030331
R:	AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK			
US 2005171154	A1	20050804	US 2003-512478	20030331
JP 2005531547	T2	20051020	JP 2004-501374	20030331
PRIORITY APPLN. INFO.:			DE 2002-10218974	A 20020427
			DE 2002-10236868	A 20020812
			WO 2003-EP3331	W 20030331

OTHER SOURCE(S): MARPAT 139:381378

AB Carboxylic acid amides DNHC(O)CHR1C(O)NHWT [D = (substituted) Ph, pyridyl, thienyl; X = NR3, O; R1 = H, Ar, Het, cycloalkyl, (substituted) A; W = [C(R3)2]n; Y = alkylene, cycloalkylene, Het-diyl, Ar-diyl; T = (bicyclic) (substituted) heterocyclyl; R3 = H, A; A = (branched) (interrupted) (fluorinated) C1-10 alkyl; Ar = (substituted) Ph, naphthyl, biphenyl; Het = (bicyclic) (substituted) heterocyclyl; n = 0-2], were prepared for treating thrombosis and tumors. Thus, (R)-2-[N-(4-chlorophenyl)-carbamoyloxy]-N-[4-(2-iminopiperidin-1-yl)phenyl]-2-phenylacetamide (preparation given) in HCl was lyophilized to give (R)-2-[N-(4-chlorophenyl)-carbamoyloxy]-N-[4-(2-iminopiperidin-1-yl)phenyl]-2-phenylacetamide hydrochloride. The latter showed affinity to the receptor Xa with IC50 = 5.8·10⁻⁸ M and to the receptor VIIa with IC50 = 9.9·10⁻⁸ M.

IT 625102-16-1P 625102-18-3P 625102-20-7P
625102-30-9P

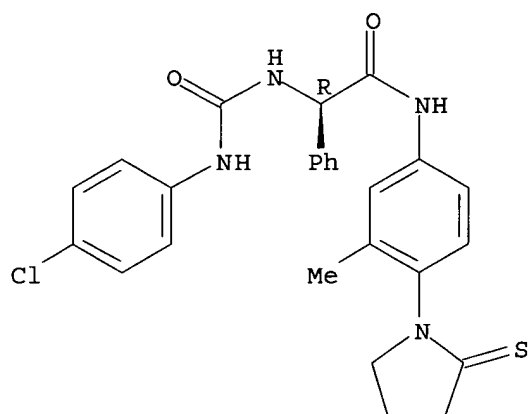
RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
(preparation of carboxylic acid amides as inhibitors of blood-coagulation factor Xa and VIIa)

RN 625102-16-1 HCAPLUS

CN Benzeneacetamide, α-[[[(4-chlorophenyl)amino]carbonyl]amino]-N-[3-methyl-4-(2-thioxo-1-pyrrolidiny)phenyl]-, (αR)- (9CI) (CA INDEX

NAME)

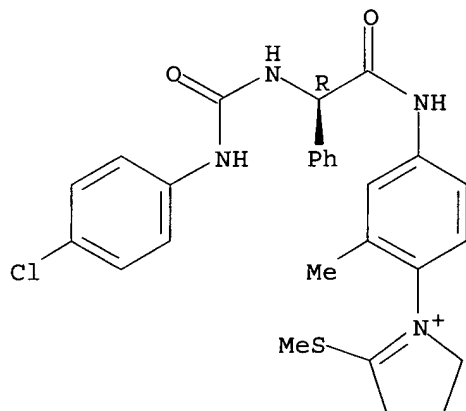
Absolute stereochemistry.



RN 625102-18-3 HCAPLUS

CN 2H-Pyrrolium, 1- [4- [[(2R) - [[[(4-chlorophenyl) amino] carbonyl] amino] phenylacetyl] amino] -2-methylphenyl] -3,4-dihydro-5- (methylthio) -, iodide (9CI) (CA INDEX NAME)

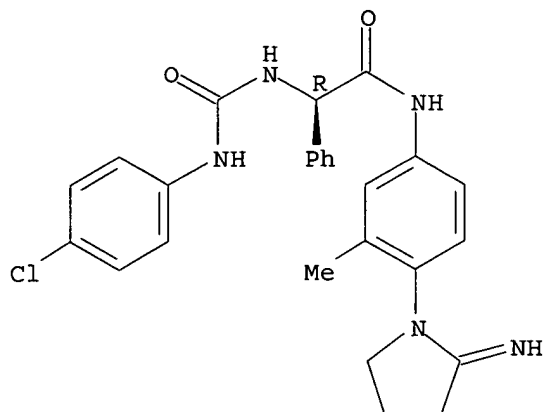
Absolute stereochemistry.

● I⁻

RN 625102-20-7 HCAPLUS

CN Benzeneacetamide, α- [[[(4-chlorophenyl) amino] carbonyl] amino] -N- [4- (2-imino-1-pyrrolidinyl) -3-methylphenyl] -, (αR) - (9CI) (CA INDEX NAME)

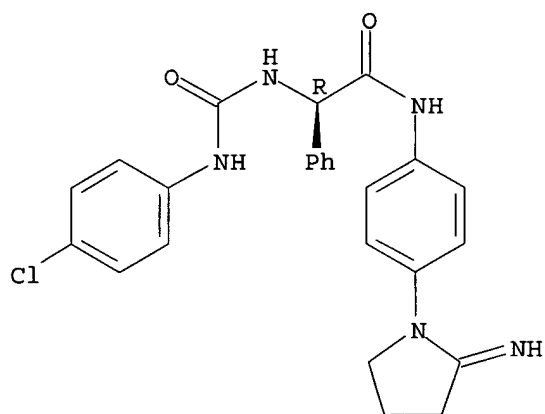
Absolute stereochemistry.



RN 625102-30-9 HCAPLUS

CN Benzeneacetamide, α-[[[(4-chlorophenyl)amino]carbonyl]amino]-N-[4-(2-imino-1-pyrrolidinyl)phenyl]-, (αR)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



IT 625102-22-9P 625102-24-1P 625102-26-3P
 625102-28-5P 625102-32-1P 625102-34-3P
 625102-36-5P 625102-38-7P 625102-40-1P
 625102-42-3P 625102-43-4P 625102-46-7P
 625102-49-0P 625102-64-9P 625102-66-1P
 625102-67-2P 625102-69-4P 625102-70-7P
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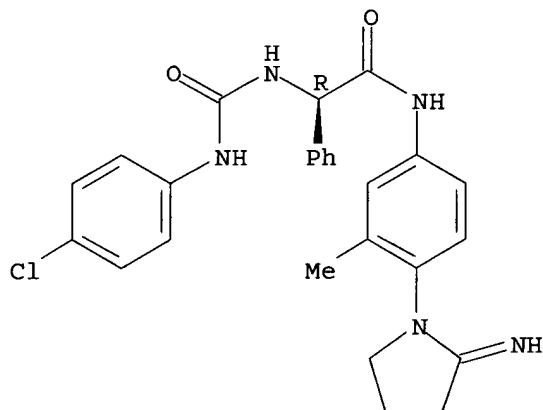
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of carboxylic acid amides as inhibitors of blood-coagulation factor Xa and VIIa)

RN 625102-22-9 HCAPLUS

CN Benzeneacetamide, α -[[[(4-chlorophenyl)amino]carbonyl]amino]-N-[4-(2-imino-1-pyrrolidinyl)-3-methylphenyl]-, monohydrochloride, (α R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

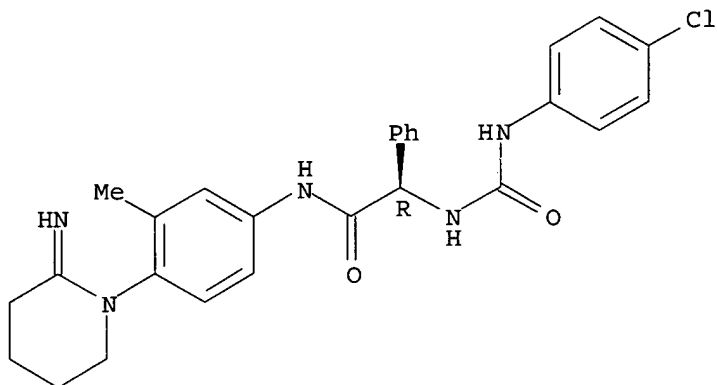


● HCl

RN 625102-24-1 HCAPLUS

CN Benzeneacetamide, α -[[[(4-chlorophenyl)amino]carbonyl]amino]-N-[4-(2-imino-1-piperidinyl)-3-methylphenyl]-, monohydrochloride, (α R)- (9CI) (CA INDEX NAME)

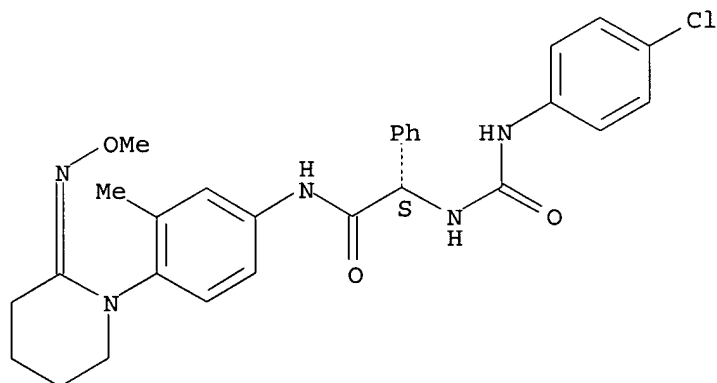
Absolute stereochemistry.



● HCl

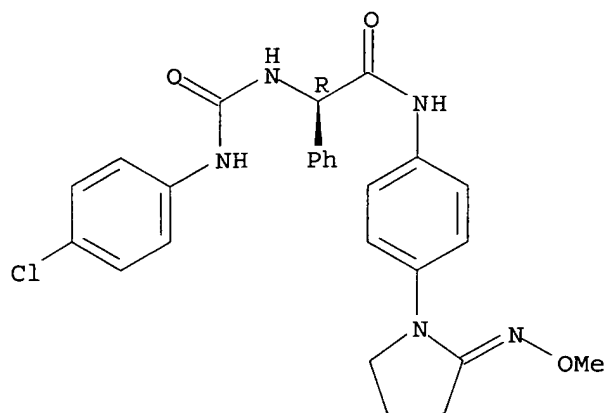
RN 625102-26-3 HCAPLUS
 CN Benzeneacetamide, α -[[[(4-chlorophenyl)amino]carbonyl]amino]-N-[4-[2-(methoxyimino)-1-piperidinyl]-3-methylphenyl]-, (α S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
 Double bond geometry unknown.



RN 625102-28-5 HCAPLUS
 CN Benzeneacetamide, α -[[[(4-chlorophenyl)amino]carbonyl]amino]-N-[4-[2-(methoxyimino)-1-pyrrolidinyl]phenyl]-, (α R)- (9CI) (CA INDEX NAME)

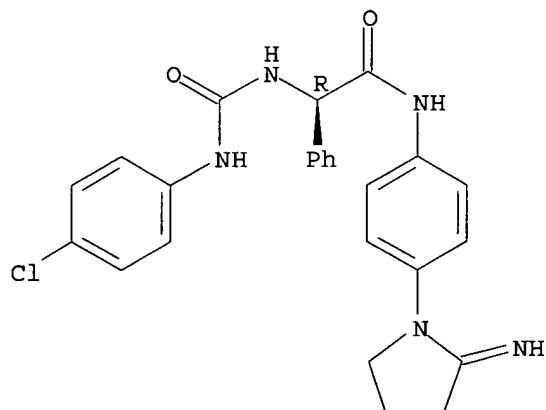
Absolute stereochemistry.
 Double bond geometry unknown.



RN 625102-32-1 HCAPLUS

CN Benzeneacetamide, α-[[[(4-chlorophenyl)amino]carbonyl]amino]-N-[4-(2-imino-1-pyrrolidinyl)phenyl]-, monohydrochloride, (αR)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

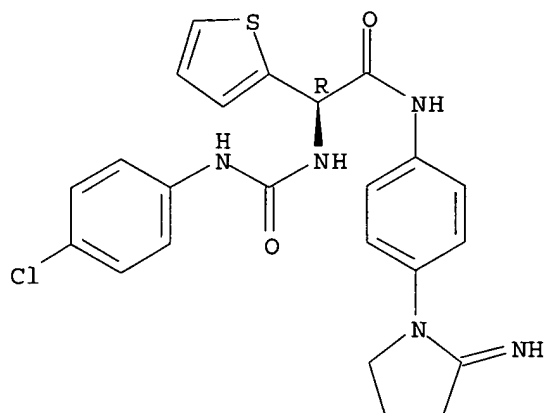


● HCl

RN 625102-34-3 HCAPLUS

CN 2-Thiopheneacetamide, α-[[[(4-chlorophenyl)amino]carbonyl]amino]-N-[4-(2-imino-1-pyrrolidinyl)phenyl]-, monohydrochloride, (αR)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

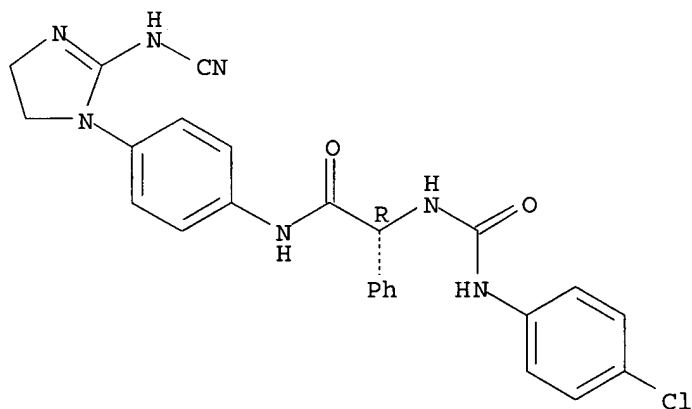


● HCl

RN 625102-36-5 HCAPLUS

CN Benzeneacetamide, α -[[[(4-chlorophenyl)amino]carbonyl]amino]-N-[4-[2-(cyanoamino)-4,5-dihydro-1H-imidazol-1-yl]phenyl]-, (α R)- (9CI) (CA INDEX NAME)

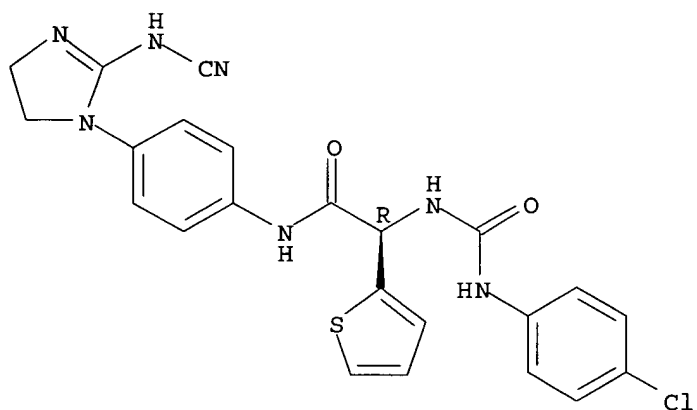
Absolute stereochemistry.



RN 625102-38-7 HCAPLUS

CN 2-Thiopheneacetamide, α -[[[(4-chlorophenyl)amino]carbonyl]amino]-N-[4-[2-(cyanoamino)-4,5-dihydro-1H-imidazol-1-yl]phenyl]-, (α R)- (9CI) (CA INDEX NAME)

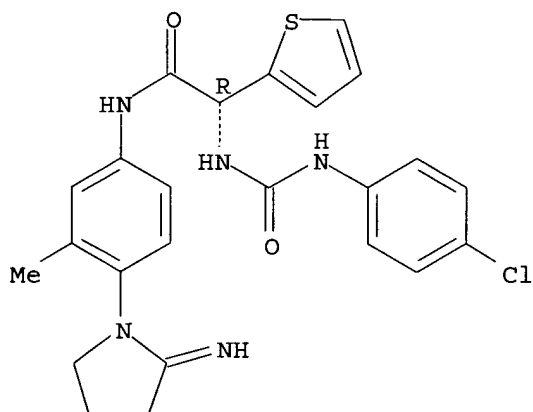
Absolute stereochemistry.



RN 625102-40-1 HCAPLUS

CN 2-Thiopheneacetamide, α -[[[(4-chlorophenyl)amino]carbonyl]amino]-N-[4-(2-imino-1-pyrrolidinyl)-3-methylphenyl]-, (α R)- (9CI) (CA INDEX NAME)

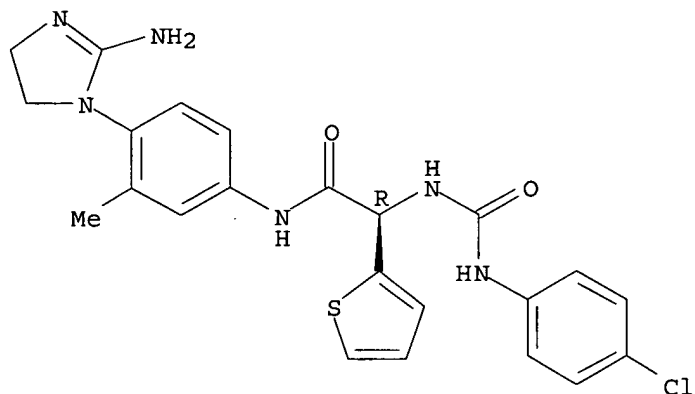
Absolute stereochemistry.



RN 625102-42-3 HCAPLUS

CN 2-Thiopheneacetamide, N-[4-(2-amino-4,5-dihydro-1H-imidazol-1-yl)-3-methylphenyl]- α -[[[(4-chlorophenyl)amino]carbonyl]amino]-, (α R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 625102-43-4 HCAPLUS

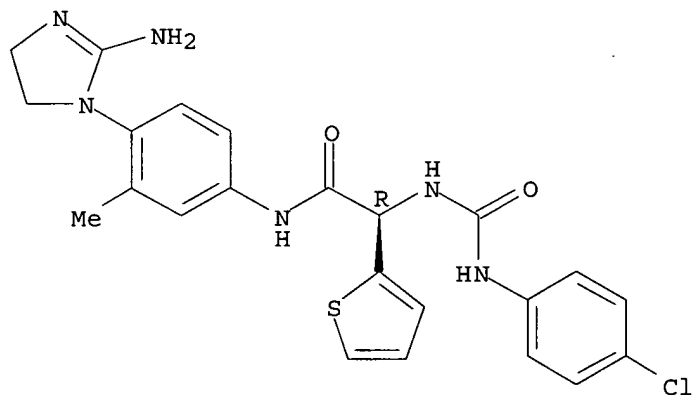
CN 2-Thiopheneacetamide, N-[4-(2-amino-4,5-dihydro-1H-imidazol-1-yl)-3-methylphenyl]-α-[[[(4-chlorophenyl)amino]carbonyl]amino]-, (αR)-, mono(trifluoroacetate) (9CI) (CA INDEX NAME)

CM 1

CRN 625102-42-3

CMF C23 H23 Cl N6 O2 S

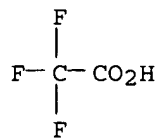
Absolute stereochemistry.



CM 2

CRN 76-05-1

CMF C2 H F3 O2



RN 625102-46-7 HCAPLUS

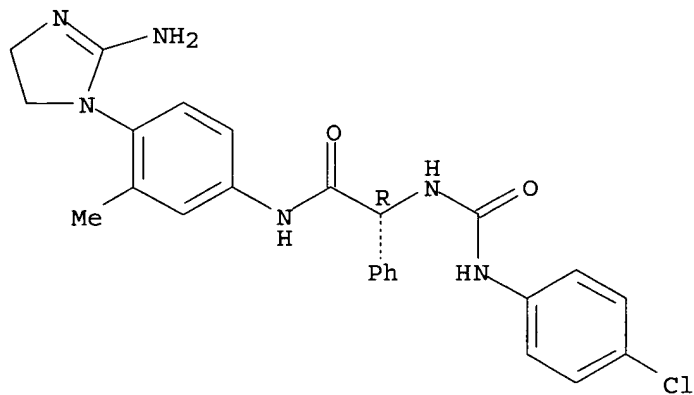
CN Benzeneacetamide, N-[4-(2-amino-4,5-dihydro-1H-imidazol-1-yl)-3-methylphenyl]- α -[[[(4-chlorophenyl)amino]carbonyl]amino]-, (α R)-, mono(trifluoroacetate) (9CI) (CA INDEX NAME)

CM 1

CRN 625102-45-6

CMF C25 H25 Cl N6 O2

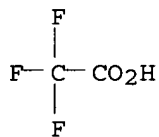
Absolute stereochemistry.



CM 2

CRN 76-05-1

CMF C2 H F3 O2



RN 625102-49-0 HCAPLUS

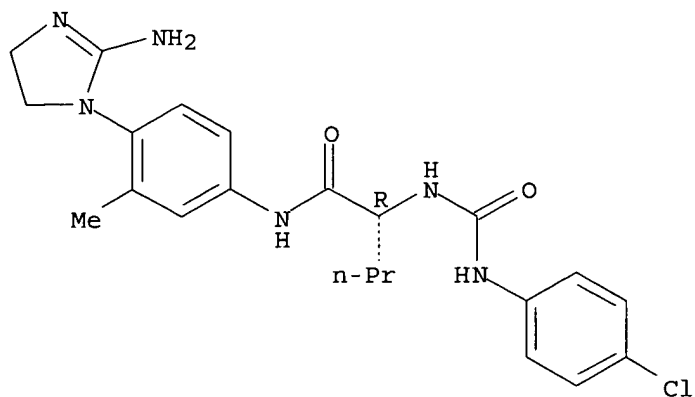
CN Pentanamide, N-[4-(2-amino-4,5-dihydro-1H-imidazol-1-yl)-3-methylphenyl]-2-[[[(4-chlorophenyl)amino]carbonyl]amino]-, (2R)-, mono(trifluoroacetate) (9CI) (CA INDEX NAME)

CM 1

CRN 625102-48-9

CMF C22 H27 Cl N6 O2

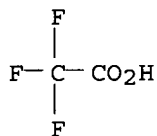
Absolute stereochemistry.



CM 2

CRN 76-05-1

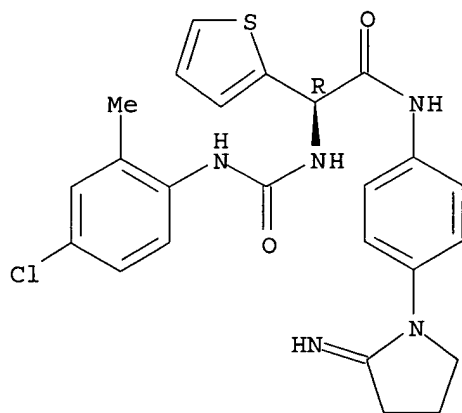
CMF C2 H F3 O2



RN 625102-64-9 HCAPLUS

CN 2-Thiopheneacetamide, α -[[[(4-chloro-2-methylphenyl)amino]carbonyl]amino]-N-[4-(2-imino-1-pyrrolidinyl)phenyl]-, (α R)- (9CI) (CA INDEX NAME)

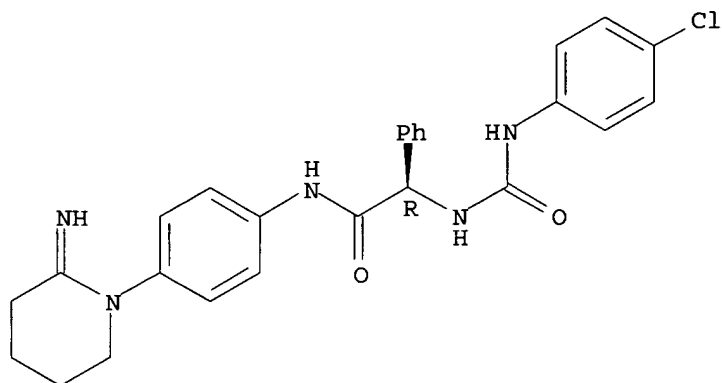
Absolute stereochemistry.



RN 625102-66-1 HCAPLUS

CN Benzeneacetamide, α -[[[(4-chlorophenyl)amino]carbonyl]amino]-N-[4-(2-imino-1-piperidiny)phenyl]-, (α R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 625102-67-2 HCAPLUS

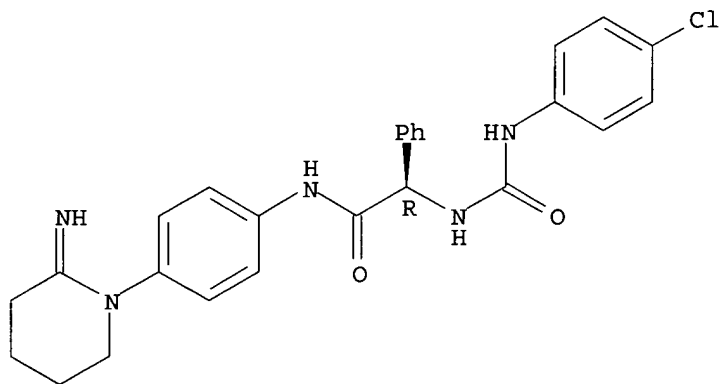
CN Benzeneacetamide, α-[[[(4-chlorophenyl)amino]carbonyl]amino]-N-[4-(2-imino-1-piperidinyl)phenyl]-, (αR)-, (2R,3R)-2,3-dihydroxybutanedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 625102-66-1

CMF C26 H26 Cl N5 O2

Absolute stereochemistry.

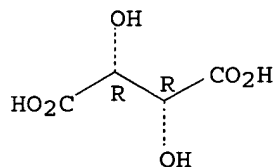


CM 2

CRN 87-69-4

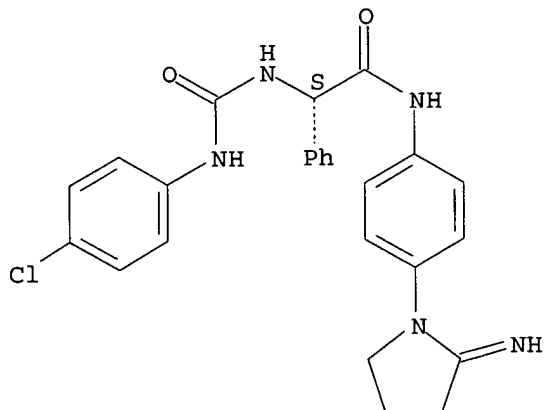
CMF C4 H6 O6

Absolute stereochemistry.



RN 625102-69-4 HCAPLUS
 CN Benzeneacetamide, α -[[[(4-chlorophenyl)amino]carbonyl]amino]-N-[4-(2-imino-1-pyrrolidinyl)phenyl]-, (α S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

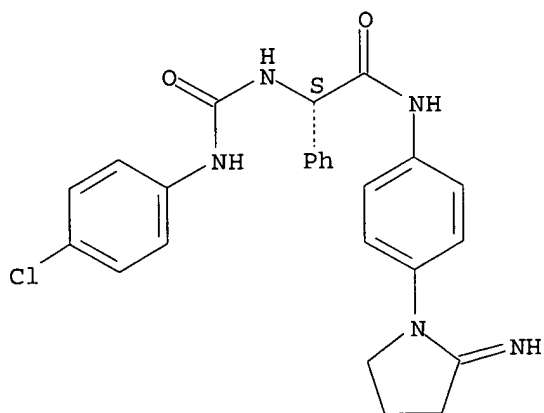


RN 625102-70-7 HCAPLUS
 CN Benzeneacetamide, α -[[[(4-chlorophenyl)amino]carbonyl]amino]-N-[4-(2-imino-1-pyrrolidinyl)phenyl]-, (α S)-, (2S,3S)-2,3-dihydroxybutanedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 625102-69-4
 CMF C25 H24 Cl N5 O2

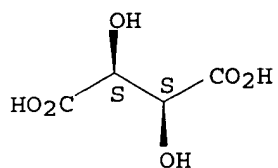
Absolute stereochemistry.



CM 2

CRN 147-71-7
 CMF C4 H6 O6

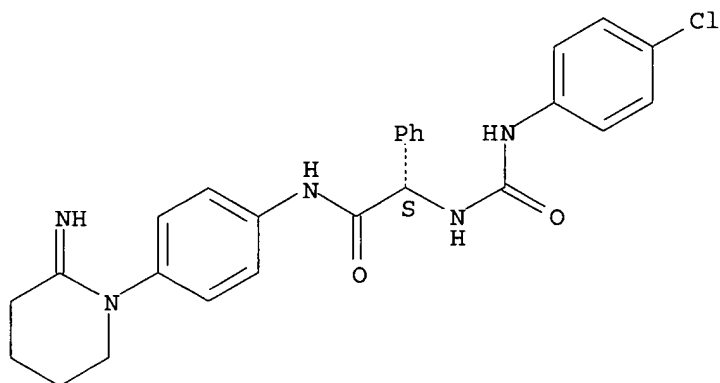
Absolute stereochemistry.



RN 625102-72-9 HCAPLUS

CN Benzeneacetamide, α -[[[(4-chlorophenyl)amino]carbonyl]amino]-N-[4-(2-imino-1-piperidinyl)phenyl]-, (α S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 625102-73-0 HCAPLUS

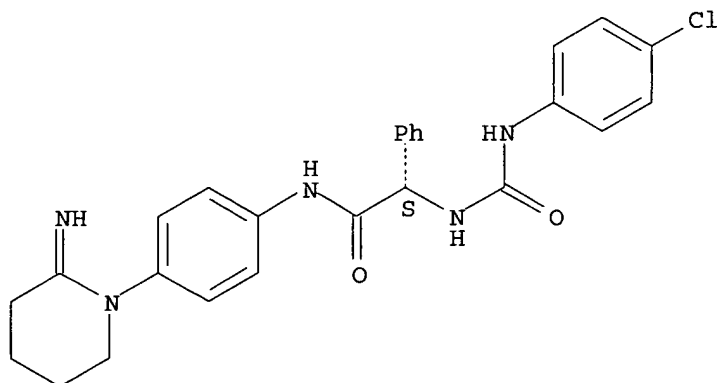
CN Benzeneacetamide, α -[[[(4-chlorophenyl)amino]carbonyl]amino]-N-[4-(2-imino-1-piperidinyl)phenyl]-, (α S)-, (2S,3S)-2,3-dihydroxybutanedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 625102-72-9

CMF C26 H26 Cl N5 O2

Absolute stereochemistry.

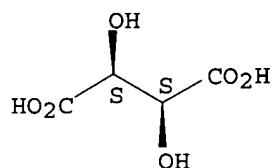


CM 2

CRN 147-71-7

CMF C4 H6 O6

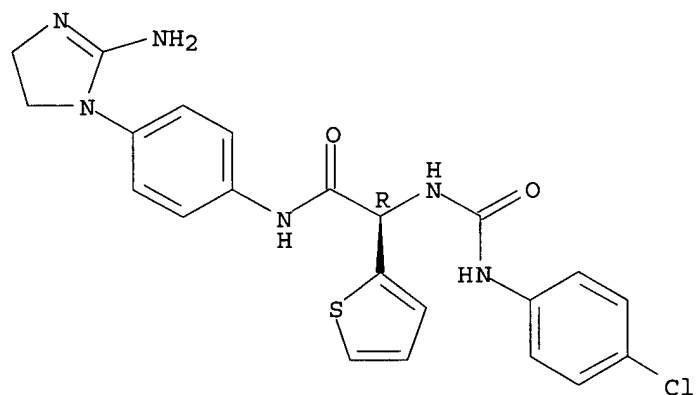
Absolute stereochemistry.



RN 625102-75-2 HCAPLUS

CN 2-Thiopheneacetamide, N-[4-(2-amino-4,5-dihydro-1H-imidazol-1-yl)phenyl]-
α-[[[(4-chlorophenyl)amino]carbonyl]amino]-, (αR)- (9CI) (CA
INDEX NAME)

Absolute stereochemistry.



RN 625102-76-3 HCAPLUS

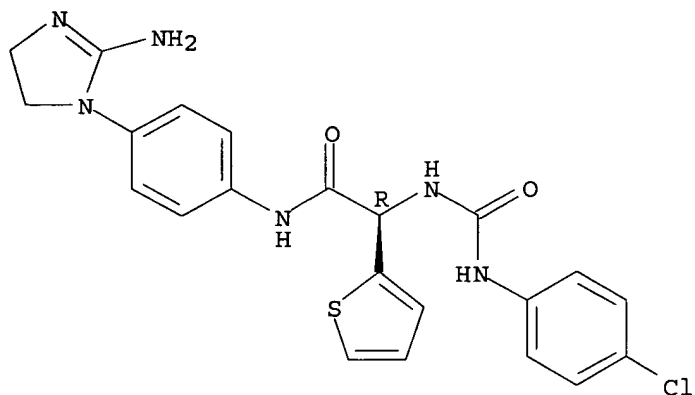
CN 2-Thiopheneacetamide, N-[4-(2-amino-4,5-dihydro-1H-imidazol-1-yl)phenyl]-
α-[[[(4-chlorophenyl)amino]carbonyl]amino]-, (αR)-,
mono(trifluoroacetate) (9CI) (CA INDEX NAME)

CM 1

CRN 625102-75-2

CMF C22 H21 Cl N6 O2 S

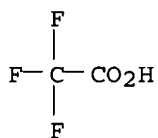
Absolute stereochemistry.



CM 2

CRN 76-05-1

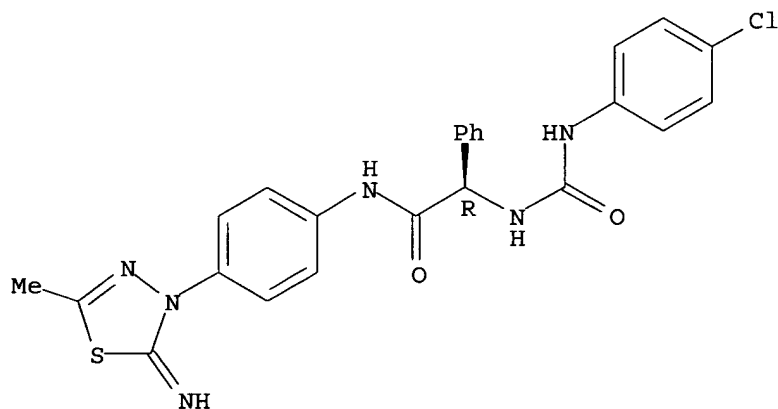
CMF C2 H F3 O2



RN 625102-78-5 HCAPLUS

CN Benzeneacetamide, α -[[[(4-chlorophenyl)amino]carbonyl]amino]-N-[4-(2-imino-5-methyl-1,3,4-thiadiazol-3(2H)-yl)phenyl]-, (α R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 625102-79-6 HCAPLUS

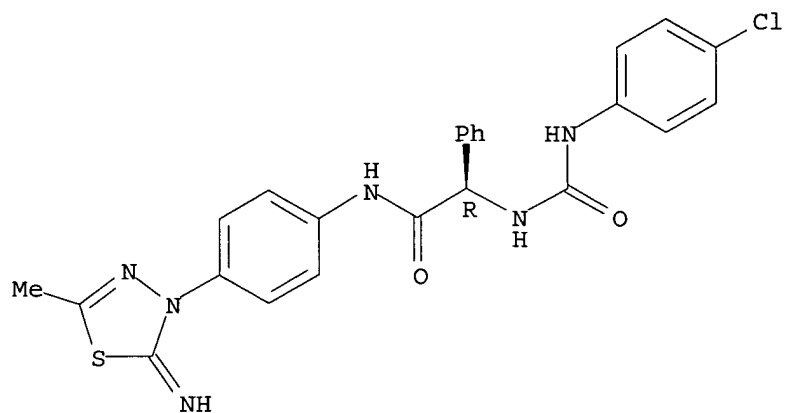
CN Benzeneacetamide, α -[[[(4-chlorophenyl)amino]carbonyl]amino]-N-[4-(2-imino-5-methyl-1,3,4-thiadiazol-3(2H)-yl)phenyl]-, (α R)-, mono(trifluoroacetate) (9CI) (CA INDEX NAME)

CM 1

CRN 625102-78-5

CMF C24 H21 Cl N6 O2 S

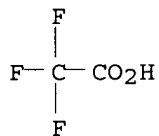
Absolute stereochemistry.



CM 2

CRN 76-05-1

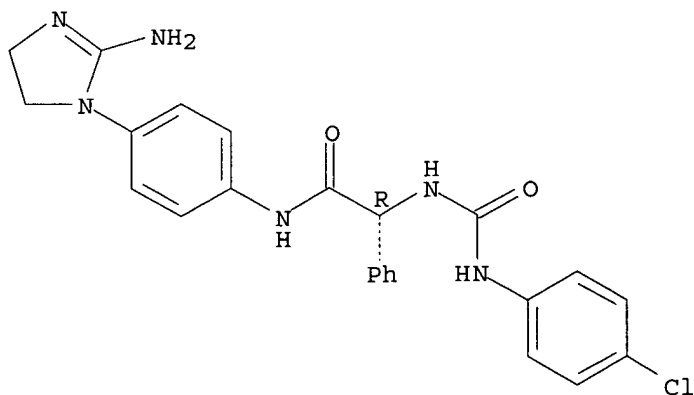
CMF C2 H F3 O2



RN 625102-81-0 HCAPLUS

CN Benzeneacetamide, N-[4-(2-amino-4,5-dihydro-1H-imidazol-1-yl)phenyl]-
 α -[[[(4-chlorophenyl)amino]carbonyl]amino]-, (α R) - (9CI) (CA
 INDEX NAME)

Absolute stereochemistry.

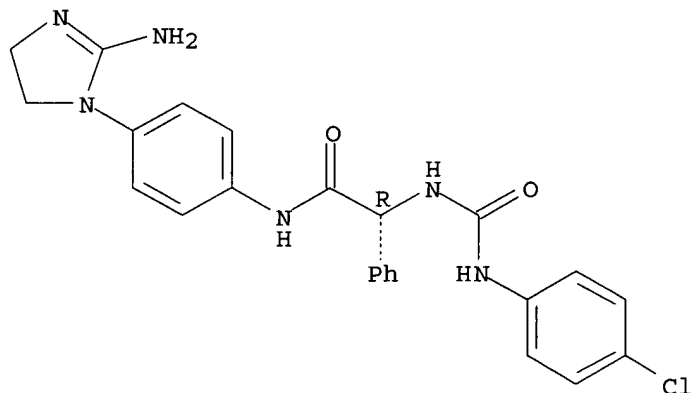


RN 625102-82-1 HCAPLUS
 CN Benzeneacetamide, N-[4-(2-amino-4,5-dihydro-1H-imidazol-1-yl)phenyl]-
 α -[[[(4-chlorophenyl)amino]carbonyl]amino]-, (α R)-,
 mono(trifluoroacetate) (9CI) (CA INDEX NAME)

CM 1

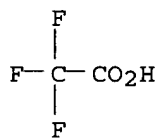
CRN 625102-81-0
 CMF C24 H23 Cl N6 O2

Absolute stereochemistry.



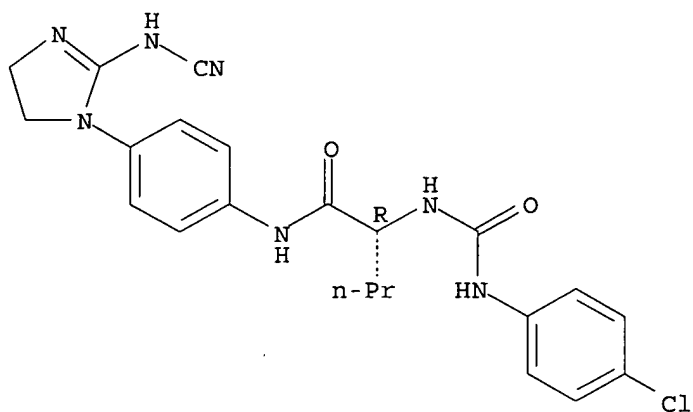
CM 2

CRN 76-05-1
 CMF C2 H F3 O2



RN 625102-86-5 HCAPLUS
 CN Pentanamide, 2-[[[(4-chlorophenyl)amino]carbonyl]amino]-N-[4-[2-(cyanoamino)-4,5-dihydro-1H-imidazol-1-yl]phenyl]-, (2R)- (9CI) (CA INDEX NAME)

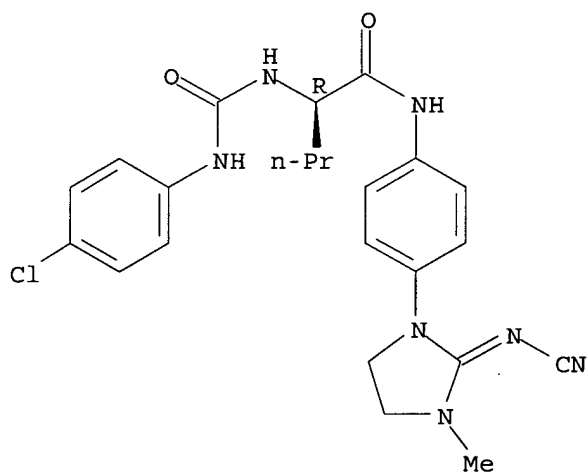
Absolute stereochemistry.



RN 625102-88-7 HCAPLUS

CN Pentanamide, 2-[[[(4-chlorophenyl)amino]carbonyl]amino]-N-[4-[2-(cyanoimino)-3-methyl-1-imidazolidinyl]phenyl]-, (2R)- (9CI) (CA INDEX NAME)

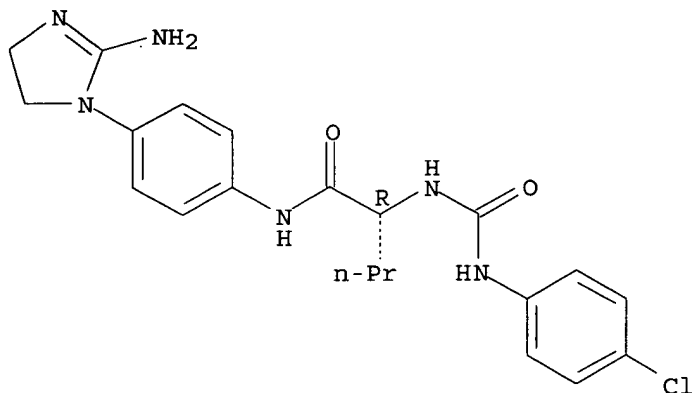
Absolute stereochemistry.
Double bond geometry unknown.



RN 625102-90-1 HCAPLUS

CN Pentanamide, N-[4-(2-amino-4,5-dihydro-1H-imidazol-1-yl)phenyl]-2-[[[(4-chlorophenyl)amino]carbonyl]amino]-, (2R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 625102-91-2 HCAPLUS

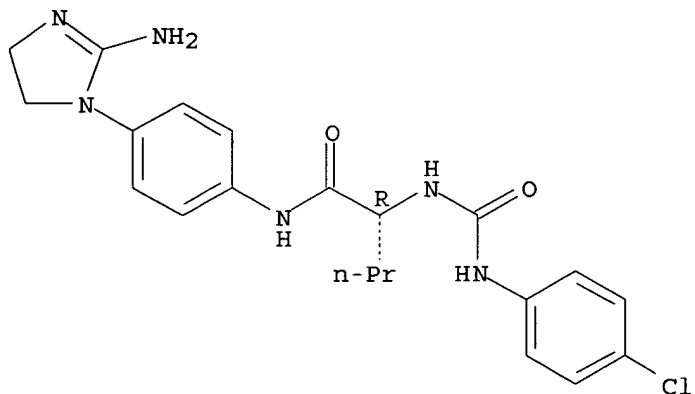
CN Pentanamide, N-[4-(2-amino-4,5-dihydro-1H-imidazol-1-yl)phenyl]-2-[[[(4-chlorophenyl)amino]carbonyl]amino]-, (2R)-, mono(trifluoroacetate) (9CI)
(CA INDEX NAME)

CM 1

CRN 625102-90-1

CMF C21 H25 Cl N6 O2

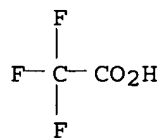
Absolute stereochemistry.



CM 2

CRN 76-05-1

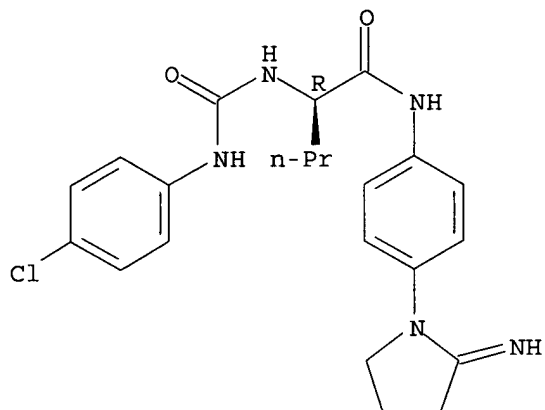
CMF C2 H F3 O2



RN 625102-93-4 HCAPLUS

CN Pentanamide, 2-[[[(4-chlorophenyl)amino]carbonyl]amino]-N-[4-(2-imino-1-pyrrolidinyl)phenyl]-, (2R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 625102-94-5 HCAPLUS

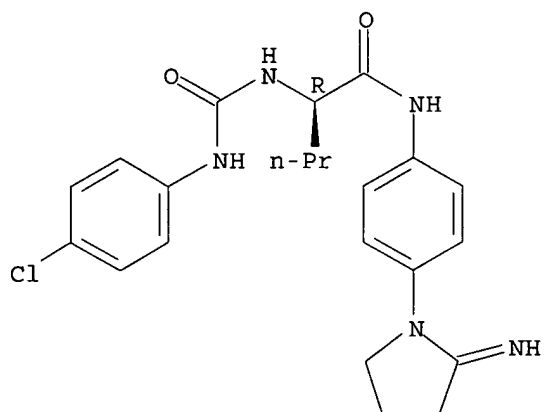
CN Pentanamide, 2-[[[(4-chlorophenyl)amino]carbonyl]amino]-N-[4-(2-imino-1-pyrrolidinyl)phenyl]-, (2R)-, mono(trifluoroacetate) (9CI) (CA INDEX NAME)

CM 1

CRN 625102-93-4

CMF C22 H26 Cl N5 O2

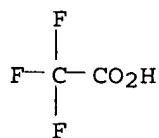
Absolute stereochemistry.



CM 2

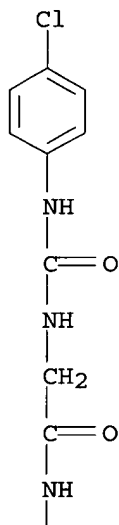
CRN 76-05-1

CMF C2 H F3 O2

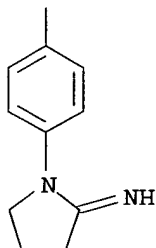


RN 625102-96-7 HCAPLUS
 CN Acetamide, 2-[[[(4-chlorophenyl)amino]carbonyl]amino]-N-[4-(2-imino-1-pyrrolidinyl)phenyl]- (9CI) (CA INDEX NAME)

PAGE 1-A



PAGE 2-A

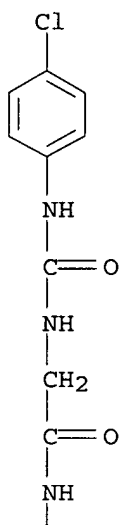


RN 625102-97-8 HCAPLUS
 CN Acetamide, 2-[[[(4-chlorophenyl)amino]carbonyl]amino]-N-[4-(2-imino-1-pyrrolidinyl)phenyl]-, mono(trifluoroacetate) (9CI) (CA INDEX NAME)

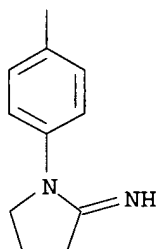
CM 1

CRN 625102-96-7
CMF C19 H20 Cl N5 O2

PAGE 1-A

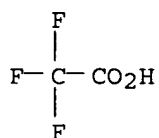


PAGE 2-A



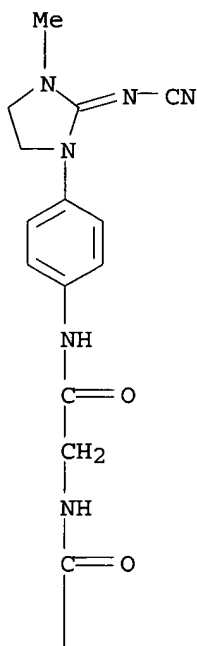
CM 2

CRN 76-05-1
CMF C2 H F3 O2

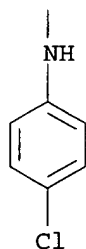


RN 625102-99-0 HCAPLUS
CN Acetamide, 2-[[[(4-chlorophenyl)amino]carbonyl]amino]-N-[4-[2-(cyanoimino)-3-methyl-1-imidazolidinyl]phenyl]- (9CI) (CA INDEX NAME)

PAGE 1-A



PAGE 2-A

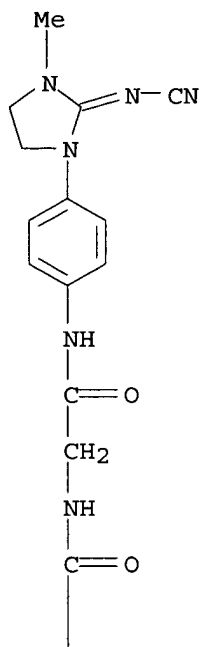


RN 625103-00-6 HCAPLUS
CN Acetamide, 2-[[[(4-chlorophenyl)amino]carbonyl]amino]-N-[4-[2-(cyanoimino)-3-methyl-1-imidazolidinyl]phenyl]-, mono(trifluoroacetate) (9CI) (CA INDEX NAME)

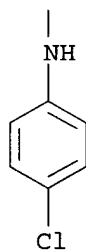
CM 1

CRN 625102-99-0
CMF C20 H20 Cl N7 O2

PAGE 1-A

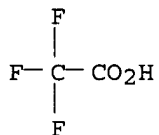


PAGE 2-A



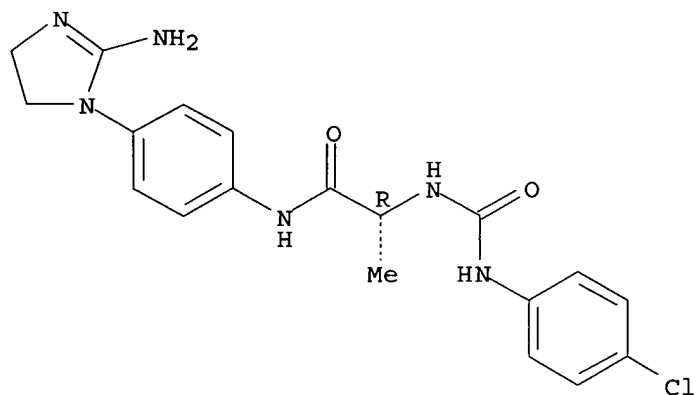
CM 2

CRN 76-05-1
 CMF C2 H F3 O2



RN 625103-02-8 HCAPLUS
 CN Propanamide, N-[4-(2-amino-4,5-dihydro-1H-imidazol-1-yl)phenyl]-2-[[[(4-chlorophenyl)amino]carbonyl]amino]-, (2R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

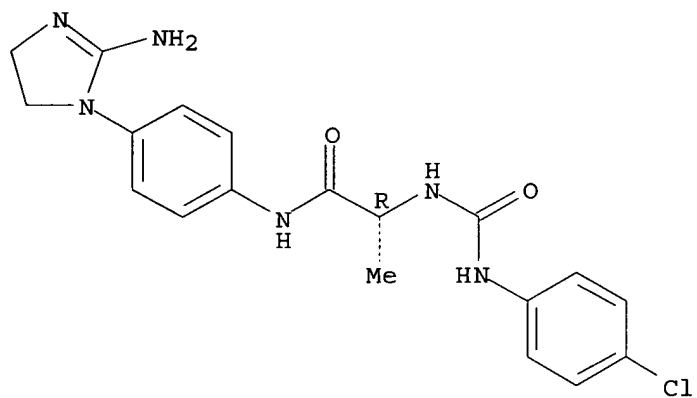


RN 625103-03-9 HCAPLUS
 CN Propanamide, N- [4- (2-amino-4,5-dihydro-1H-imidazol-1-yl)phenyl] -2- [[[4-chlorophenyl)amino]carbonyl]amino]-, (2R)-, mono(trifluoroacetate) (9CI)
 (CA INDEX NAME)

CM 1

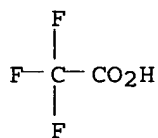
CRN 625103-02-8
 CMF C19 H21 Cl N6 O2

Absolute stereochemistry.



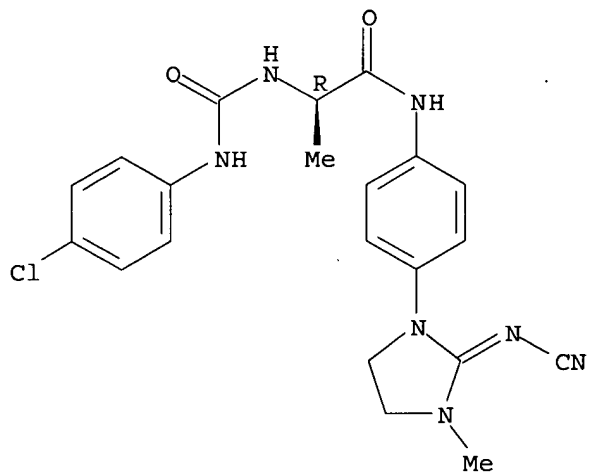
CM 2

CRN 76-05-1
 CMF C2 H F3 O2



RN 625103-05-1 HCAPLUS
CN Propanamide, 2-[[[(4-chlorophenyl)amino]carbonyl]amino]-N-[4-[2-(cyanoimino)-3-methyl-1-imidazolidinyl]phenyl]-, (2R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry unknown.

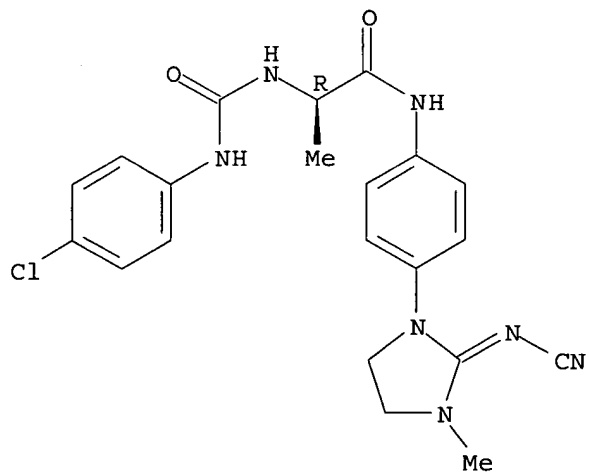


RN 625103-06-2 HCAPLUS
CN Propanamide, 2-[[[(4-chlorophenyl)amino]carbonyl]amino]-N-[4-[2-(cyanoimino)-3-methyl-1-imidazolidinyl]phenyl]-, (2R)-, mono(trifluoroacetate) (9CI) (CA INDEX NAME)

CM 1

CRN 625103-05-1
CMF C21 H22 Cl N7 O2

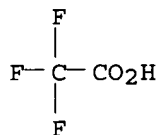
Absolute stereochemistry.
Double bond geometry unknown.



CM 2

CRN 76-05-1

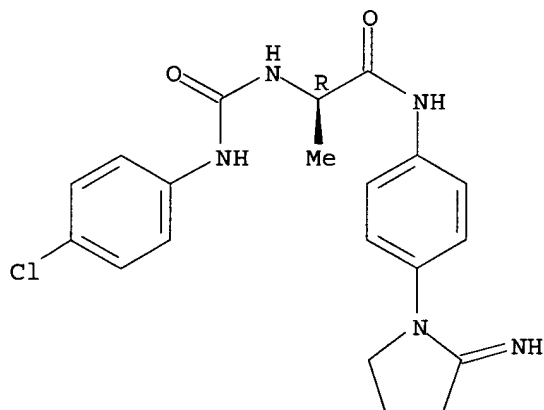
CMF C2 H F3 O2



RN 625103-08-4 HCAPLUS

CN Propanamide, 2-[[[(4-chlorophenyl)amino]carbonyl]amino]-N-[4-(2-imino-1-pyrrolidinyl)phenyl]-, (2R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 625103-09-5 HCAPLUS

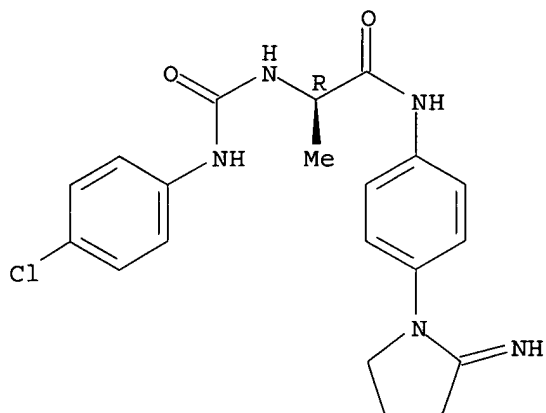
CN Propanamide, 2-[[[(4-chlorophenyl)amino]carbonyl]amino]-N-[4-(2-imino-1-pyrrolidinyl)phenyl]-, (2R)-, mono(trifluoroacetate) (9CI) (CA INDEX NAME)

CM 1

CRN 625103-08-4

CMF C20 H22 Cl N5 O2

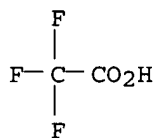
Absolute stereochemistry.



CM 2

CRN 76-05-1

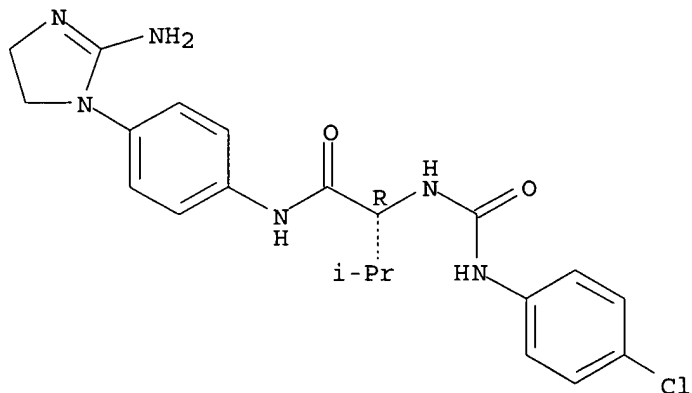
CMF C2 H F3 O2



RN 625103-11-9 HCAPLUS

CN Butanamide, N-[4-(2-amino-4,5-dihydro-1H-imidazol-1-yl)phenyl]-2-[[[(4-chlorophenyl)amino]carbonyl]amino]-3-methyl-, (2R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



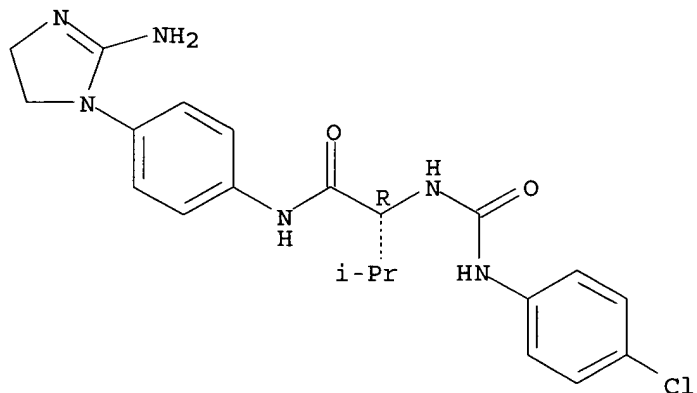
RN 625103-12-0 HCAPLUS

CN Butanamide, N-[4-(2-amino-4,5-dihydro-1H-imidazol-1-yl)phenyl]-2-[[[(4-chlorophenyl)amino]carbonyl]amino]-3-methyl-, (2R)-, mono(trifluoroacetate) (9CI) (CA INDEX NAME)

CM 1

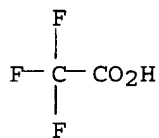
CRN 625103-11-9
CMF C21 H25 Cl N6 O2

Absolute stereochemistry.



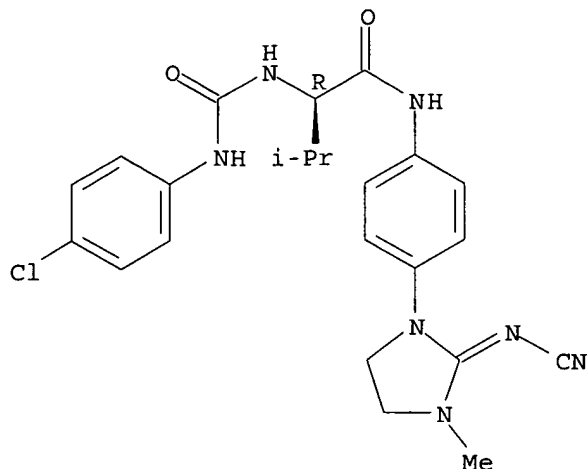
CM 2

CRN 76-05-1
CMF C2 H F3 O2



RN 625103-14-2 HCAPLUS
CN Butanamide, 2-[[[(4-chlorophenyl)amino]carbonyl]amino]-N-[4-[2-(cyanoimino)-3-methyl-1-imidazolidinyl]phenyl]-3-methyl-, (2R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry unknown.



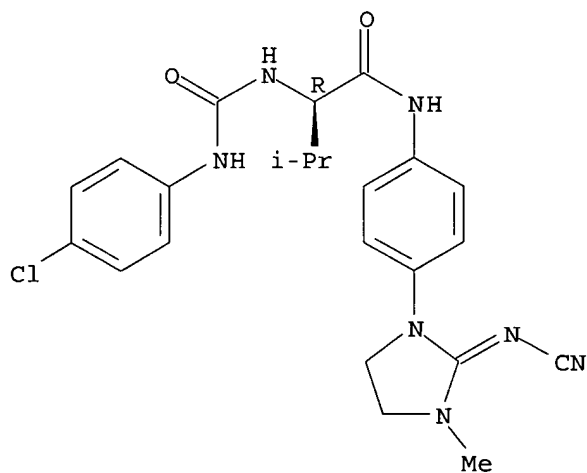
RN 625103-15-3 HCAPLUS
 CN Butanamide, 2-[[[(4-chlorophenyl)amino]carbonyl]amino]-N-[4-[2-(cyanoimino)-3-methyl-1-imidazolidinyl]phenyl]-3-methyl-, (2R)-, mono(trifluoroacetate) (9CI) (CA INDEX NAME)

CM 1

CRN 625103-14-2

CMF C23 H26 Cl N7 O2

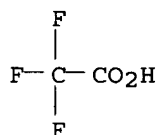
Absolute stereochemistry.
 Double bond geometry unknown.



CM 2

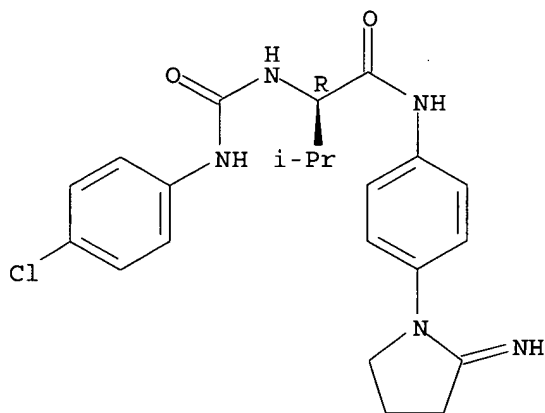
CRN 76-05-1

CMF C2 H F3 O2



RN 625103-16-4 HCAPLUS
 CN Butanamide, 2-[[[(4-chlorophenyl)amino]carbonyl]amino]-N-[4-(2-imino-1-pyrrolidinyl)phenyl]-3-methyl-, (2R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

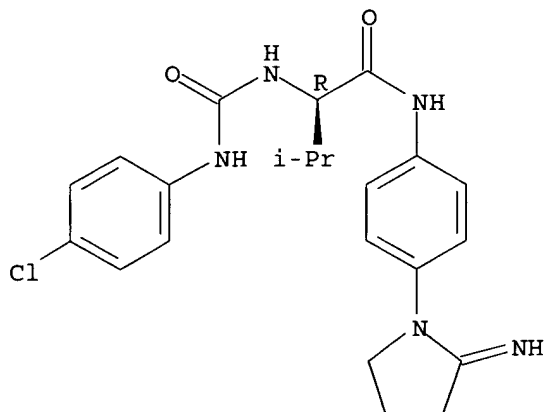


RN 625103-17-5 HCAPLUS
 CN Butanamide, 2-[[[(4-chlorophenyl)amino]carbonyl]amino]-N-[4-(2-imino-1-pyrrolidinyl)phenyl]-3-methyl-, (2R)-, mono(trifluoroacetate) (9CI) (CA INDEX NAME)

CM 1

CRN 625103-16-4
 CMF C22 H26 Cl N5 O2

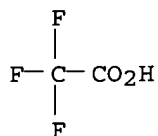
Absolute stereochemistry.



CM 2

CRN 76-05-1

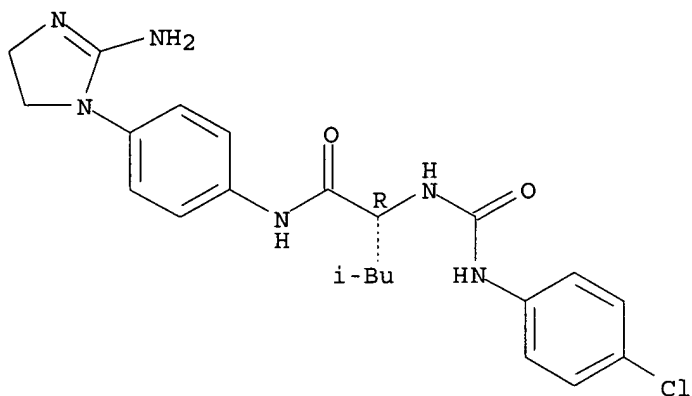
CMF C2 H F3 O2



RN 625103-19-7 HCAPLUS

CN Pentanamide, N-[4-(2-amino-4,5-dihydro-1H-imidazol-1-yl)phenyl]-2-[[[(4-chlorophenyl)amino]carbonyl]amino]-4-methyl-, (2R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 625103-20-0 HCAPLUS

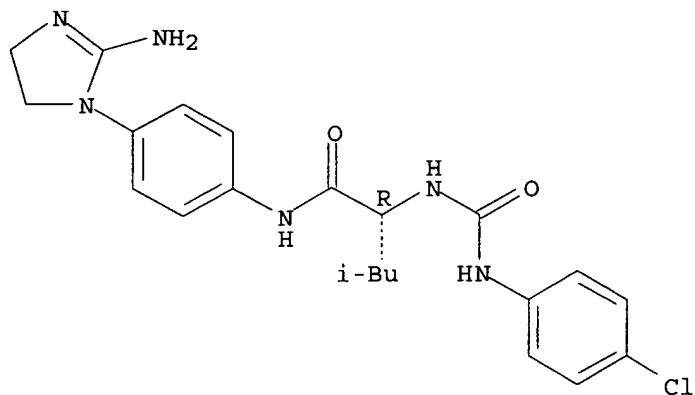
CN Pentanamide, N-[4-(2-amino-4,5-dihydro-1H-imidazol-1-yl)phenyl]-2-[[[(4-chlorophenyl)amino]carbonyl]amino]-4-methyl-, (2R)-, mono(trifluoroacetate) (9CI) (CA INDEX NAME)

CM 1

CRN 625103-19-7

CMF C22 H27 Cl N6 O2

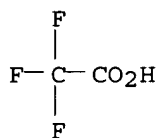
Absolute stereochemistry.



CM 2

CRN 76-05-1

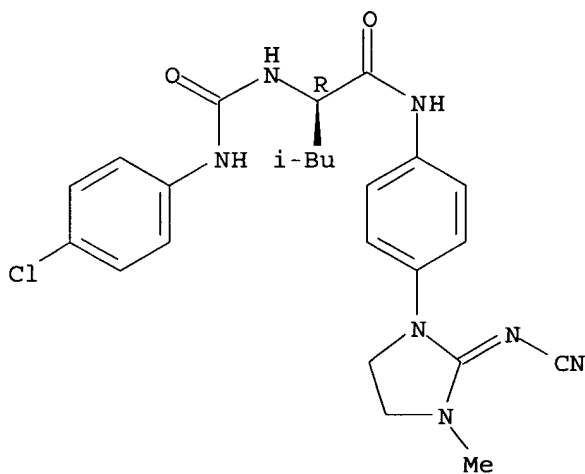
CMF C2 H F3 O2



RN 625103-22-2 HCAPLUS

CN Pentanamide, 2-[[[(4-chlorophenyl)amino]carbonyl]amino]-N-[4-[2-(cyanoimino)-3-methyl-1-imidazolidinyl]phenyl]-4-methyl-, (2R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry unknown.



RN 625103-23-3 HCAPLUS

CN Pentanamide, 2-[[[(4-chlorophenyl)amino]carbonyl]amino]-N-[4-[2-(cyanoimino)-3-methyl-1-imidazolidinyl]phenyl]-4-methyl-, (2R)- (9CI) (CA INDEX NAME)

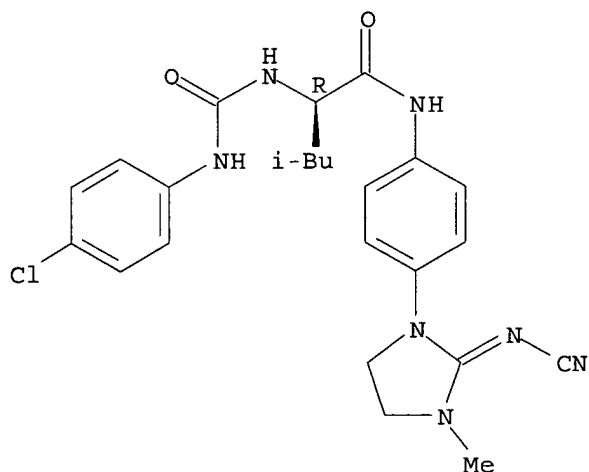
(cyanoimino)-3-methyl-1-imidazolidinylphenyl]-4-methyl-, (2R)-,
mono(trifluoroacetate) (9CI) (CA INDEX NAME)

CM 1

CRN 625103-22-2

CMF C24 H28 Cl N7 O2

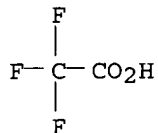
Absolute stereochemistry.
Double bond geometry unknown.



CM 2

CRN 76-05-1

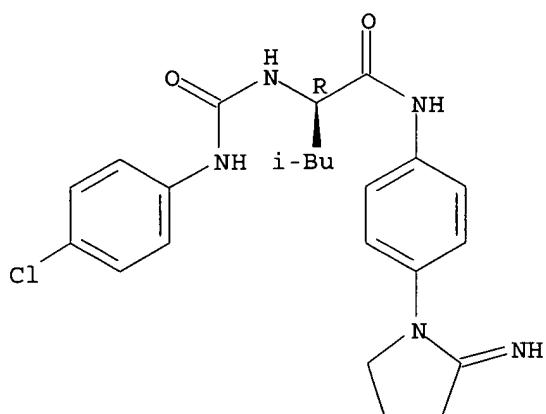
CMF C2 H F3 O2



RN 625103-25-5 HCAPLUS

CN Pentanamide, 2-[[[(4-chlorophenyl)amino]carbonyl]amino]-N-[4-(2-imino-1-pyrrolidinyl)phenyl]-4-methyl-, (2R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 625103-26-6 HCAPLUS

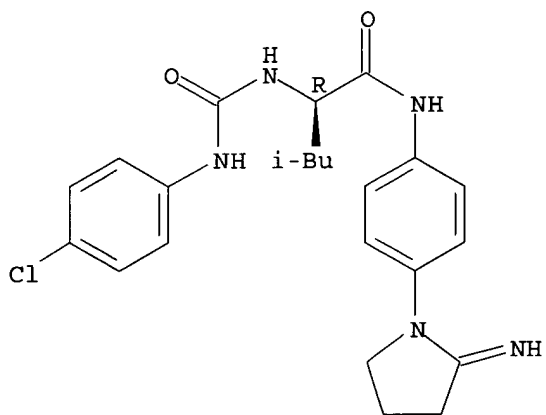
CN Pentanamide, 2-[[[(4-chlorophenyl)amino]carbonyl]amino]-N-[4-(2-imino-1-pyrrolidinyl)phenyl]-4-methyl-, (2R)-, mono(trifluoroacetate) (9CI) (CA INDEX NAME)

CM 1

CRN 625103-25-5

CMF C23 H28 Cl N5 O2

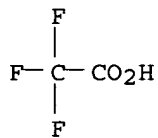
Absolute stereochemistry.



CM 2

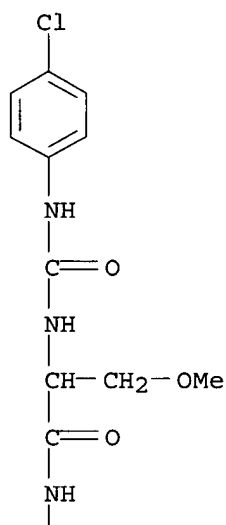
CRN 76-05-1

CMF C2 H F3 O2

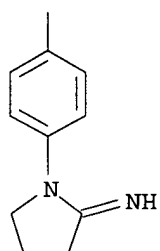


RN 625103-28-8 HCAPLUS
CN Propanamide, 2-[[[(4-chlorophenyl)amino]carbonyl]amino]-N-[4-(2-imino-1-pyrrolidinyl)phenyl]-3-methoxy- (9CI) (CA INDEX NAME)

PAGE 1-A



PAGE 2-A

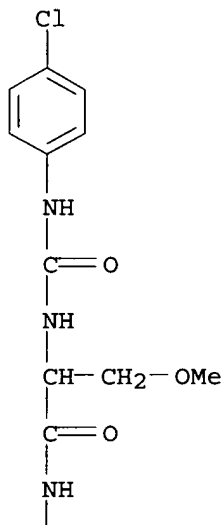


RN 625103-29-9 HCAPLUS
CN Propanamide, 2-[[[(4-chlorophenyl)amino]carbonyl]amino]-N-[4-(2-imino-1-pyrrolidinyl)phenyl]-3-methoxy-, mono(trifluoroacetate) (9CI) (CA INDEX NAME)

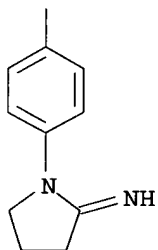
CM 1

CRN 625103-28-8
CMF C21 H24 Cl N5 O3

PAGE 1-A



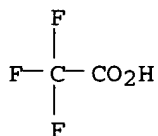
PAGE 2-A



CM 2

CRN 76-05-1

CMF C2 H F3 O2

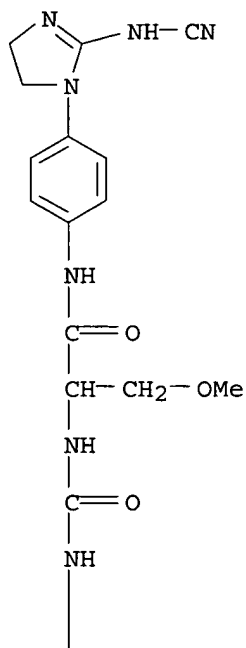


RN 625103-31-3 HCAPLUS

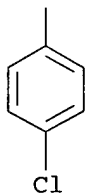
CN Propanamide, 2-[[[(4-chlorophenyl)amino]carbonyl]amino]-N-[4-[2-(cyanoamino)-4,5-dihydro-1H-imidazol-1-yl]phenyl]-3-methoxy- (9CI) (CA

INDEX NAME)

PAGE 1-A



PAGE 2-A

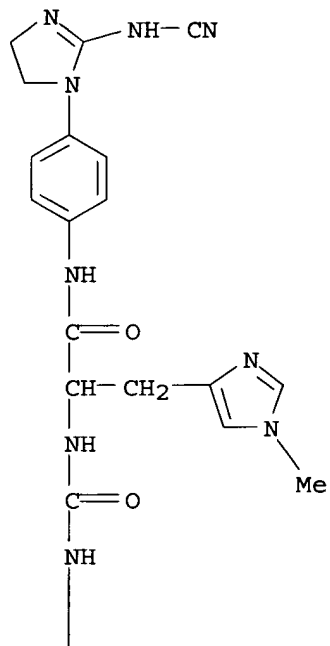


RN 625103-34-6 HCAPLUS
 CN 1H-Imidazole-4-propanamide, α -[[[(4-chlorophenyl)amino]carbonyl]amino]-N-[4-[2-(cyanoamino)-4,5-dihydro-1H-imidazol-1-yl]phenyl]-1-methyl-, mono(trifluoroacetate) (9CI) (CA INDEX NAME)

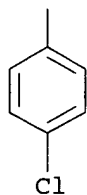
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CRN 625103-33-5
 CMF C24 H24 Cl N9 O2

PAGE 1-A

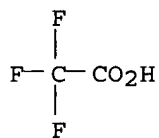


PAGE 2-A

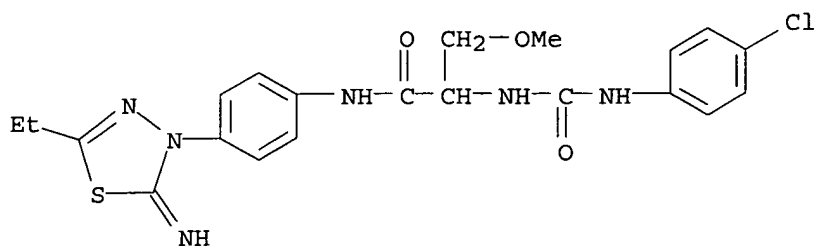


CM 2

CRN 76-05-1
 CMF C2 H F3 O2



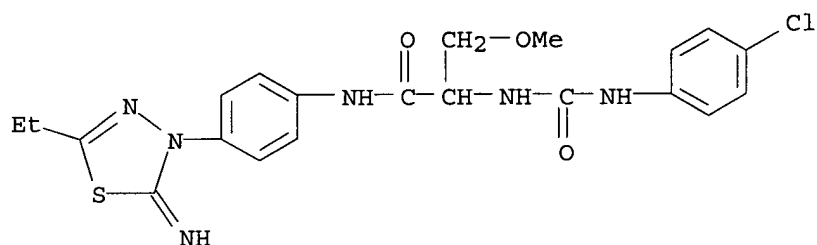
RN 625103-36-8 HCAPLUS
 CN Propanamide, 2-[[[(4-chlorophenyl)amino]carbonyl]amino]-N-[4-(5-ethyl-2-imino-1,3,4-thiadiazol-3(2H)-yl)phenyl]-3-methoxy- (9CI) (CA INDEX NAME)



RN 625103-37-9 HCAPLUS
 CN Propanamide, 2-[[[(4-chlorophenyl)amino]carbonyl]amino]-N-[4-(5-ethyl-2-imino-1,3,4-thiadiazol-3(2H)-yl)phenyl]-3-methoxy-, mono(trifluoroacetate) (9CI) (CA INDEX NAME)

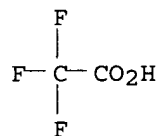
CM 1

CRN 625103-36-8
 CMF C21 H23 Cl N6 O3 S

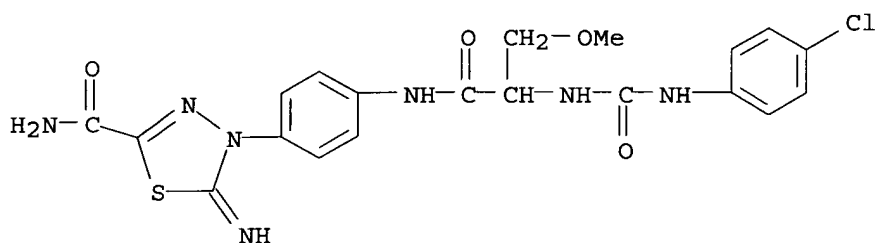


CM 2

CRN 76-05-1
 CMF C2 H F3 O2



RN 625103-39-1 HCAPLUS
 CN 1,3,4-Thiadiazole-2-carboxamide, 4-[4-[[2-[[[(4-chlorophenyl)amino]carbonyl]amino]-3-methoxy-1-oxopropyl]amino]phenyl]-4,5-dihydro-5-imino- (9CI) (CA INDEX NAME)



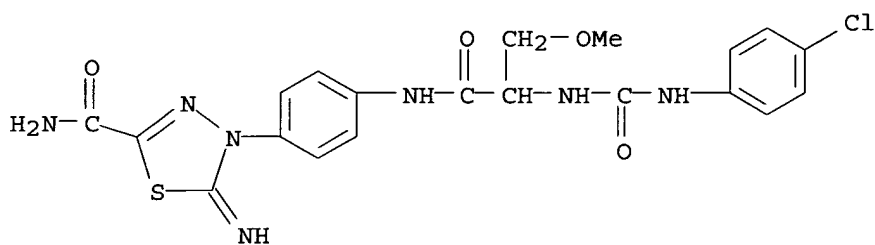
RN 625103-40-4 HCAPLUS

CN 1,3,4-Thiadiazole-2-carboxamide, 4-[4-[[2-[[[(4-chlorophenyl)amino]carbonyl]amino]-3-methoxy-1-oxopropyl]amino]phenyl]-4,5-dihydro-5-imino-, mono(trifluoroacetate) (9CI) (CA INDEX NAME)

CM 1

CRN 625103-39-1

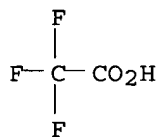
CMF C20 H20 Cl N7 O4 S



CM 2

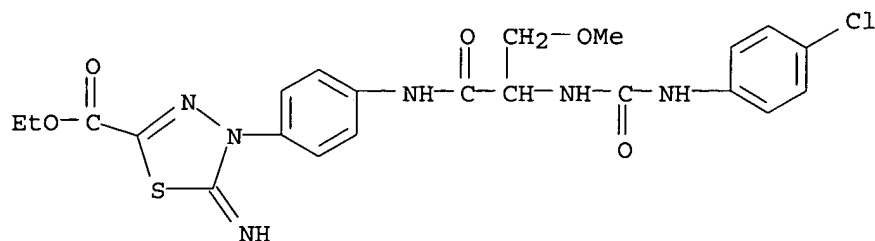
CRN 76-05-1

CMF C2 H F3 O2



RN 625103-42-6 HCAPLUS

CN 1,3,4-Thiadiazole-2-carboxylic acid, 4-[4-[[2-[[[(4-chlorophenyl)amino]carbonyl]amino]-3-methoxy-1-oxopropyl]amino]phenyl]-4,5-dihydro-5-imino-, ethyl ester (9CI) (CA INDEX NAME)



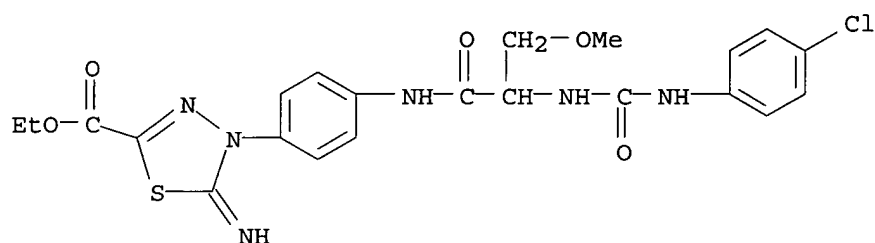
RN 625103-43-7 HCAPLUS

CN 1,3,4-Thiadiazole-2-carboxylic acid, 4-[4-[[2-[[[(4-chlorophenyl)amino]carbonyl]amino]-3-methoxy-1-oxopropyl]amino]phenyl]-4,5-dihydro-5-imino-, ethyl ester, mono(trifluoroacetate) (9CI) (CA INDEX NAME)

CM 1

CRN 625103-42-6

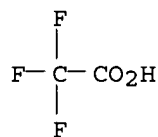
CMF C22 H23 Cl N6 O5 S



CM 2

CRN 76-05-1

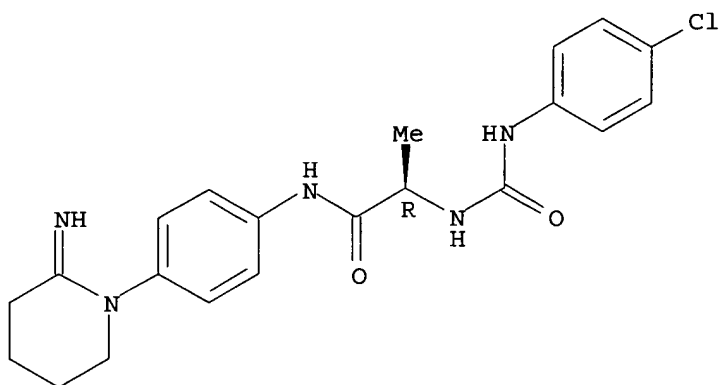
CMF C2 H F3 O2



RN 625103-68-6 HCAPLUS

CN Propanamide, 2-[[[(4-chlorophenyl)amino]carbonyl]amino]-N-[4-(2-imino-1-piperidiny)phenyl]-, (2R)- (9CI) (CA INDEX NAME)

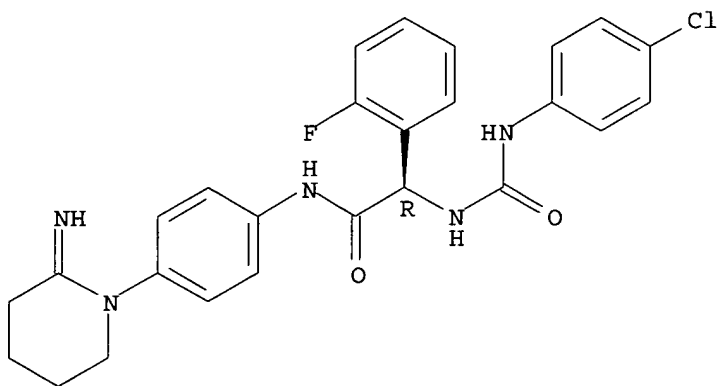
Absolute stereochemistry.



RN 625103-70-0 HCAPLUS

CN Benzeneacetamide, α -[[[(4-chlorophenyl)amino]carbonyl]amino]-2-fluoro-N-[4-(2-imino-1-piperidiny)phenyl]-, (α R)- (9CI) (CA INDEX NAME)

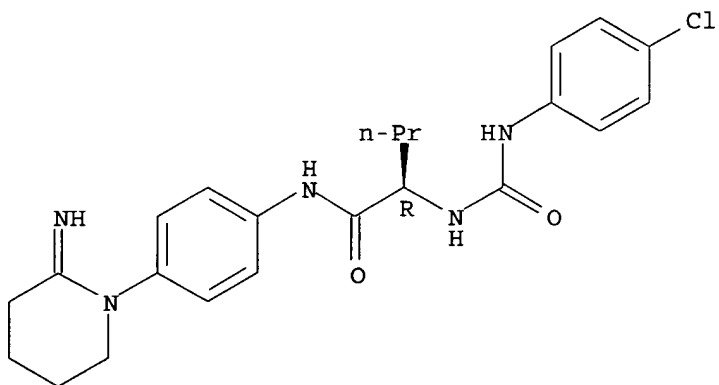
Absolute stereochemistry.



RN 625103-72-2 HCAPLUS

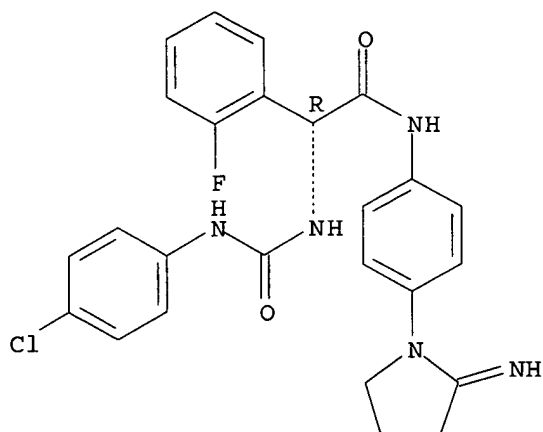
CN Pentanamide, 2-[[[(4-chlorophenyl)amino]carbonyl]amino]-N-[4-(2-imino-1-piperidiny)phenyl]-, (2R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



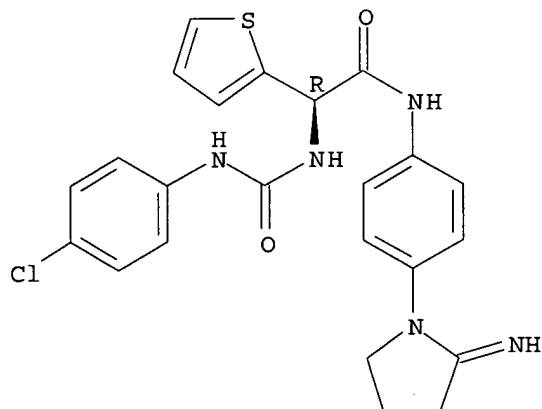
RN 625103-74-4 HCAPLUS
 CN Benzeneacetamide, α -[[[(4-chlorophenyl)amino]carbonyl]amino]-2-fluoro-N-[4-(2-imino-1-pyrrolidinyl)phenyl]-, (α R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



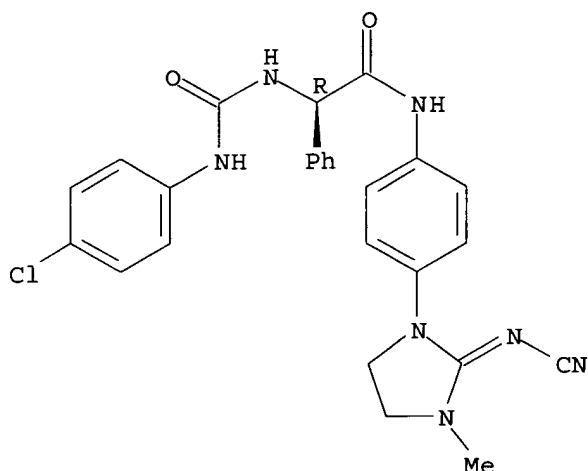
RN 625103-77-7 HCAPLUS
 CN 2-Thiopheneacetamide, α -[[[(4-chlorophenyl)amino]carbonyl]amino]-N-[4-(2-imino-1-pyrrolidinyl)phenyl]-, (α R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 625103-80-2 HCAPLUS
 CN Benzeneacetamide, α -[[[(4-chlorophenyl)amino]carbonyl]amino]-N-[4-[2-(cyanoimino)-3-methyl-1-imidazolidinyl]phenyl]-, (α R)- (9CI) (CA INDEX NAME)

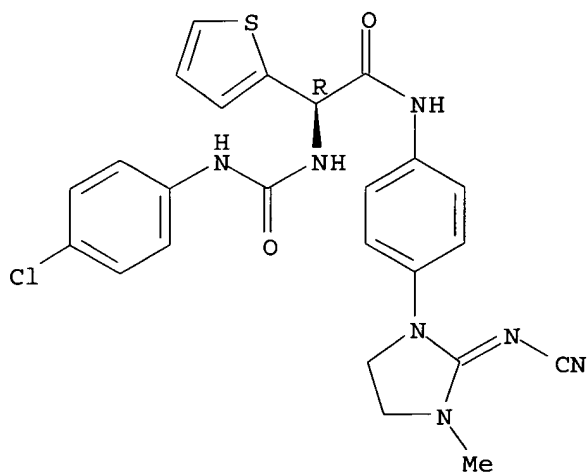
Absolute stereochemistry.
 Double bond geometry unknown.



RN 625103-82-4 HCAPLUS

CN 2-Thiopheneacetamide, α -[[[(4-chlorophenyl)amino]carbonyl]amino]-N-[4-[2-(cyanoimino)-3-methyl-1-imidazolidinyl]phenyl]-, (α R)- (9CI)
(CA INDEX NAME)

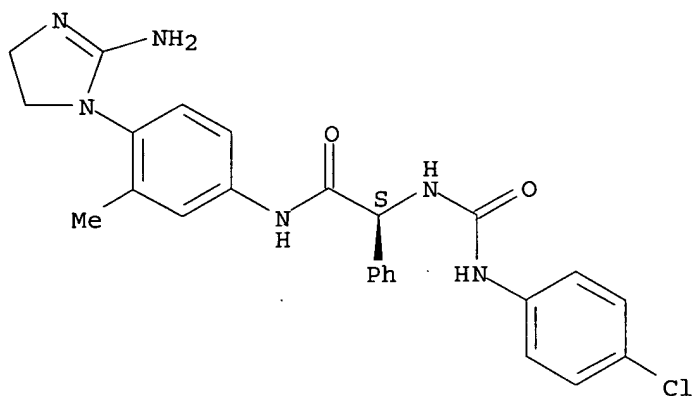
Absolute stereochemistry.
Double bond geometry unknown.



RN 625103-85-7 HCAPLUS

CN Benzeneacetamide, N-[4-(2-amino-4,5-dihydro-1H-imidazol-1-yl)-3-methylphenyl]- α -[[[(4-chlorophenyl)amino]carbonyl]amino]-, (α S)- (9CI) (CA INDEX NAME)

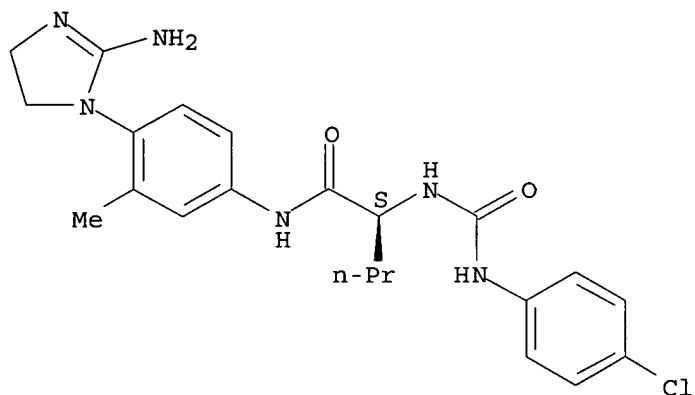
Absolute stereochemistry.



RN 625103-87-9 HCAPLUS

CN Pentanamide, N-[4-(2-amino-4,5-dihydro-1H-imidazol-1-yl)-3-methylphenyl]-2-[[[(4-chlorophenyl)amino]carbonyl]amino]-, (2S)- (9CI) (CA INDEX NAME)

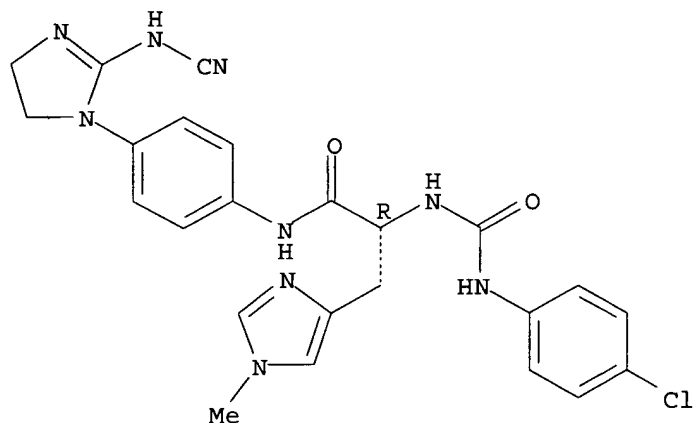
Absolute stereochemistry.



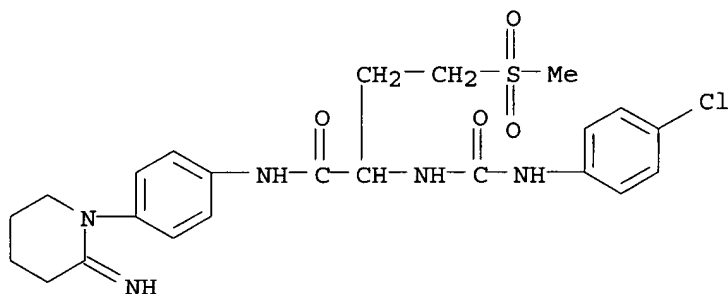
RN 625104-13-4 HCAPLUS

CN 1H-Imidazole-4-propanamide, α -[[[(4-chlorophenyl)amino]carbonyl]amino]-N-[4-[2-(cyanoamino)-4,5-dihydro-1H-imidazol-1-yl]phenyl]-1-methyl-, (α R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 625104-18-9 HCAPLUS
 CN Butanamide, 2-[[[(4-chlorophenyl)amino]carbonyl]amino]-N-[4-(2-imino-1-piperidinyl)phenyl]-4-(methylsulfonyl)- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L10 ANSWER 9 OF 15 HCAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 2003:376636 HCAPLUS

DOCUMENT NUMBER: 138:385436

TITLE: Preparation of 4-(1,1-dioxido-2-isothiazolidinyl)benzenamines as inhibitors of blood-coagulation factor Xa for the treatment of thromboembolic diseases

INVENTOR(S): Dorsch, Dieter; Cezanne, Bertram; Tsaklakidis, Christos; Mederski, Werner; Gleitz, Johannes; Barnes, Christopher

PATENT ASSIGNEE(S): Merck Patent Gmbh, Germany

SOURCE: PCT Int. Appl., 81 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: German

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003039543	A1	20030515	WO 2002-EP11349	20021010

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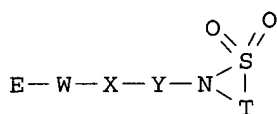
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DE 10155075	A1	20030522	DE 2001-10155075	20011109
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BR 2002013680	A	20041026	BR 2002-13680	20021010
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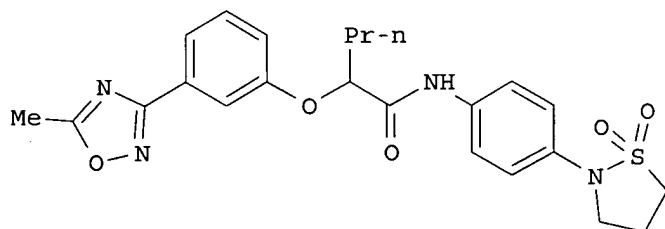
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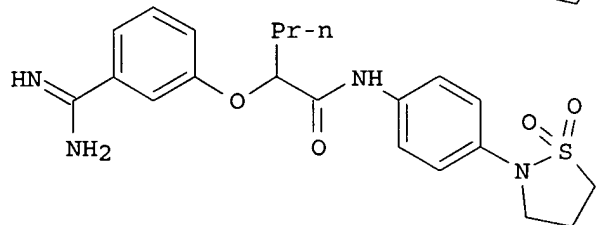
OTHER SOURCE(S): MARPAT 138:385436
GI



I



II



III

AB Title compds. I [E = (un)substituted aryl, heteroaryl; W = C(R₂)₂, [C(R₂)₂], OC(R₂)₂, etc.; R₂ = H, A, [C(R₃)₂]_n, etc.; R₃ = H, A; X = CONR₂, CONR₂C(R₃)₂, C(R₃)₂NR₂, etc.; Y = alkylene, cycloalkylene, Ar-diyl (sic), etc.; Ar = (un)substituted Ph, naphthyl, biphenyl; T = (un)substituted (CH₂)_p, e.g., N, O, S; n = 0-2; p = 1-6] and their pharmaceutically acceptable salts were prepared For example, Raney-Nickel mediated reduction of oxadiazol II, e.g., prepared from 4-nitroaniline in 4-steps, afforded isothiazolidine III acetate. In blood-coagulation factor Xa inhibition

studies, isothiazolidine III acetate exhibited an IC50 value of 3.5×10^{-7} M. Compds. I are claimed useful for the treatment of thromboembolic diseases and tumors.

IT 524957-17-3P 524957-18-4P 524957-19-5P
524957-38-8P 524957-39-9P

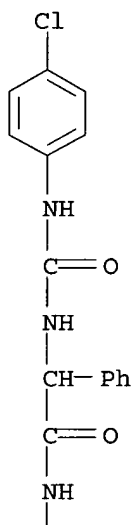
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(drug candidate; preparation of isothiazolidinylbenzenamines as inhibitors of blood coagulation factor Xa for the treatment of thromboembolic diseases)

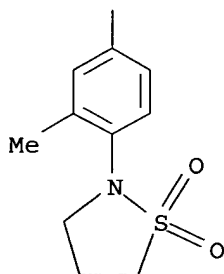
RN 524957-17-3 HCAPLUS

CN Benzeneacetamide, α -[[[(4-chlorophenyl)amino]carbonyl]amino]-N-[4-(1,1-dioxido-2-isothiazolidinyl)-3-methylphenyl]- (9CI) (CA INDEX NAME)

PAGE 1-A

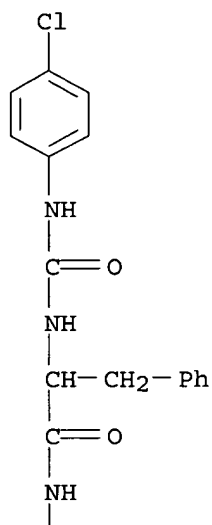


PAGE 2-A

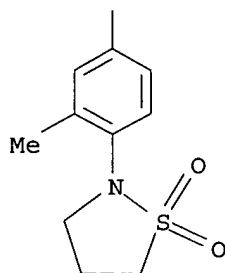


RN 524957-18-4 HCAPLUS
CN Benzenepropanamide, α -[[[(4-chlorophenyl)amino]carbonyl]amino]-N-[4-(1,1-dioxido-2-isothiazolidinyl)-3-methylphenyl]- (9CI) (CA INDEX NAME)

PAGE 1-A

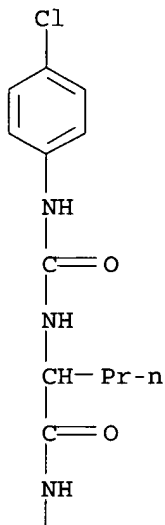


PAGE 2-A

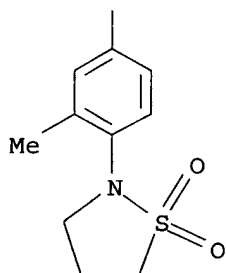


RN 524957-19-5 HCAPLUS
CN Pentanamide, 2-[[[(4-chlorophenyl)amino]carbonyl]amino]-N-[4-(1,1-dioxido-2-isothiazolidinyl)-3-methylphenyl]- (9CI) (CA INDEX NAME)

PAGE 1-A



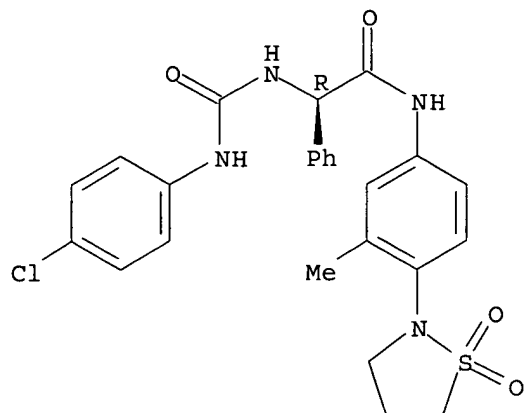
PAGE 2-A



RN 524957-38-8 HCAPLUS

CN Benzeneacetamide, α -[[[(4-chlorophenyl)amino]carbonyl]amino]-N-[4-(1,1-dioxido-2-isothiazolidinyl)-3-methylphenyl]-, (α R)- (9CI) (CA INDEX NAME)

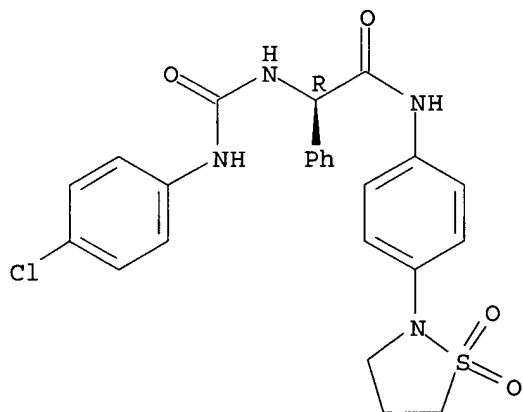
Absolute stereochemistry.



RN 524957-39-9 HCAPLUS

CN Benzeneacetamide, α -[[[(4-chlorophenyl)amino]carbonyl]amino]-N-[4-(1,1-dioxido-2-isothiazolidinyl)phenyl]-, (α R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT: 13 THERE ARE 13 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L10 ANSWER 10 OF 15 HCAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 2003:76556 HCAPLUS

DOCUMENT NUMBER: 138:131125

TITLE: Fat accumulation-modulating compounds

INVENTOR(S): Stevenson, Michael John; Leighton, Harry Jefferson

PATENT ASSIGNEE(S): Adipogenix, Inc., USA

SOURCE: PCT Int. Appl., 96 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

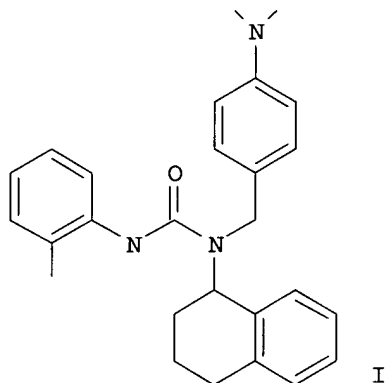
LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
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WO 2003007888 A2 20030130 WO 2002-US23295 20020722
 WO 2003007888 A3 20031127
 W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN,
 CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH,
 GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR,
 LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PL,
 PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA,
 UG, UZ, VN, YU, ZA, ZM, ZW
 RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY,
 KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES,
 FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, SK, TR, BF, BJ, CF,
 CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG
 US 2003144350 A1 20030731 US 2002-201588 20020722
 PRIORITY APPLN. INFO.: US 2001-306837P P 20010720
 OTHER SOURCE(S): MARPAT 138:131125
 GI



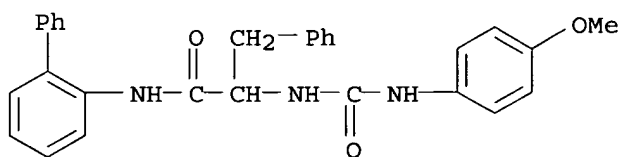
AB The present invention pertains to compds. effective at modulating fatty acid or triglyceride ("fat") accumulation by cells, such compds. having therapeutic potential as regulators of body mass and for the treatment of overweight individuals, obesity, and metabolic disorders. An example compound is I and protocol for high-throughput screening of compound efficacy on human preadipocytes is given. Therapeutic methods and pharmaceutical compns. featuring these compds. are also provided.

IT **491868-51-0**

RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
 (fat accumulation-modulating compds.)

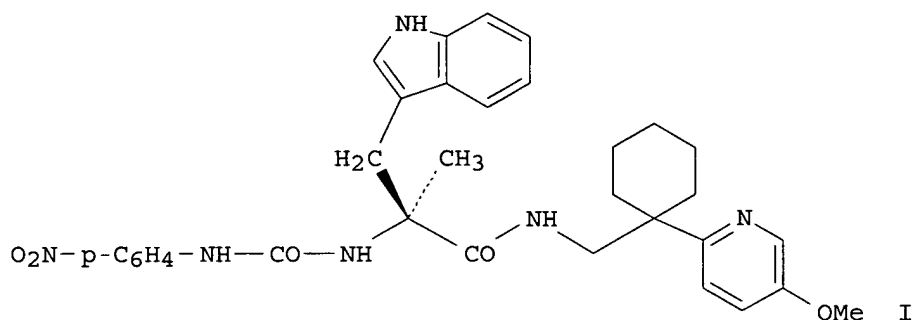
RN 491868-51-0 HCAPLUS

CN Benzenepropanamide, N-[1,1'-biphenyl]-2-yl- α -[[[(4-methoxyphenyl)amino]carbonyl]amino]- (9CI) (CA INDEX NAME)



L10 ANSWER 11 OF 15 HCAPLUS COPYRIGHT 2005 ACS on STN
 ACCESSION NUMBER: 2002:869567 HCAPLUS
 DOCUMENT NUMBER: 137:370356
 TITLE: Preparation and use of bombesin receptor antagonists
 for treatment of sexual dysfunction in males and
 females
 INVENTOR(S): Gonzalez, Maria Isabel; Higginbottom, Michael; Stock,
 Herman Thijs; Pritchard, Martyn Clive; Pinnock, Robert
 Denham; Van der Graaf, Pieter Hadewijn; Naylor,
 Alisdair Mark; Wayman, Christopher Peter
 PATENT ASSIGNEE(S): UK
 SOURCE: U.S. Pat. Appl. Publ., 105 pp., Cont.-in-part of U.S.
 Pat. Appl. 2002 58,606.
 CODEN: USXXCO
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 10
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 2002169101	A1	20021114	US 2001-999284	20011115
US 2002058606	A1	20020516	US 2001-759777	20010112
ZA 2003003249	A	20040623	ZA 2003-3249	20030425
PRIORITY APPLN. INFO.:			US 1999-133355P	P 19990510
			WO 2000-GB1787	W 20000510
			US 2000-700165	A2 20001109
			US 2001-759777	A2 20010112
			GB 2001-9910	A 20010423
			GB 2001-11037	A 20010504
OTHER SOURCE(S):		MARPAT 137:370356		
GI				



AB Bombesin receptor antagonists have been found to be useful in the treatment of sexual dysfunction in both males and females. They may be selective BB1 antagonists or mixed BB1/BB2 antagonists. Combinations are disclosed of bombesin receptor antagonists with a range of other active compds., for example PDE5 inhibitors, NEP inhibitors and lasofoxiene. Preparation of bombesin receptor antagonists consisting of α -Me tryptophane (e.g., I) or α -methylphenylalanine derivs. was given. In tests on sexually-dysfunctional male rats, it was concluded that I had a stimulatory effect, at the level of sexual desire, performance, and anorgasmy. In tests on sexually-dysfunctional female rats, it was

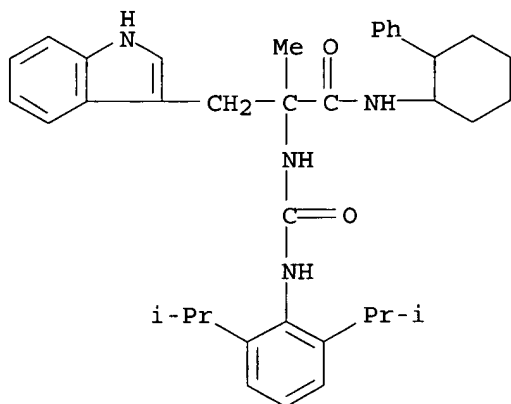
concluded that I had a stimulatory effect on proceptivity, which was unaffected by repeated administration.

IT 428864-51-1

RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(preparation of as bombesin receptor antagonists for treatment of sexual dysfunction)

RN 428864-51-1 HCAPLUS

CN 1H-Indole-3-propanamide, α -[[[2,6-bis(1-methylethyl)phenyl]amino]carbonyl]amino]- α -methyl-N-(2-phenylcyclohexyl)- (9CI) (CA INDEX NAME)



L10 ANSWER 12 OF 15 HCAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 2002:465965 HCAPLUS

DOCUMENT NUMBER: 137:47128

TITLE: Preparation of of ureido- and carbamoyloxy-substituted amides as inhibitors of factor Xa for the treatment of clotting disorders and tumors.

INVENTOR(S): Dorsch, Dieter; Mederski, Werner; Tsaklakidis, Christos; Cezanne, Bertram; Gleitz, Johannes; Barnes, Christopher

PATENT ASSIGNEE(S): Merck Patent G.m.b.H., Germany

SOURCE: PCT Int. Appl., 92 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: German

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002048099	A1	20020620	WO 2001-EP13545	20011121
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM			
RW:	GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG			

DE 10063008	A1	20020620	DE 2000-10063008	20001216
CA 2431766	AA	20020620	CA 2001-2431766	20011121
AU 2002021881	A5	20020624	AU 2002-21881	20011121
EP 1341755	A1	20030910	EP 2001-270524	20011121
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
BR 2001016115	A	20031223	BR 2001-16115	20011121
JP 2004515538	T2	20040527	JP 2002-549632	20011121
NO 2003002695	A	20030613	NO 2003-2695	20030613
US 2004038858	A1	20040226	US 2003-450651	20030616
ZA 2003005455	A	20040826	ZA 2003-5455	20030715
US 2005137230	A1	20050623	US 2005-59655	20050217

PRIORITY APPLN. INFO.:

DE 2000-10063008	A	20001216
WO 2001-EP13545	W	20011121
US 2003-450651	A3	20030616

OTHER SOURCE(S): MARPAT 137:47128

AB DNHCOXCHRICONH(CH₂)_nEW [D = (substituted) Ph, pyridyl; R₁ = H, Ar, Het, cycloalkyl, (substituted) A; R₂ = H, A; E = (substituted) phenylene, piperidin-1,4-diyl; W = Ar, Het, N(R₂)₂, R₂, cycloalkyl; X = NH, O; A = (fluoro-substituted) (O-, S-, or CH:CH-interrupted) alkyl; Ar = (substituted) Ph; Het = (aromatic) (substituted) heterocyclyl; n = 0, 1], were prepared Thus, Z-D-Phe-OH, 2'-methylsulfonylbiphenyl-4-ylamine, N-(3-dimethylaminopropyl)-N'-ethylcarbodiimide hydrochloride, 1-hydroxybenzotriazole, and 4-methylmorpholine were stirred 40 h in DMF to give benzyl [(R)-1-(2'-methylsulfonylbiphenyl-4-ylcarbamoyle)-2-phenylethyl]carbamate. This was hydrogenolyzed in MeOH over Pd/C and the product was stirred with 4-chlorophenyl isocyanate in CH₂Cl₂ to give (R)-2-[3-(4-chlorophenyl)ureido]-N-(2'-methylsulfonylbiphen-4-yl)-3-phenylpropionamide. The latter inhibited factor Xa with IC₅₀ = 8.6 + 10⁻⁸ M.

IT 438053-48-6P 438053-49-7P 438053-51-1P
 438053-52-2P 438053-53-3P 438053-54-4P
 438053-55-5P 438053-56-6P 438053-57-7P
 438053-58-8P 438053-62-4P 438053-64-6P
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 438055-02-8P 438055-60-8P 438055-63-1P
 438055-65-3P 438055-66-4P 438055-67-5P
 438055-68-6P 438055-70-0P 438055-71-1P
 438056-84-9P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU

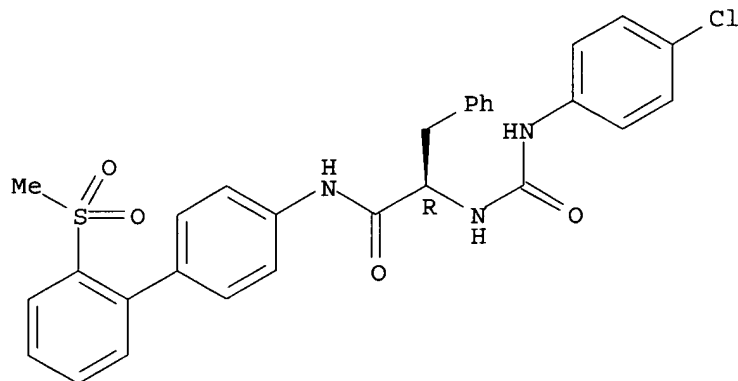
(Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(claimed compound; preparation of ureido- and carbamoyloxy-substituted amides as inhibitors of factor Xa for the treatment of clotting disorders such as strokes and cancer)

RN 438053-48-6 HCAPLUS

CN Benzenepropanamide, α -[[[(4-chlorophenyl)amino]carbonyl]amino]-N-[2'-(methylsulfonyl)[1,1'-biphenyl]-4-yl]-, (α R)- (9CI) (CA INDEX NAME)

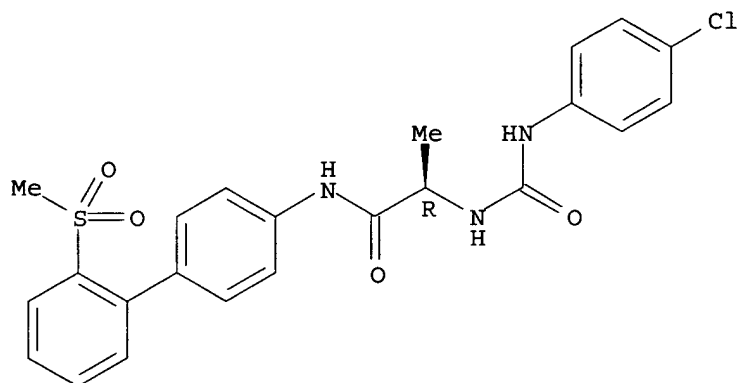
Absolute stereochemistry.



RN 438053-49-7 HCAPLUS

CN Propanamide, 2-[[[(4-chlorophenyl)amino]carbonyl]amino]-N-[2'-(methylsulfonyl)[1,1'-biphenyl]-4-yl]-, (2R)- (9CI) (CA INDEX NAME)

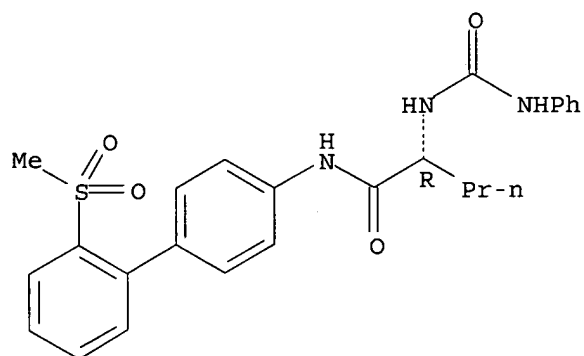
Absolute stereochemistry.



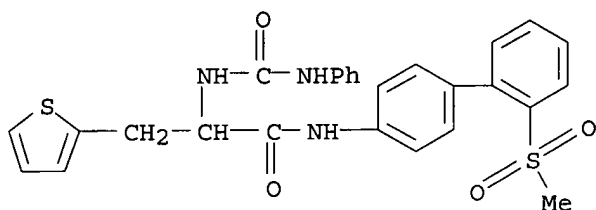
RN 438053-51-1 HCAPLUS

CN Pentanamide, N-[2'-(methylsulfonyl)[1,1'-biphenyl]-4-yl]-2-[[[(phenylamino)carbonyl]amino]-, (2R)- (9CI) (CA INDEX NAME)

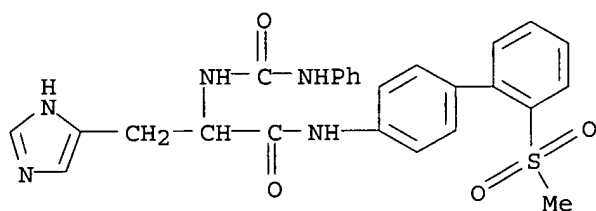
Absolute stereochemistry.



RN 438053-52-2 HCAPLUS

CN 2-Thiophenepropanamide, N-[2'-(methylsulfonyl)[1,1'-biphenyl]-4-yl]-
α-[[(phenylamino)carbonyl]amino]- (9CI) (CA INDEX NAME)

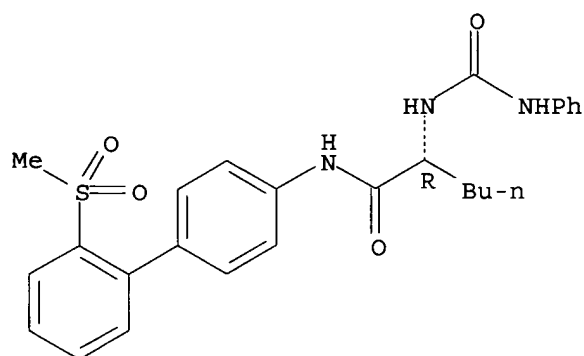
RN 438053-53-3 HCAPLUS

CN 1H-Imidazole-4-propanamide, N-[2'-(methylsulfonyl)[1,1'-biphenyl]-4-yl]-
α-[[(phenylamino)carbonyl]amino]- (9CI) (CA INDEX NAME)

RN 438053-54-4 HCAPLUS

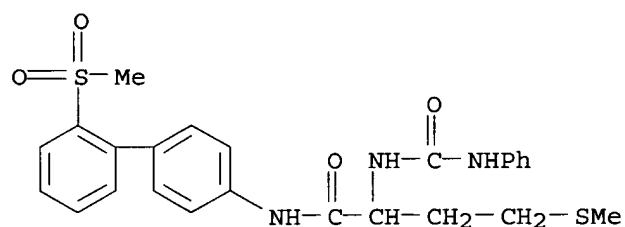
CN Hexanamide, N-[2'-(methylsulfonyl)[1,1'-biphenyl]-4-yl]-2-
[[(phenylamino)carbonyl]amino]-, (2R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



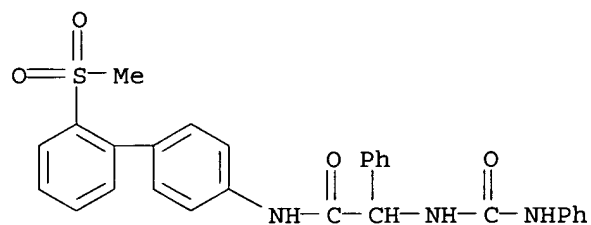
RN 438053-55-5 HCAPLUS

CN Butanamide, N-[2'-(methylsulfonyl)[1,1'-biphenyl]-4-yl]-4-(methylthio)-2-[[phenylamino]carbonyl]amino]- (9CI) (CA INDEX NAME)



RN 438053-56-6 HCAPLUS

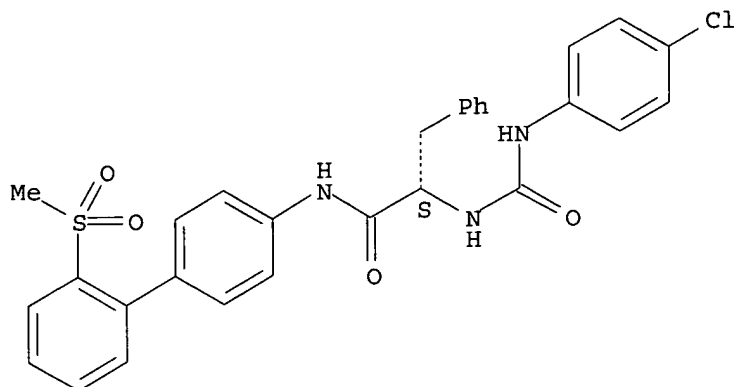
CN Benzeneacetamide, N-[2'-(methylsulfonyl)[1,1'-biphenyl]-4-yl]-α-[[phenylamino]carbonyl]amino]- (9CI) (CA INDEX NAME)



RN 438053-57-7 HCAPLUS

CN Benzenepropanamide, α-[[[(4-chlorophenyl)amino]carbonyl]amino]-N-[2'-(methylsulfonyl)[1,1'-biphenyl]-4-yl]-, (αS)- (9CI) (CA INDEX NAME)

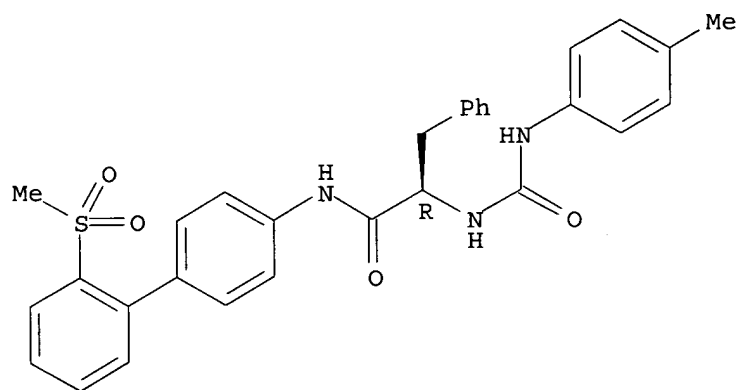
Absolute stereochemistry.



RN 438053-58-8 HCAPLUS

CN Benzenepropanamide, α -[[[(4-methylphenyl)amino]carbonyl]amino]-N-[2'-(methylsulfonyl)[1,1'-biphenyl]-4-yl]-, (α R)-(9CI) (CA INDEX NAME)

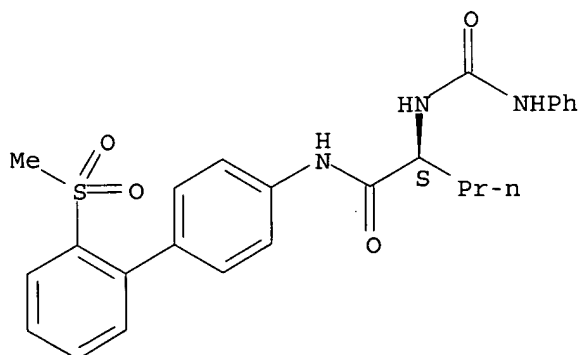
Absolute stereochemistry.



RN 438053-62-4 HCAPLUS

CN Pentanamide, N-[2'-(methylsulfonyl)[1,1'-biphenyl]-4-yl]-2-[[[(phenylamino)carbonyl]amino]-, (2S)-(9CI) (CA INDEX NAME)

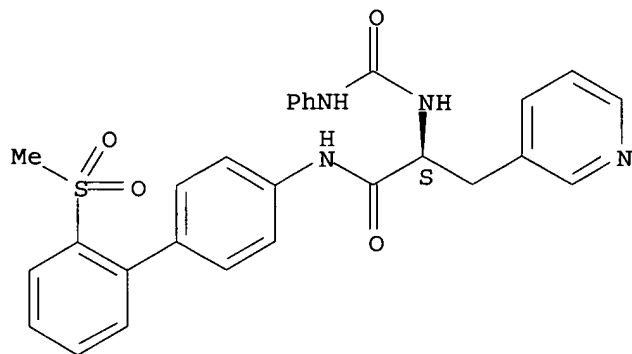
Absolute stereochemistry.



RN 438053-64-6 HCAPLUS

CN 3-Pyridinepropanamide, N-[2'-(methylsulfonyl)[1,1'-biphenyl]-4-yl]- α -
[[(phenylamino) carbonyl] amino]-, (α S)- (9CI) (CA INDEX NAME)

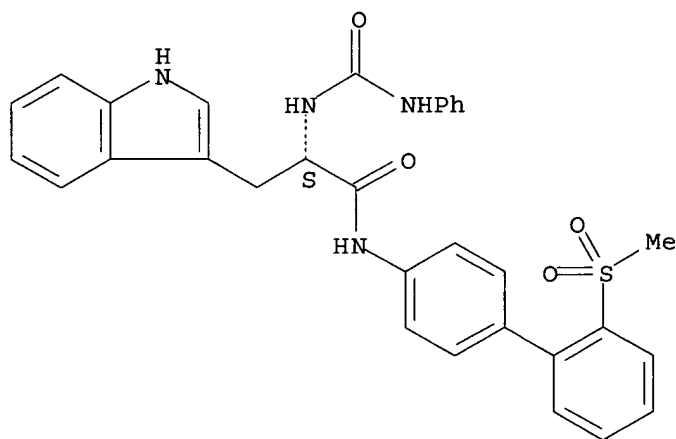
Absolute stereochemistry.



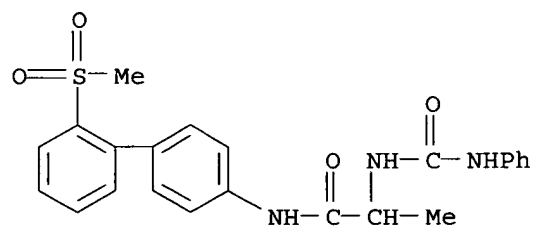
RN 438053-65-7 HCAPLUS

CN 1H-Indole-3-propanamide, N-[2'-(methylsulfonyl)[1,1'-biphenyl]-4-yl]- α -
[[(phenylamino) carbonyl] amino]-, (α S)- (9CI) (CA INDEX NAME)

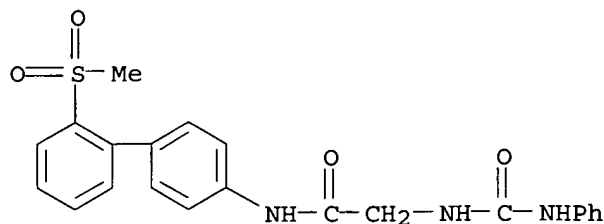
Absolute stereochemistry.



RN 438053-66-8 HCAPLUS

CN Propanamide, N-[2'-(methylsulfonyl)[1,1'-biphenyl]-4-yl]-2-
[[(phenylamino) carbonyl] amino]- (9CI) (CA INDEX NAME)

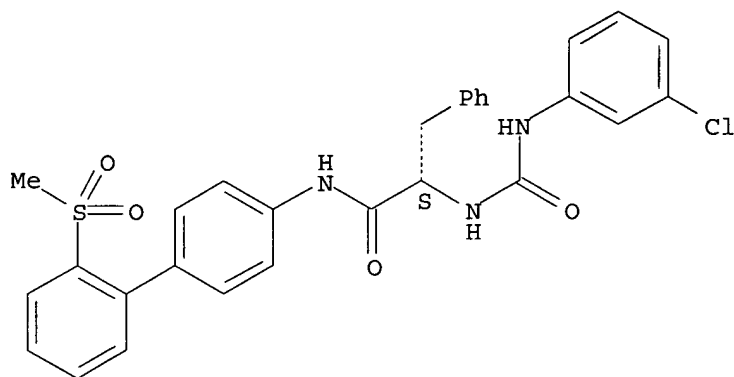
RN 438053-67-9 HCAPLUS

CN Acetamide, N-[2'-(methylsulfonyl)[1,1'-biphenyl]-4-yl]-2-
[[(phenylamino)carbonyl]amino]- (9CI) (CA INDEX NAME)

RN 438053-68-0 HCAPLUS

CN Benzenepropanamide, α -[[[(3-chlorophenyl)amino]carbonyl]amino]-N-[2'-(methylsulfonyl)[1,1'-biphenyl]-4-yl]-, (α S)- (9CI) (CA INDEX NAME)

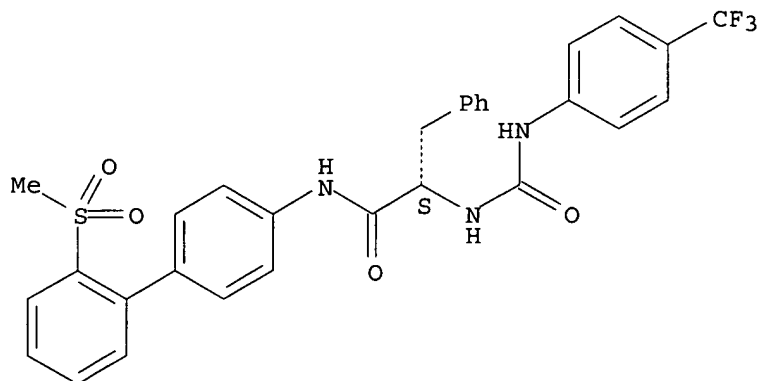
Absolute stereochemistry.



RN 438053-69-1 HCAPLUS

CN Benzenepropanamide, N-[2'-(methylsulfonyl)[1,1'-biphenyl]-4-yl]- α -
[[[4-(trifluoromethyl)phenyl]amino]carbonyl]amino]-, (α S)- (9CI)
(CA INDEX NAME)

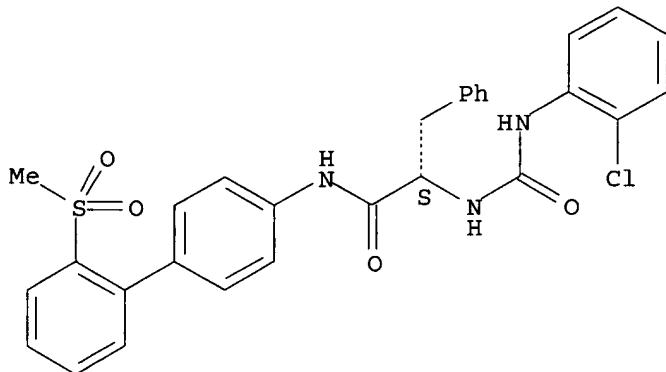
Absolute stereochemistry.



RN 438053-70-4 HCAPLUS

CN Benzenepropanamide, α -[[[(2-chlorophenyl)amino]carbonyl]amino]-N-[2'-(methylsulfonyl)[1,1'-biphenyl]-4-yl]-, (α S)- (9CI) (CA INDEX NAME)

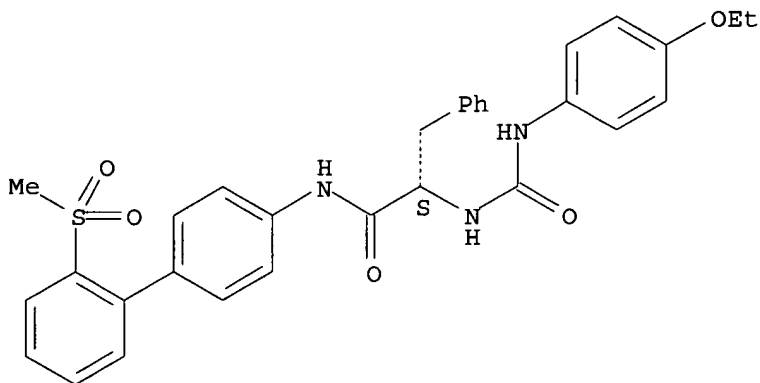
Absolute stereochemistry.



RN 438053-71-5 HCAPLUS

CN Benzenepropanamide, α -[[[(4-ethoxyphenyl)amino]carbonyl]amino]-N-[2'-(methylsulfonyl)[1,1'-biphenyl]-4-yl]-, (α S)- (9CI) (CA INDEX NAME)

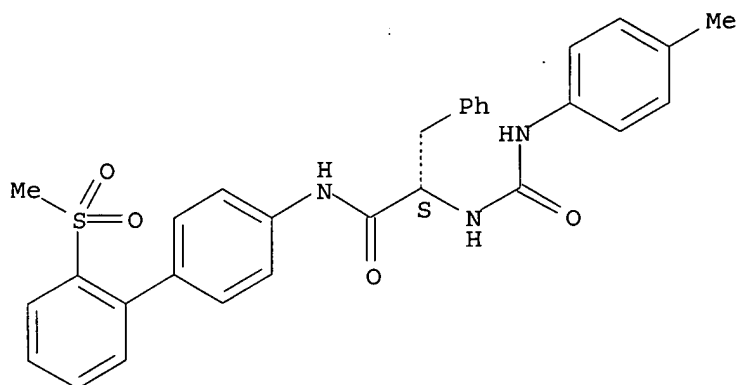
Absolute stereochemistry.



RN 438053-72-6 HCAPLUS

CN Benzenepropanamide, α -[[[(4-methylphenyl)amino]carbonyl]amino]-N-[2'-(methylsulfonyl)[1,1'-biphenyl]-4-yl]-, (α S)- (9CI) (CA INDEX NAME)

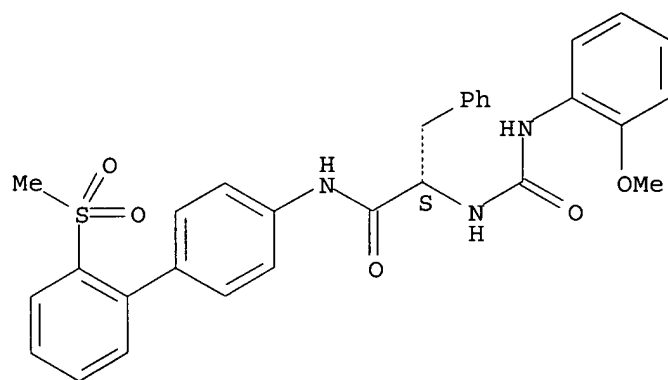
Absolute stereochemistry.



RN 438053-73-7 HCAPLUS

CN Benzenepropanamide, α-[[[(2-methoxyphenyl)amino]carbonyl]amino]-N-[2'-(methylsulfonyl)[1,1'-biphenyl]-4-yl]-, (αS)- (9CI) (CA INDEX NAME)

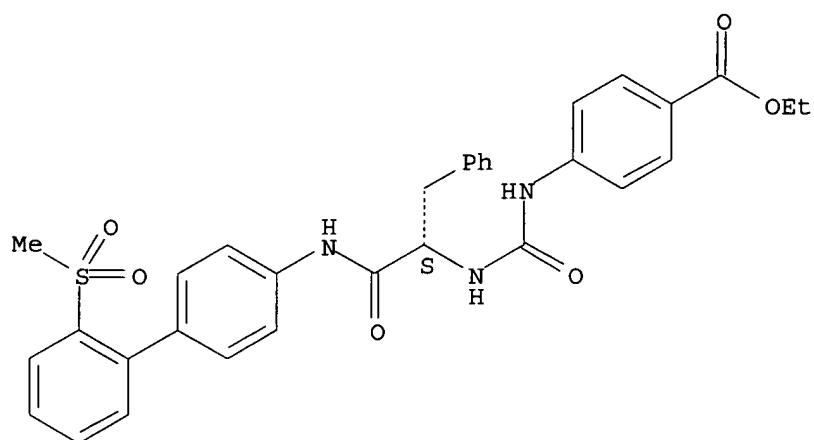
Absolute stereochemistry.



RN 438053-74-8 HCAPLUS

CN Benzoic acid, 4-[[[[(1S)-2-[[2'-(methylsulfonyl)[1,1'-biphenyl]-4-yl]amino]-2-oxo-1-(phenylmethyl)ethyl]amino]carbonyl]amino]-, ethyl ester (9CI) (CA INDEX NAME)

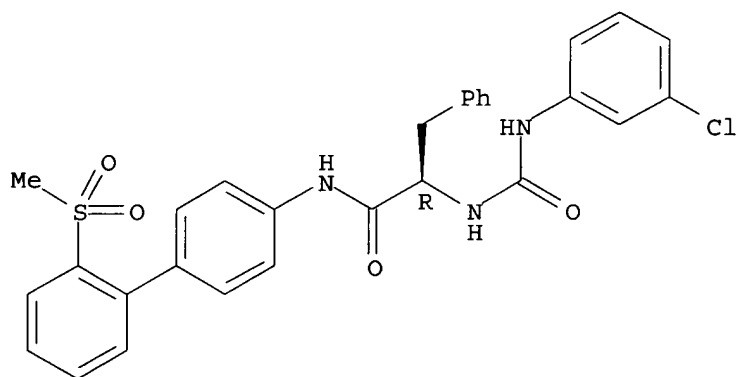
Absolute stereochemistry.



RN 438053-75-9 HCAPLUS

CN Benzenepropanamide, α -[[[(3-chlorophenyl)amino]carbonyl]amino]-N-[2'-(methylsulfonyl)[1,1'-biphenyl]-4-yl]-, (α R)-(9CI) (CA INDEX NAME)

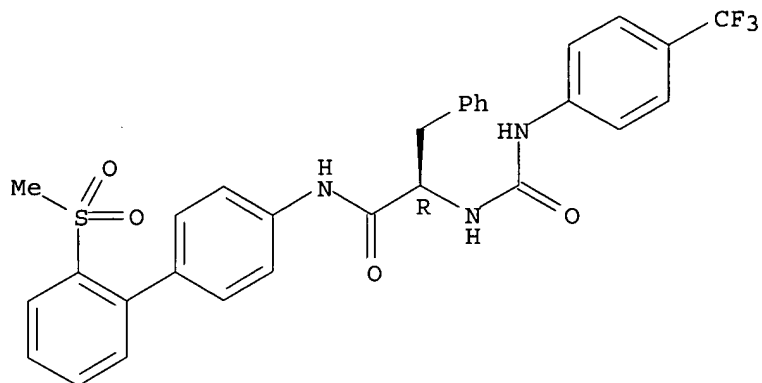
Absolute stereochemistry.



RN 438053-76-0 HCAPLUS

CN Benzenepropanamide, N-[2'-(methylsulfonyl)[1,1'-biphenyl]-4-yl]- α -[[[4-(trifluoromethyl)phenyl]amino]carbonyl]amino]-, (α R)-(9CI) (CA INDEX NAME)

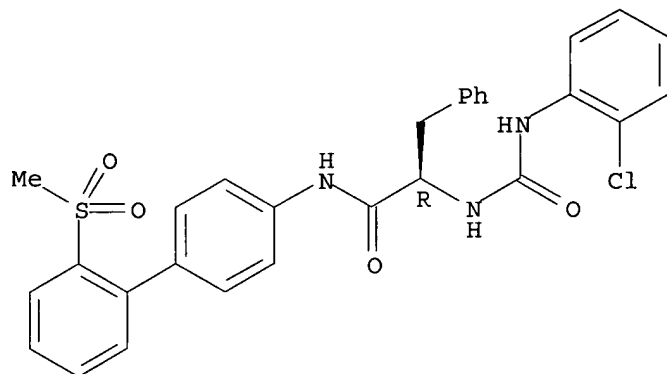
Absolute stereochemistry.



RN 438053-77-1 HCAPLUS

CN Benzenepropanamide, α -[[[(2-chlorophenyl)amino]carbonyl]amino]-N-[2'-(methylsulfonyl)[1,1'-biphenyl]-4-yl]-, (α R)- (9CI) (CA INDEX NAME)

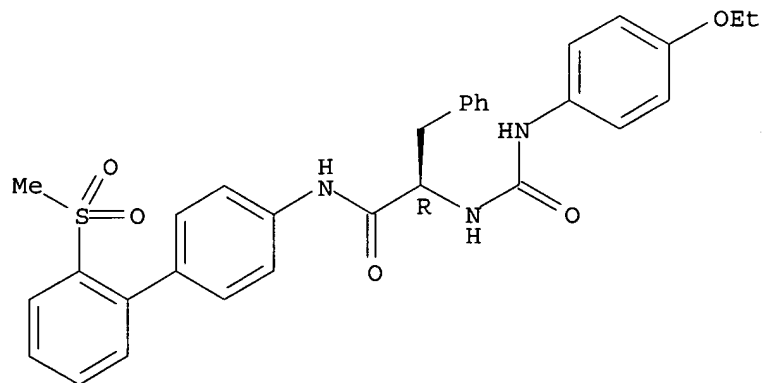
Absolute stereochemistry.



RN 438053-78-2 HCAPLUS

CN Benzenepropanamide, α -[[[(4-ethoxyphenyl)amino]carbonyl]amino]-N-[2'-(methylsulfonyl)[1,1'-biphenyl]-4-yl]-, (α R)- (9CI) (CA INDEX NAME)

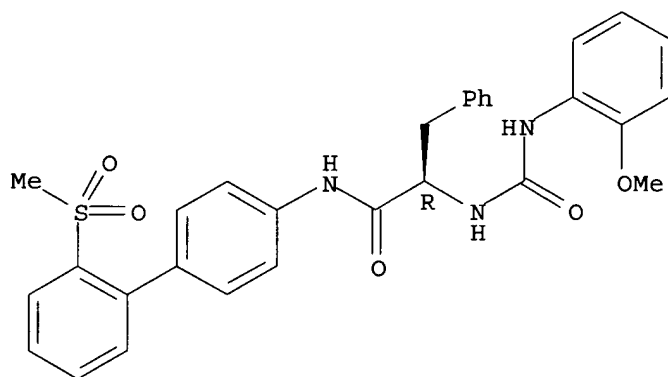
Absolute stereochemistry.



RN 438053-79-3 HCAPLUS

CN Benzenepropanamide, α -[[[(2-methoxyphenyl)amino]carbonyl]amino]-N-[2'-(methylsulfonyl)[1,1'-biphenyl]-4-yl]-, (α R)- (9CI) (CA INDEX NAME)

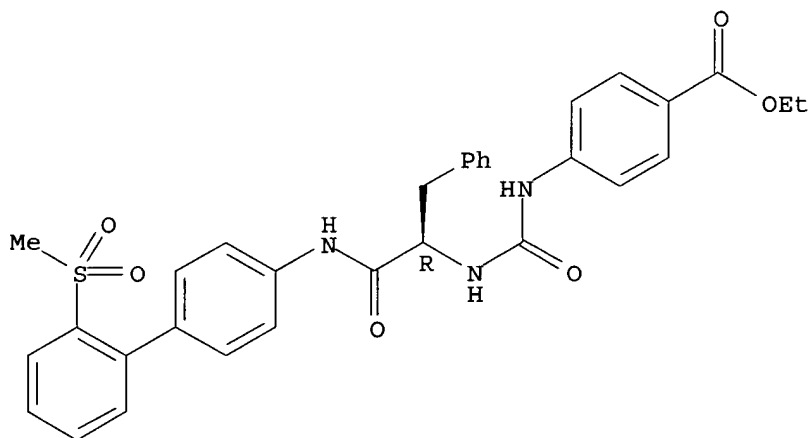
Absolute stereochemistry.



RN 438053-80-6 HCAPLUS

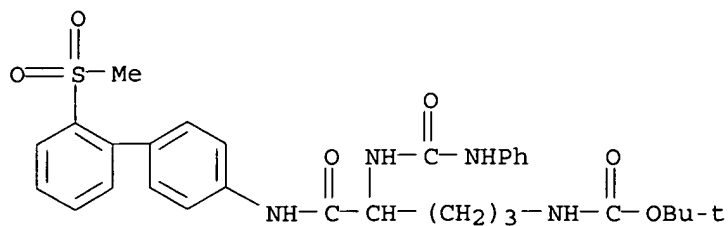
CN Benzoic acid, 4-[[[(1R)-2-[[2'-(methylsulfonyl)[1,1'-biphenyl]-4-yl]amino]-2-oxo-1-(phenylmethyl)ethyl]amino]carbonyl]amino]-, ethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 438053-81-7 HCAPLUS

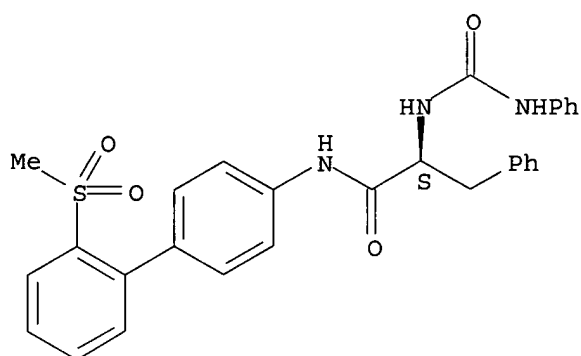
CN Carbamic acid, [5-[[2'-(methylsulfonyl)[1,1'-biphenyl]-4-yl]amino]-5-oxo-4-[[[(phenylamino)carbonyl]amino]pentyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



RN 438053-82-8 HCAPLUS

CN Benzenepropanamide, N-[2'-(methylsulfonyl)[1,1'-biphenyl]-4-yl]-α-[[[(phenylamino)carbonyl]amino]-, (αS)-(9CI) (CA INDEX NAME)

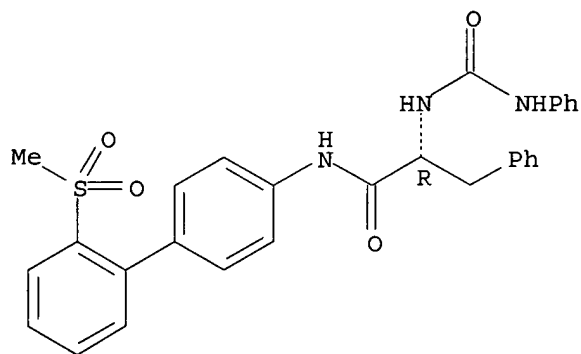
Absolute stereochemistry.



RN 438053-83-9 HCAPLUS

CN Benzenepropanamide, N-[2'-(methylsulfonyl)[1,1'-biphenyl]-4-yl]-α-[[[(phenylamino)carbonyl]amino]-, (αR)-(9CI) (CA INDEX NAME)

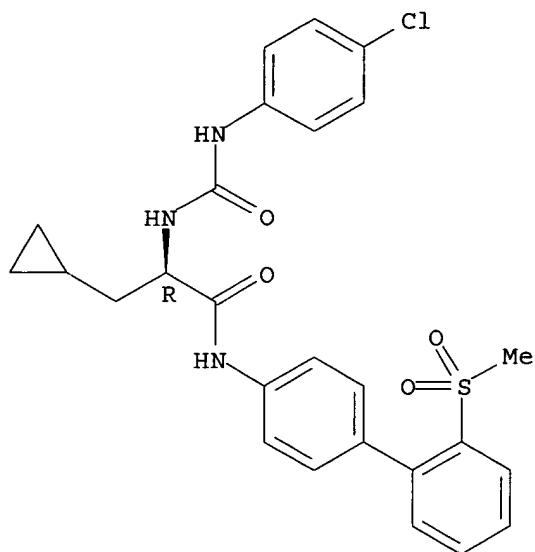
Absolute stereochemistry.



RN 438053-84-0 HCAPLUS

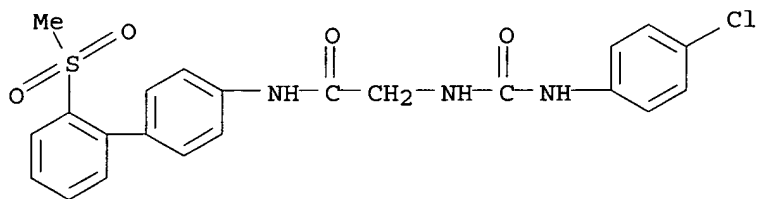
CN Cyclopropanepropanamide, α-[[[(4-chlorophenyl)amino]carbonyl]amino]-N-[2'-(methylsulfonyl)[1,1'-biphenyl]-4-yl]-, (αR)-(9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 438053-85-1 HCAPLUS

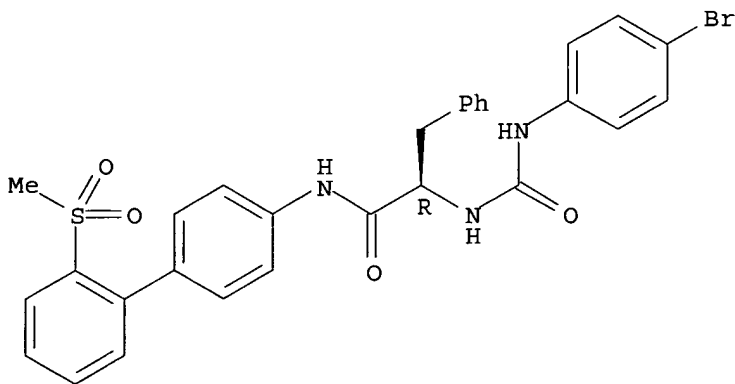
CN Acetamide, 2-[[[(4-chlorophenyl)amino]carbonyl]amino]-N-[2'-(methylsulfonyl)[1,1'-biphenyl]-4-yl]- (9CI) (CA INDEX NAME)



RN 438053-87-3 HCAPLUS

CN Benzenepropanamide, α -[[[(4-bromophenyl)amino]carbonyl]amino]-N-[2'-(methylsulfonyl)[1,1'-biphenyl]-4-yl]-, (α R)- (9CI) (CA INDEX NAME)

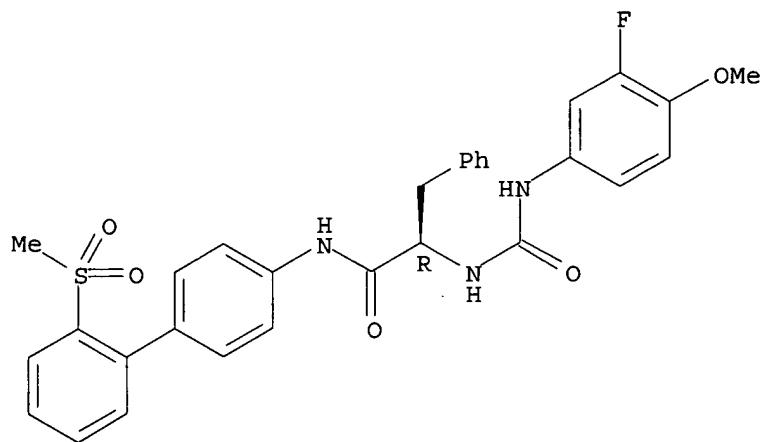
Absolute stereochemistry.



RN 438053-88-4 HCAPLUS

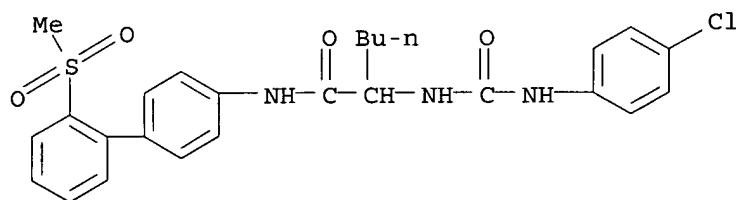
CN Benzenepropanamide, α -[[[(3-fluoro-4-methoxyphenyl)amino]carbonyl]amino]-N-[2'-(methylsulfonyl)[1,1'-biphenyl]-4-yl]-, (α R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 438053-89-5 HCAPLUS

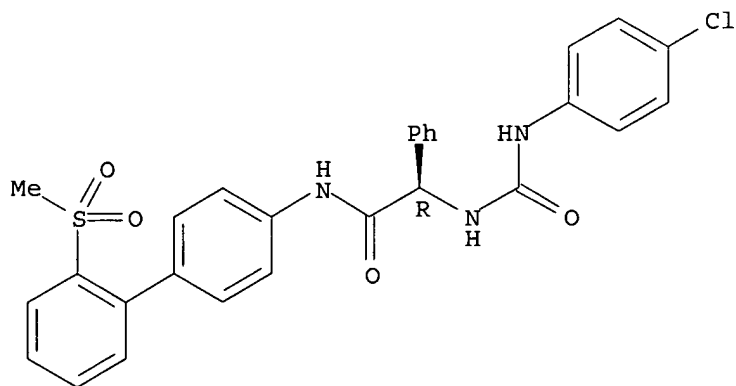
CN Hexanamide, 2-[[[(4-chlorophenyl)amino]carbonyl]amino]-N-[2'-(methylsulfonyl)[1,1'-biphenyl]-4-yl]- (9CI) (CA INDEX NAME)



RN 438053-90-8 HCAPLUS

CN Benzeneacetamide, α -[[[(4-chlorophenyl)amino]carbonyl]amino]-N-[2'-(methylsulfonyl)[1,1'-biphenyl]-4-yl]-, (α R)- (9CI) (CA INDEX NAME)

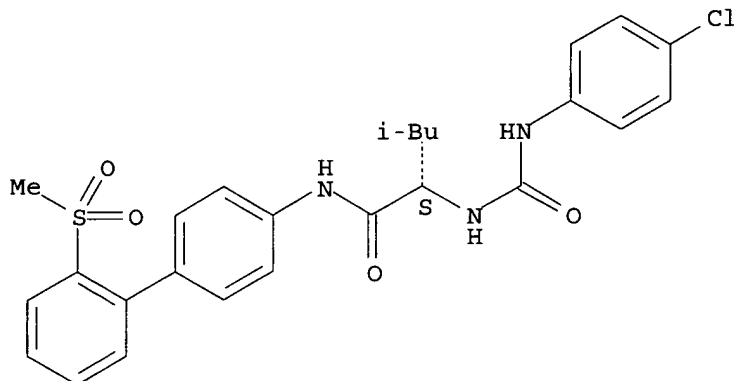
Absolute stereochemistry.



RN 438053-91-9 HCAPLUS

CN Pentanamide, 2-[[[(4-chlorophenyl)amino]carbonyl]amino]-4-methyl-N-[2'-(methylsulfonyl)[1,1'-biphenyl]-4-yl]-, (2S)- (9CI) (CA INDEX NAME)

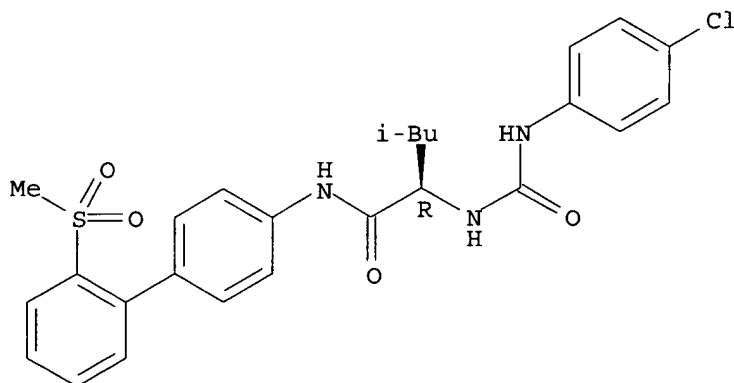
Absolute stereochemistry.



RN 438053-92-0 HCAPLUS

CN Pentanamide, 2-[[[(4-chlorophenyl)amino]carbonyl]amino]-4-methyl-N-[2'-(methylsulfonyl)[1,1'-biphenyl]-4-yl]-, (2R)- (9CI) (CA INDEX NAME)

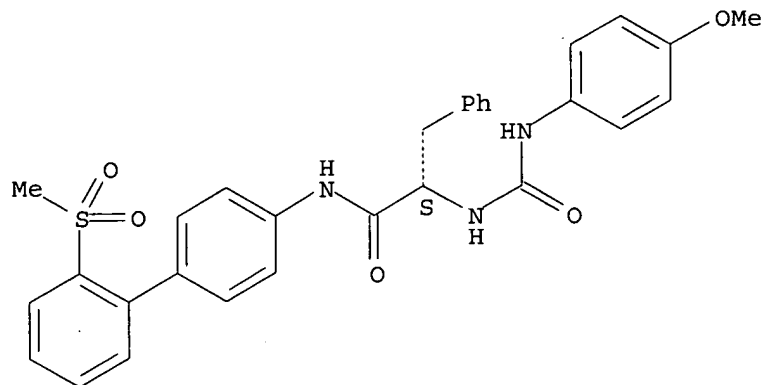
Absolute stereochemistry.



RN 438053-93-1 HCAPLUS

CN Benzenepropanamide, α -[[[(4-methoxyphenyl)amino]carbonyl]amino]-N-[2'-(methylsulfonyl)[1,1'-biphenyl]-4-yl]-, (α S)- (9CI) (CA INDEX NAME)

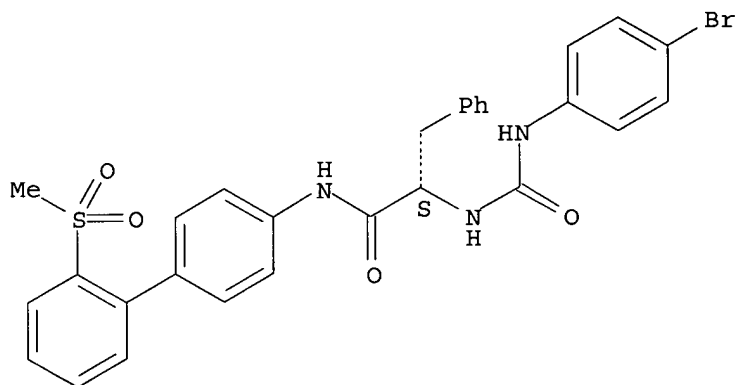
Absolute stereochemistry.



RN 438053-94-2 HCAPLUS

CN Benzenepropanamide, α -[[[(4-bromophenyl)amino]carbonyl]amino]-N-[2'-(methylsulfonyl)[1,1'-biphenyl]-4-yl]-, (α S)- (9CI) (CA INDEX NAME)

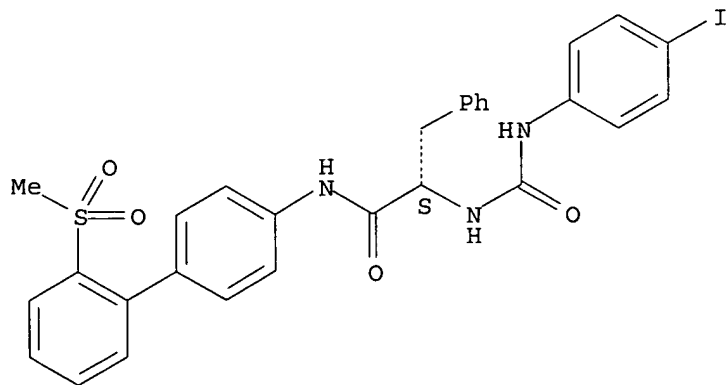
Absolute stereochemistry.



RN 438053-95-3 HCAPLUS

CN Benzenepropanamide, α -[[[(4-iodophenyl)amino]carbonyl]amino]-N-[2'-(methylsulfonyl)[1,1'-biphenyl]-4-yl]-, (α S)- (9CI) (CA INDEX NAME)

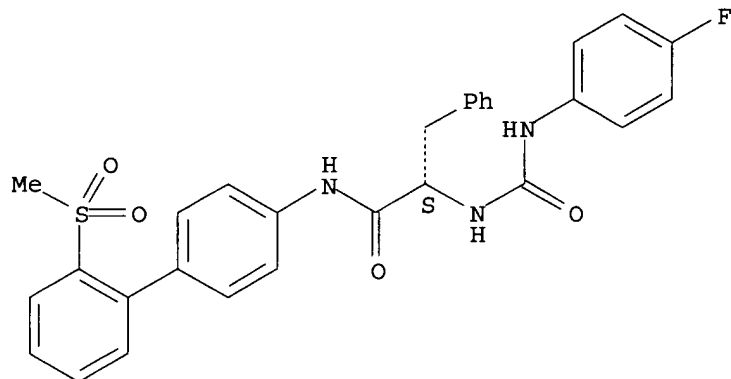
Absolute stereochemistry.



RN 438053-96-4 HCAPLUS

CN Benzenepropanamide, α -[[[(4-fluorophenyl)amino]carbonyl]amino]-N-[2'-(methylsulfonyl)[1,1'-biphenyl]-4-yl]-, (α S)- (9CI) (CA INDEX NAME)

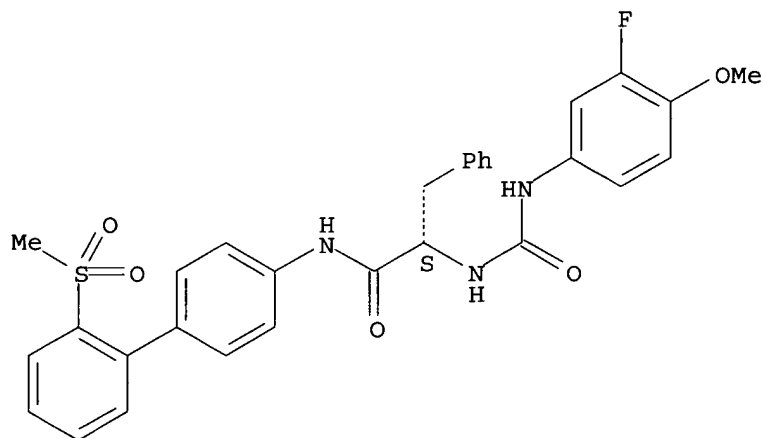
Absolute stereochemistry.



RN 438053-97-5 HCAPLUS

CN Benzenepropanamide, α -[[[(3-fluoro-4-methoxyphenyl)amino]carbonyl]amino]-N-[2'-(methylsulfonyl)[1,1'-biphenyl]-4-yl]-, (α S)- (9CI) (CA INDEX NAME)

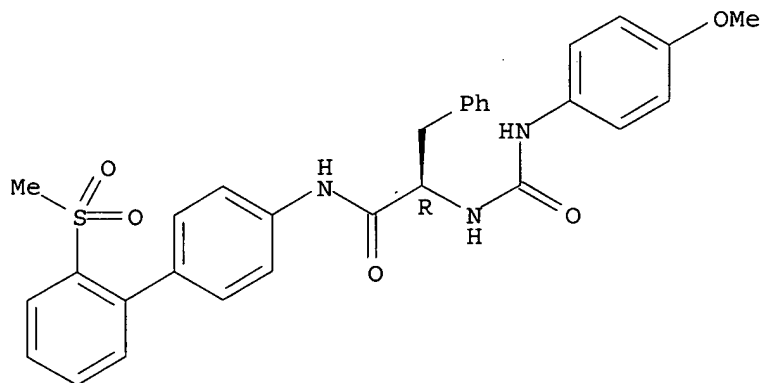
Absolute stereochemistry.



RN 438053-98-6 HCAPLUS

CN Benzenepropanamide, α -[[[(4-methoxyphenyl)amino]carbonyl]amino]-N-[2'-(methylsulfonyl)[1,1'-biphenyl]-4-yl]-, (α R)- (9CI) (CA INDEX NAME)

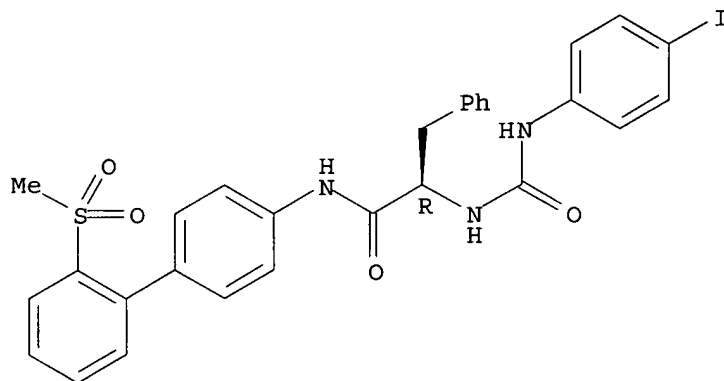
Absolute stereochemistry.



RN 438053-99-7 HCAPLUS

CN Benzenepropanamide, α-[[[(4-iodophenyl)amino]carbonyl]amino]-N-[2'-(methylsulfonyl)[1,1'-biphenyl]-4-yl]-, (αR)- (9CI) (CA INDEX NAME)

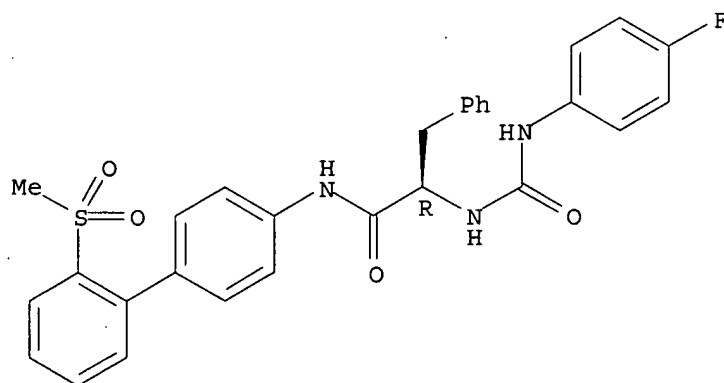
Absolute stereochemistry.



RN 438054-00-3 HCAPLUS

CN Benzenepropanamide, α-[[[(4-fluorophenyl)amino]carbonyl]amino]-N-[2'-(methylsulfonyl)[1,1'-biphenyl]-4-yl]-, (αR)- (9CI) (CA INDEX NAME)

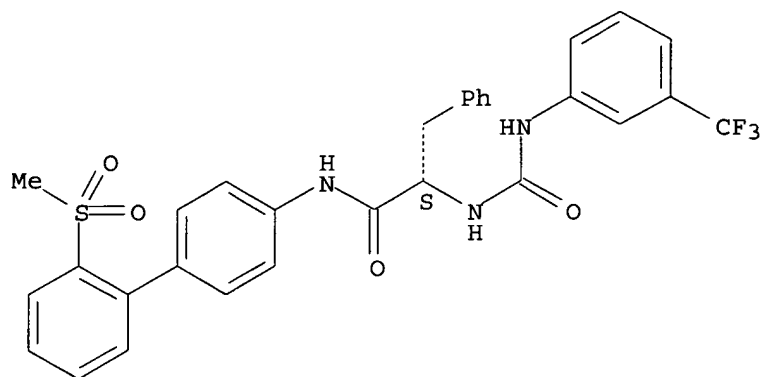
Absolute stereochemistry.



RN 438054-01-4 HCAPLUS

CN Benzenepropanamide, N-[2'-(methylsulfonyl)[1,1'-biphenyl]-4-yl]- α -
 [[[3-(trifluoromethyl)phenyl]amino]carbonyl]amino]-, (α S) - (9CI)
 (CA INDEX NAME)

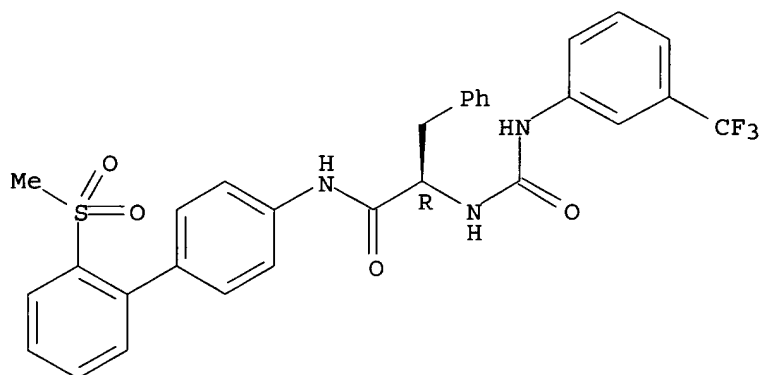
Absolute stereochemistry.



RN 438054-02-5 HCAPLUS

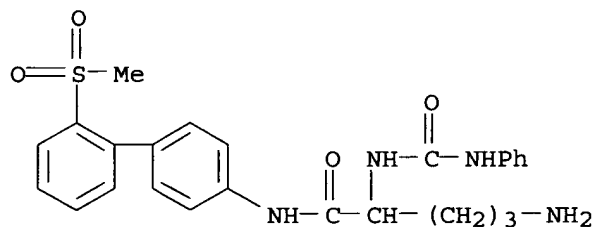
CN Benzenepropanamide, N-[2'-(methylsulfonyl)[1,1'-biphenyl]-4-yl]- α -
 [[[3-(trifluoromethyl)phenyl]amino]carbonyl]amino]-, (α R) - (9CI)
 (CA INDEX NAME)

Absolute stereochemistry.



RN 438054-03-6 HCAPLUS

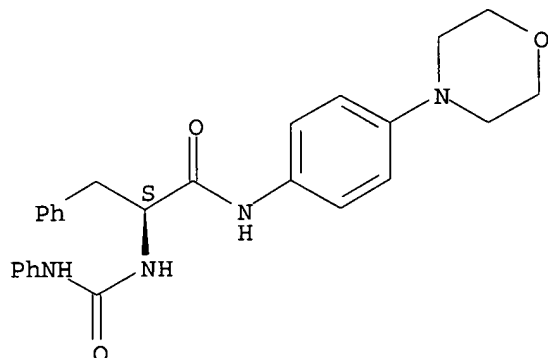
CN Pentanamide, 5-amino-N-[2'-(methylsulfonyl)[1,1'-biphenyl]-4-yl]-2-
 [[(phenylamino)carbonyl]amino] - (9CI) (CA INDEX NAME)



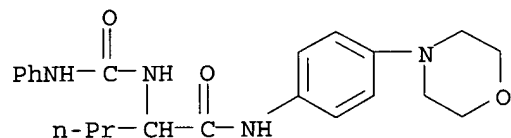
RN 438054-04-7 HCAPLUS

CN Benzenepropanamide, N-[4-(4-morpholinyl)phenyl]- α -
[[(phenylamino) carbonyl] amino]-, (α S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



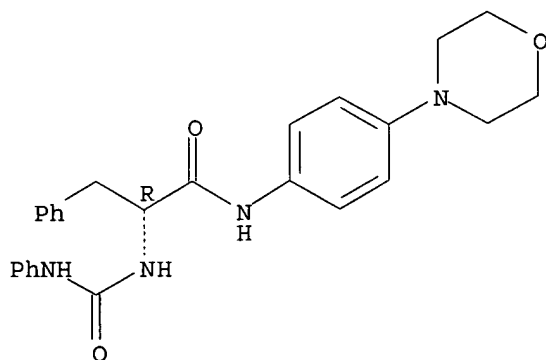
RN 438054-05-8 HCAPLUS

CN Pentanamide, N-[4-(4-morpholinyl)phenyl]-2-[[(phenylamino) carbonyl] amino]-
(9CI) (CA INDEX NAME)

RN 438054-06-9 HCAPLUS

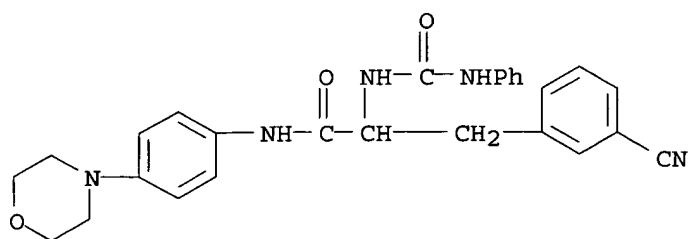
CN Benzenepropanamide, N-[4-(4-morpholinyl)phenyl]- α -
[[(phenylamino) carbonyl] amino]-, (α R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



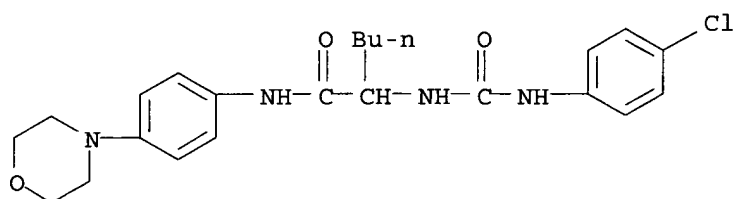
RN 438054-07-0 HCAPLUS

CN Benzenepropanamide, 3-cyano-N-[4-(4-morpholinyl)phenyl]- α -
[[(phenylamino) carbonyl] amino]- (9CI) (CA INDEX NAME)



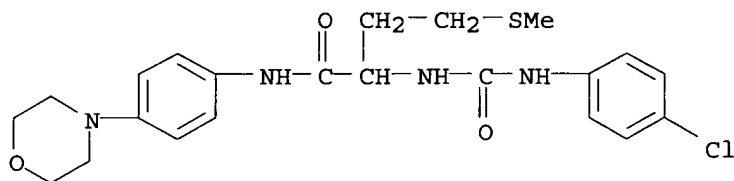
RN 438054-08-1 HCAPLUS

CN Hexanamide, 2-[[[(4-chlorophenyl)amino]carbonyl]amino]-N-[4-(4-morpholinyl)phenyl]- (9CI) (CA INDEX NAME)



RN 438054-09-2 HCAPLUS

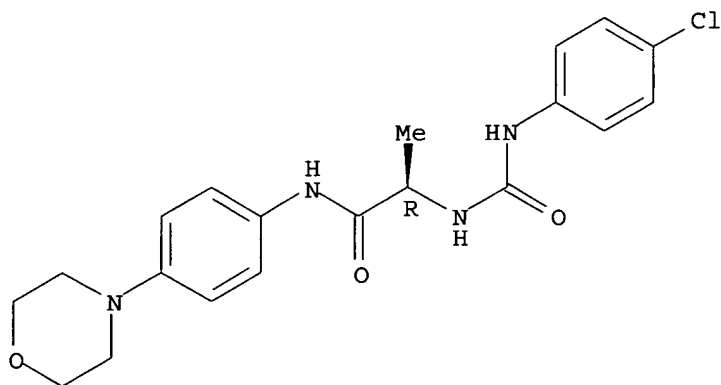
CN Butanamide, 2-[[[(4-chlorophenyl)amino]carbonyl]amino]-4-(methylthio)-N-[4-(4-morpholinyl)phenyl]- (9CI) (CA INDEX NAME)



RN 438054-10-5 HCAPLUS

CN Propanamide, 2-[[[(4-chlorophenyl)amino]carbonyl]amino]-N-[4-(4-morpholinyl)phenyl]-, (2R)- (9CI) (CA INDEX NAME)

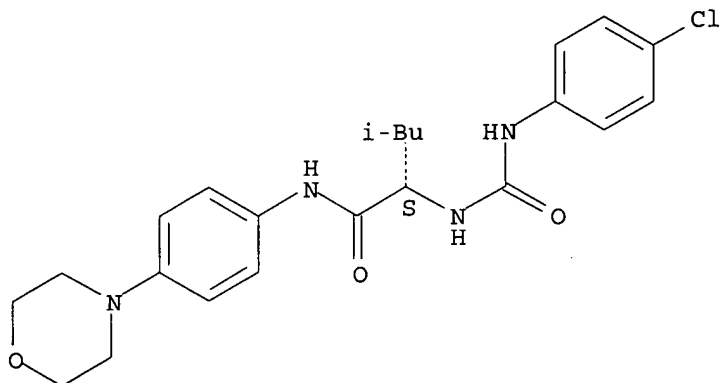
Absolute stereochemistry.



RN 438054-11-6 HCAPLUS

CN Pentanamide, 2-[[[(4-chlorophenyl)amino]carbonyl]amino]-4-methyl-N-[4-(4-morpholinyl)phenyl]-, (2S)- (9CI) (CA INDEX NAME)

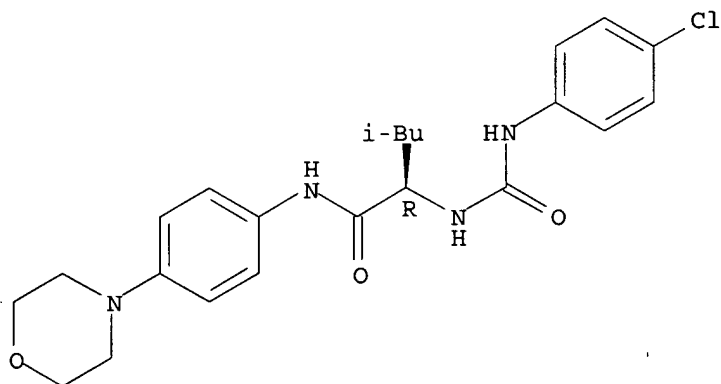
Absolute stereochemistry.



RN 438054-12-7 HCAPLUS

CN Pentanamide, 2-[[[(4-chlorophenyl)amino]carbonyl]amino]-4-methyl-N-[4-(4-morpholinyl)phenyl]-, (2R)- (9CI) (CA INDEX NAME)

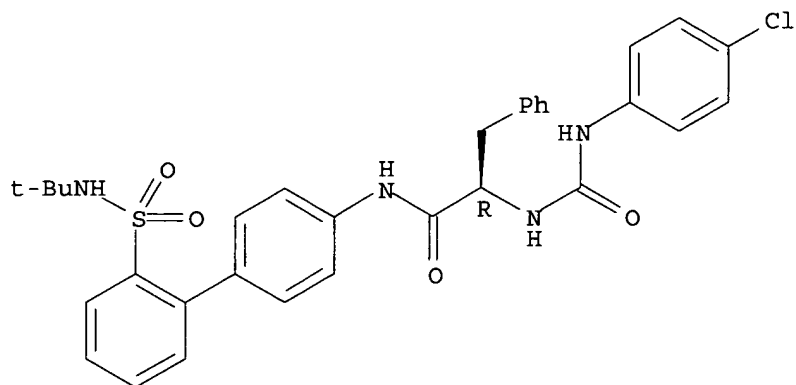
Absolute stereochemistry.



RN 438054-52-5 HCAPLUS

CN Benzenepropanamide, α -[[[(4-chlorophenyl)amino]carbonyl]amino]-N-[2'-[[[(1,1-dimethylethyl)amino]sulfonyl][1,1'-biphenyl]-4-yl]-, (α R)- (9CI) (CA INDEX NAME)

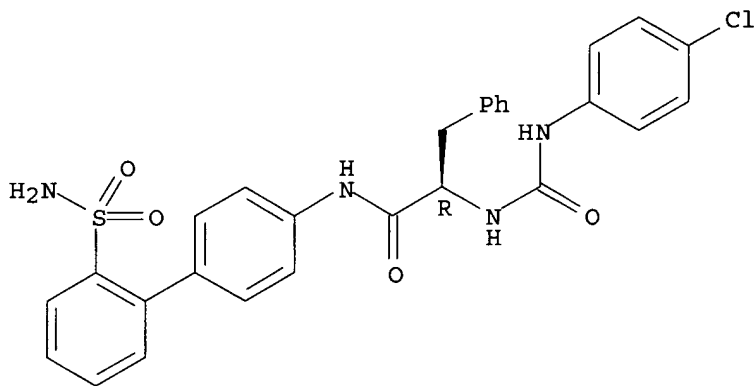
Absolute stereochemistry.



RN 438054-53-6 HCAPLUS

CN Benzenepropanamide, N-[2'-(aminosulfonyl)[1,1'-biphenyl]-4-yl]-α-[[[(4-chlorophenyl)amino]carbonyl]amino]-, (αR)- (9CI) (CA INDEX NAME)

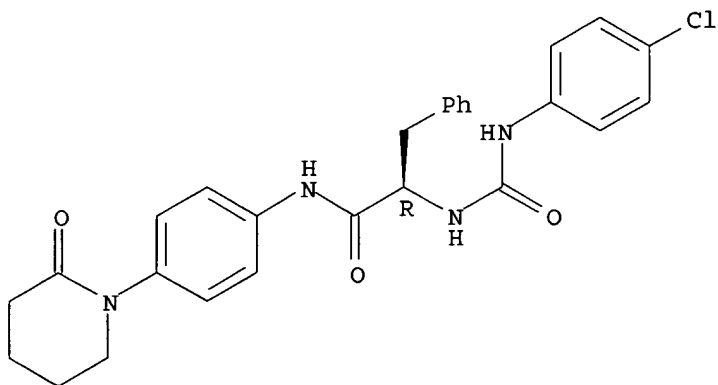
Absolute stereochemistry.



RN 438054-61-6 HCAPLUS

CN Benzenepropanamide, α-[[[(4-chlorophenyl)amino]carbonyl]amino]-N-[4-(2-oxo-1-piperidinyl)phenyl]-, (αR)- (9CI) (CA INDEX NAME)

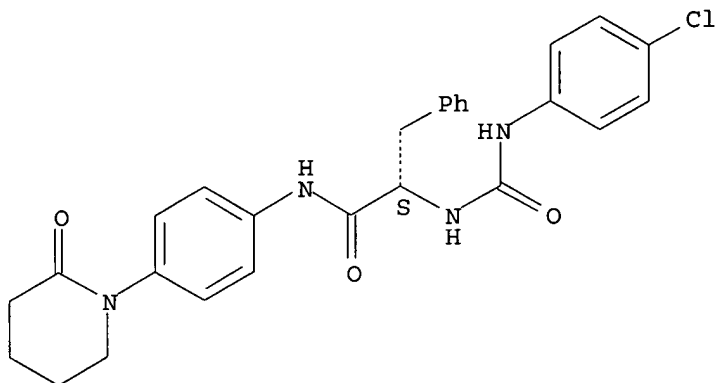
Absolute stereochemistry.



RN 438054-62-7 HCAPLUS

CN Benzenepropanamide, α -[[[(4-chlorophenyl)amino]carbonyl]amino]-N-[4-(2-oxo-1-piperidiny)phenyl]-, (α S)- (9CI) (CA INDEX NAME)

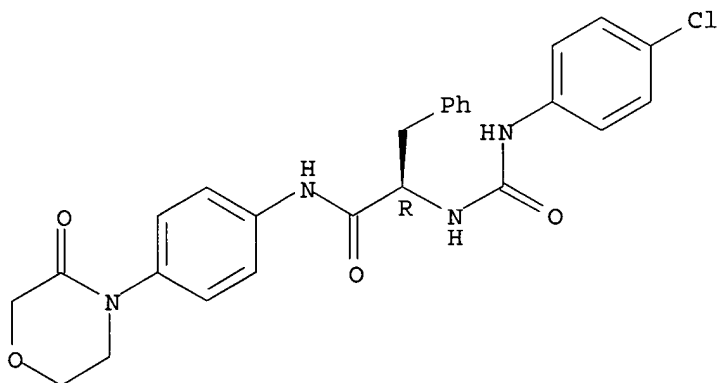
Absolute stereochemistry.



RN 438054-63-8 HCAPLUS

CN Benzenepropanamide, α -[[[(4-chlorophenyl)amino]carbonyl]amino]-N-[4-(3-oxo-4-morpholinyl)phenyl]-, (α R)- (9CI) (CA INDEX NAME)

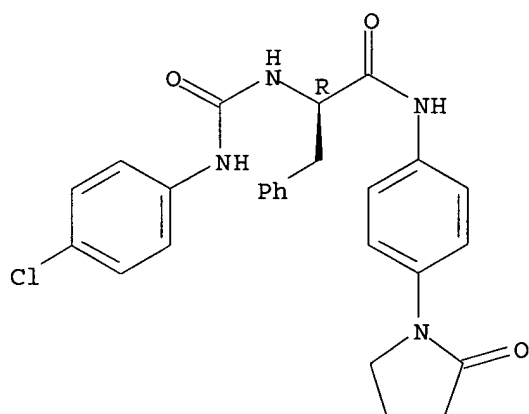
Absolute stereochemistry.



RN 438054-76-3 HCAPLUS

CN Benzenepropanamide, α -[[[(4-chlorophenyl)amino]carbonyl]amino]-N-[4-(2-oxo-1-pyrrolidiny)phenyl]-, (α R)- (9CI) (CA INDEX NAME)

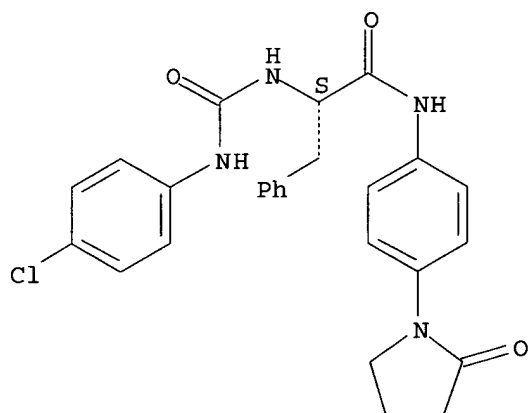
Absolute stereochemistry.



RN 438054-77-4 HCAPLUS

CN Benzenepropanamide, α -[[[(4-chlorophenyl)amino]carbonyl]amino]-N-[4-(2-oxo-1-pyrrolidinyl)phenyl]-, (α S)- (9CI) (CA INDEX NAME)

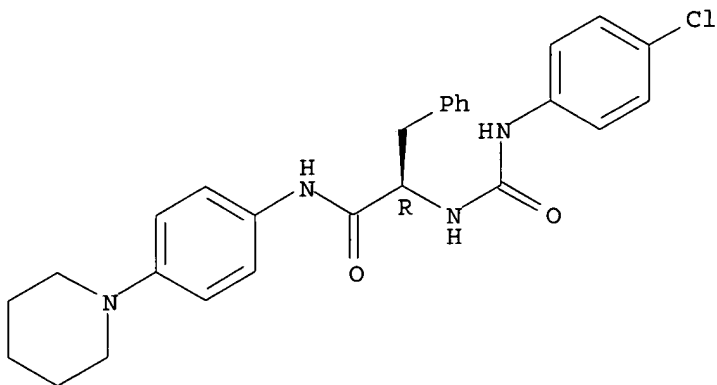
Absolute stereochemistry.



RN 438054-78-5 HCAPLUS

CN Benzenepropanamide, α -[[[(4-chlorophenyl)amino]carbonyl]amino]-N-[4-(1-piperidinyl)phenyl]-, (α R)- (9CI) (CA INDEX NAME)

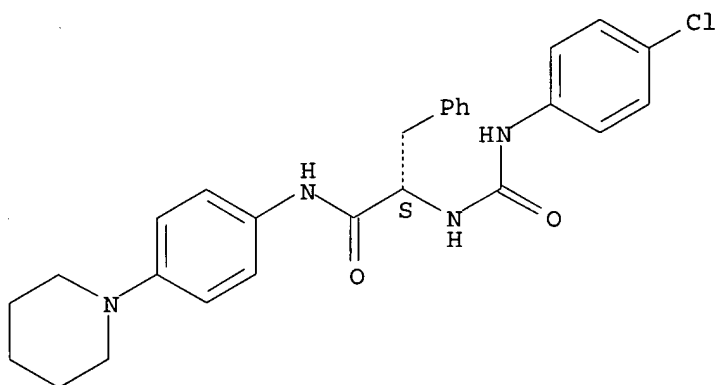
Absolute stereochemistry.



RN 438054-79-6 HCAPLUS

CN Benzenepropanamide, α-[[[(4-chlorophenyl)amino]carbonyl]amino]-N-[4-(1-piperidinyl)phenyl]-, (αS)- (9CI) (CA INDEX NAME)

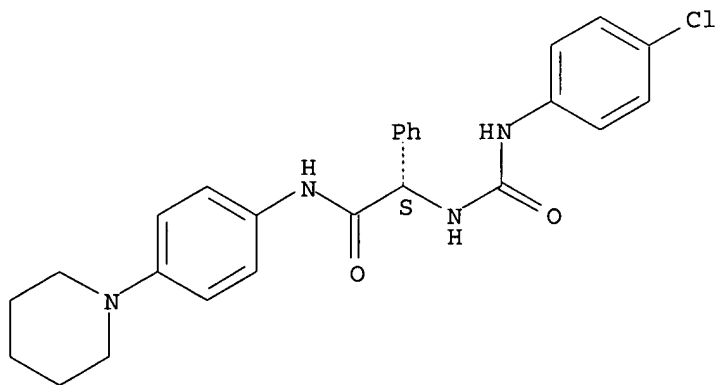
Absolute stereochemistry.



RN 438054-80-9 HCAPLUS

CN Benzeneacetamide, α-[[[(4-chlorophenyl)amino]carbonyl]amino]-N-[4-(1-piperidinyl)phenyl]-, (αS)- (9CI) (CA INDEX NAME)

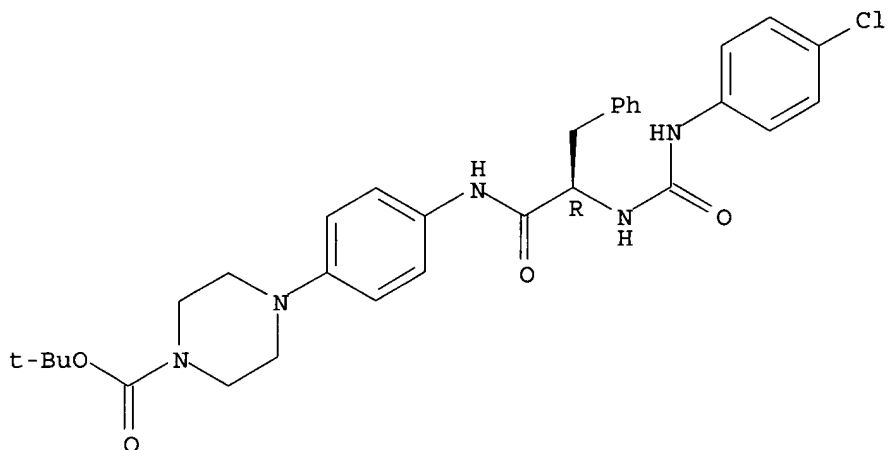
Absolute stereochemistry.



RN 438054-99-0 HCAPLUS

CN 1-Piperazinecarboxylic acid, 4-[4-[[[(2R)-2-[[[(4-chlorophenyl)amino]carbonyl]amino]-1-oxo-3-phenylpropyl]amino]phenyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

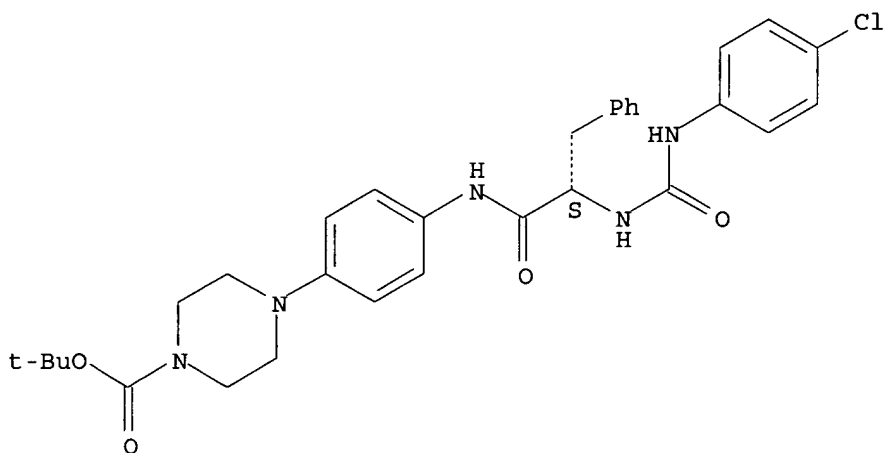
Absolute stereochemistry.



RN 438055-00-6 HCAPLUS

CN 1-Piperazinecarboxylic acid, 4-[4-[[[(2S)-2-[[[(4-chlorophenyl)amino]carbonyl]amino]-1-oxo-3-phenylpropyl]amino]phenyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

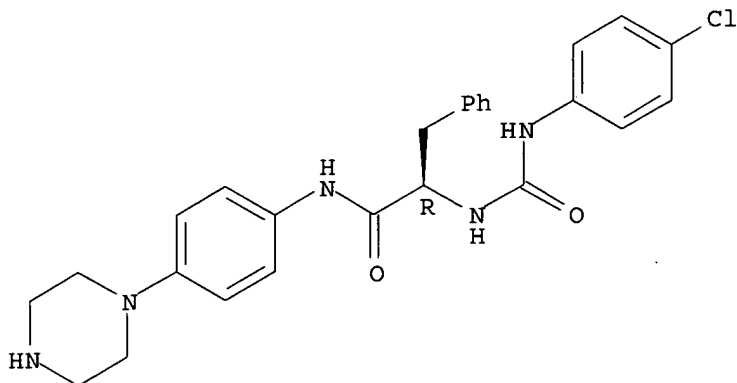
Absolute stereochemistry.



RN 438055-01-7 HCAPLUS

CN Benzenepropanamide, α -[[[(4-chlorophenyl)amino]carbonyl]amino]-N-[4-(1-piperazinyl)phenyl]-, (α R)- (9CI) (CA INDEX NAME)

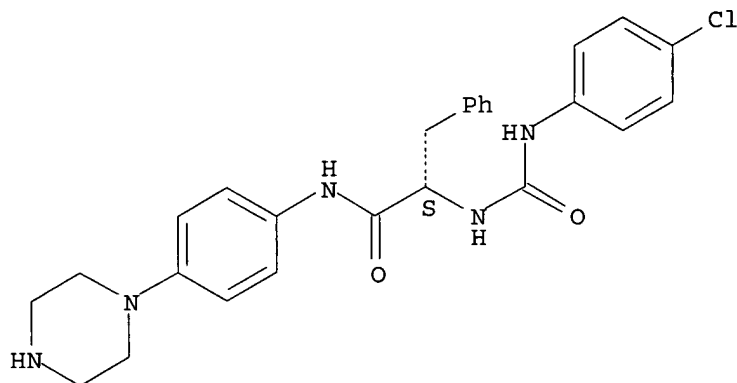
Absolute stereochemistry.



RN 438055-02-8 HCAPLUS

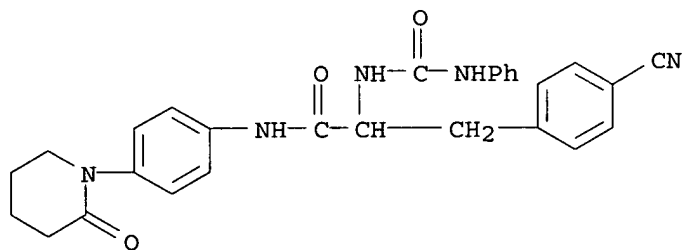
CN Benzenepropanamide, α-[[[(4-chlorophenyl)amino]carbonyl]amino]-N-[4-(1-piperazinyl)phenyl]-, (αS)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



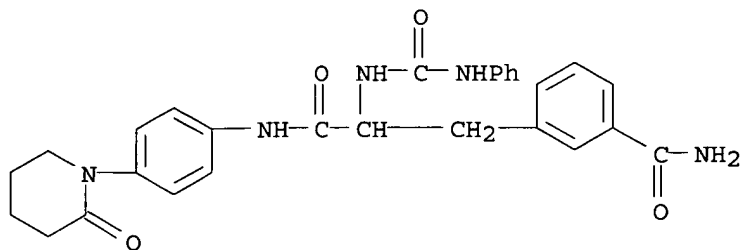
RN 438055-60-8 HCAPLUS

CN Benzenepropanamide, 4-cyano-N-[4-(2-oxo-1-piperidiny)phenyl]-α-[[[(phenylamino)carbonyl]amino]- (9CI) (CA INDEX NAME)



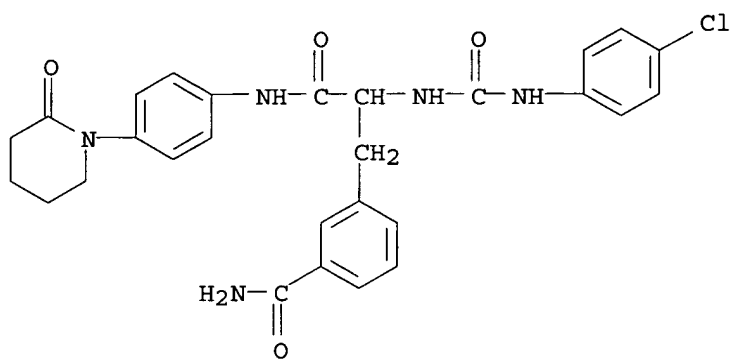
RN 438055-63-1 HCAPLUS

CN Benzenepropanamide, 3-(aminocarbonyl)-N-[4-(2-oxo-1-piperidiny)phenyl]-α-[[[(phenylamino)carbonyl]amino]- (9CI) (CA INDEX NAME)



RN 438055-65-3 HCAPLUS

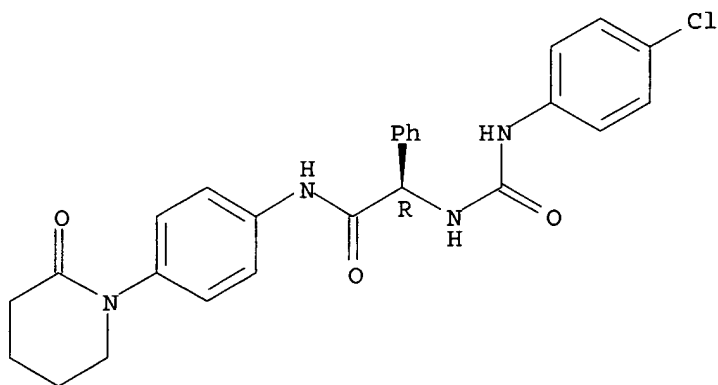
CN Benzenepropanamide, 3-(aminocarbonyl)- α -[[[(4-chlorophenyl)amino]carbonyl]amino]-N-[4-(2-oxo-1-piperidiny)phenyl]-(9CI) (CA INDEX NAME)



RN 438055-66-4 HCAPLUS

CN Benzeneacetamide, α -[[[(4-chlorophenyl)amino]carbonyl]amino]-N-[4-(2-oxo-1-piperidiny)phenyl]-, (α R)- (9CI) (CA INDEX NAME)

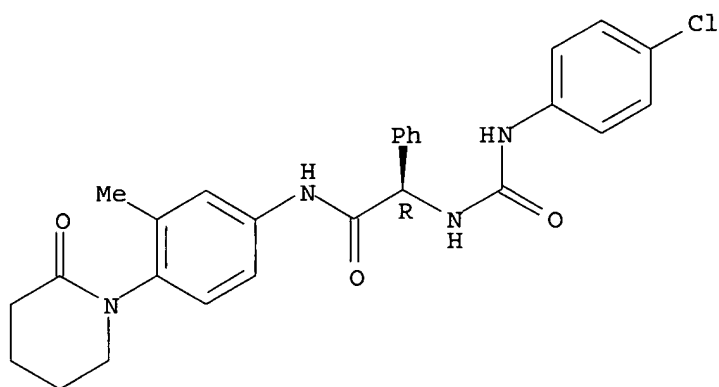
Absolute stereochemistry.



RN 438055-67-5 HCAPLUS

CN Benzeneacetamide, α -[[[(4-chlorophenyl)amino]carbonyl]amino]-N-[3-methyl-4-(2-oxo-1-piperidiny)phenyl]-, (α R)- (9CI) (CA INDEX NAME)

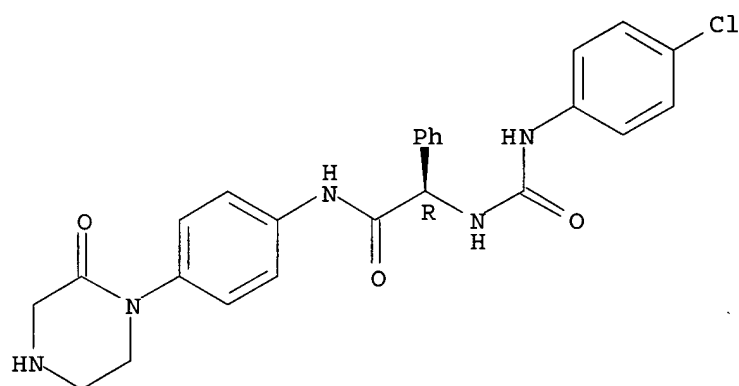
Absolute stereochemistry.



RN 438055-68-6 HCAPLUS

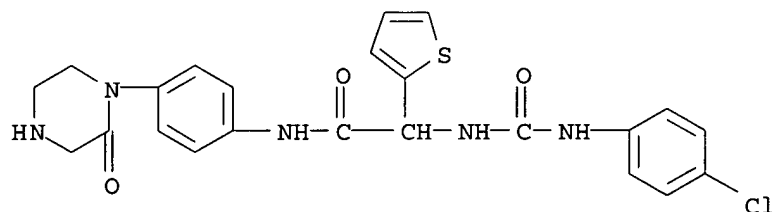
CN Benzeneacetamide, α-[[[(4-chlorophenyl)amino]carbonyl]amino]-N-[4-(2-oxo-1-piperazinyl)phenyl]-, (αR)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



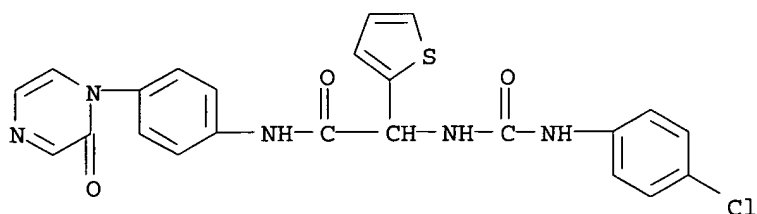
RN 438055-70-0 HCAPLUS

CN 2-Thiopheneacetamide, α-[[[(4-chlorophenyl)amino]carbonyl]amino]-N-[4-(2-oxo-1-piperazinyl)phenyl]- (9CI) (CA INDEX NAME)



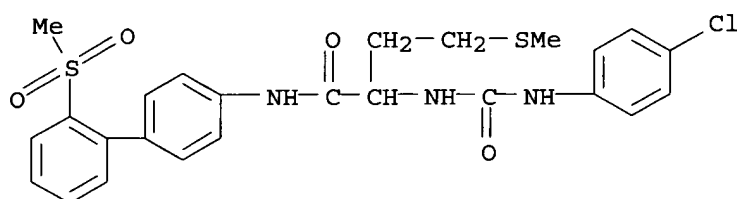
RN 438055-71-1 HCAPLUS

CN 2-Thiopheneacetamide, α-[[[(4-chlorophenyl)amino]carbonyl]amino]-N-[4-(2-oxo-1(2H)-pyrazinyl)phenyl]- (9CI) (CA INDEX NAME)



RN 438056-84-9 HCAPLUS

CN Butanamide, 2-[[[(4-chlorophenyl)amino]carbonyl]amino]-N-[2'-(methylsulfonyl)[1,1'-biphenyl]-4-yl]-4-(methylthio)- (9CI) (CA INDEX NAME)



IT 438055-73-3P 438055-87-9P 438055-88-0P

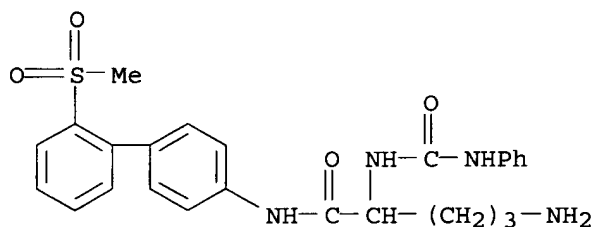
438055-89-1P 438055-90-4P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of ureido- and carbamoyloxy-substituted amides as inhibitors of factor Xa for the treatment of clotting disorders such as strokes and cancer)

RN 438055-73-3 HCAPLUS

CN Pentanamide, 5-amino-N-[2'-(methylsulfonyl)[1,1'-biphenyl]-4-yl]-2-[[[(phenylamino)carbonyl]amino]-, monohydrochloride (9CI) (CA INDEX NAME)

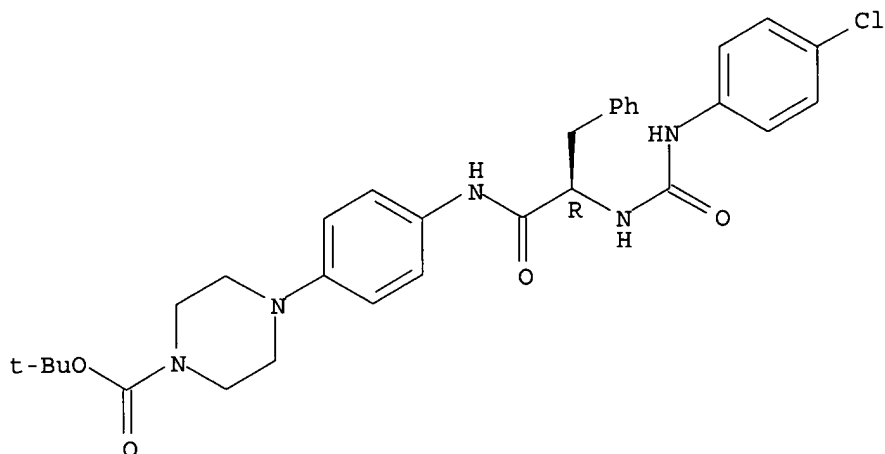


● HCl

RN 438055-87-9 HCAPLUS

CN 1-Piperazinecarboxylic acid, 4-[4-[[[(2R)-2-[[[(4-chlorophenyl)amino]carbonyl]amino]-1-oxo-3-phenylpropyl]amino]phenyl]-, 1,1-dimethylethyl ester, monohydrochloride (9CI) (CA INDEX NAME)

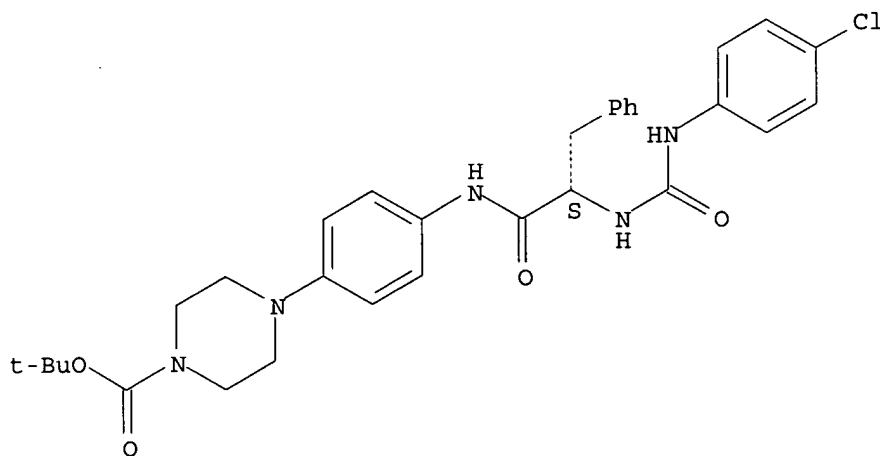
Absolute stereochemistry.



● HCl

RN 438055-88-0 HCAPLUS
 CN 1-Piperazinecarboxylic acid, 4-[4-[[[(2S)-2-[[[(4-chlorophenyl)amino]carbonyl]amino]-1-oxo-3-phenylpropyl]amino]phenyl]-, 1,1-dimethylethyl ester, monohydrochloride (9CI) (CA INDEX NAME)

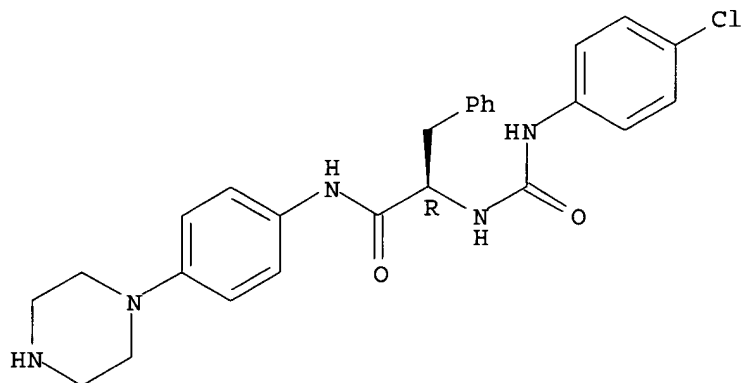
Absolute stereochemistry.



● HCl

RN 438055-89-1 HCAPLUS
 CN Benzenepropanamide, α-[[[(4-chlorophenyl)amino]carbonyl]amino]-N-[4-(1-piperazinyl)phenyl]-, hydrochloride, (αR)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

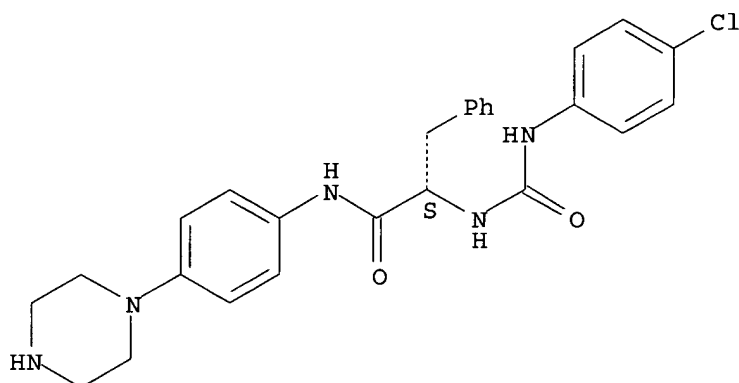


● x HCl

RN 438055-90-4 HCAPLUS

CN Benzenepropanamide, α -[[[(4-chlorophenyl)amino]carbonyl]amino]-N-[4-(1-piperazinyl)phenyl]-, hydrochloride, (α S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



● x HCl

REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L10 ANSWER 13 OF 15 HCAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 2002:391522 HCAPLUS

DOCUMENT NUMBER: 136:395983

TITLE: Bombesin receptor antagonists, and combinations with other agents, for the treatment of sexual dysfunction

INVENTOR(S): Gonzalez, Maria Isabel; Stock, Herman Thijs; Pinnock, Robert Denham; Pritchard, Martyn Clive; Wayman, Christopher Peter; Van der Graaf, Pieter Hadewijn; Naylor, Alisdair Mark; Higginbottom, Michael

PATENT ASSIGNEE(S): Warner-Lambert Company, USA

SOURCE: PCT Int. Appl., 225 pp.
 CODEN: PIXXD2
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 10
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002040008	A2	20020523	WO 2001-GB5018	20011114
WO 2002040008	A3	20020822		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
WO 2002040022	A1	20020523	WO 2000-GB4380	20001117
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
CA 2429106	AA	20020523	CA 2001-2429106	20011114
AU 2002023802	A5	20020527	AU 2002-23802	20011114
EP 1333824	A2	20030813	EP 2001-994552	20011114
EP 1333824	B1	20050907		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
BR 2001015364	A	20030923	BR 2001-15364	20011114
JP 2004522710	T2	20040729	JP 2002-542382	20011114
NZ 525415	A	20041126	NZ 2001-525415	20011114
AT 303804	E	20050915	AT 2001-994552	20011114
US 2004087561	A1	20040506	US 2003-416934	20031204
PRIORITY APPLN. INFO.:				
			WO 2000-GB4380	W 20001117
			GB 2001-9910	A 20010423
			GB 2001-11037	A 20010504
			WO 2001-GB5018	W 20011114

OTHER SOURCE(S): MARPAT 136:395983

AB Bombesin receptor antagonists have been found to be useful in the treatment of sexual dysfunction in both males and females. They may be selective BB1 antagonists or mixed BB1/BB2 antagonists. Combinations are disclosed of bombesin receptor antagonists with a range of other active compds., for example phosphodiesterase V inhibitors, neutral endopeptidase inhibitors, and lasofoxfene. Preparation of compds. of the invention is described.

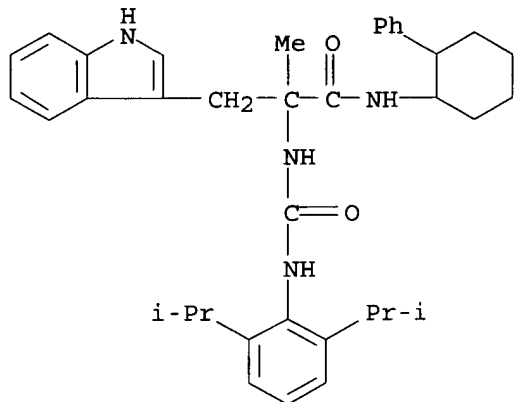
IT 428864-51-1

RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)

(bombesin receptor antagonists, and combinations with other agents, for treatment of sexual dysfunction)

RN 428864-51-1 HCAPLUS

CN 1H-Indole-3-propanamide, α -[[[2,6-bis(1-methylethyl)phenyl]amino]carbonyl]amino]- α -methyl-N-(2-phenylcyclohexyl)- (9CI) (CA INDEX NAME)



L10 ANSWER 14 OF 15 HCAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 1998:147326 HCAPLUS

DOCUMENT NUMBER: 128:205147

TITLE: Preparation of non-peptide bombesin receptor antagonists

INVENTOR(S): Horwell, David Christopher; Pritchard, Martyn Clive

PATENT ASSIGNEE(S): Warner-Lambert Company, USA; Horwell, David Christopher; Pritchard, Martyn Clive

SOURCE: PCT Int. Appl., 112 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9807718	A1	19980226	WO 1997-US13871	19970806
W: AL, AU, BA, BB, BG, BR, CA, CN, CZ, EE, GE, GH, HU, IL, IS, JP, KR, LC, LK, LR, LT, LV, MG, MK, MN, MX, NO, NZ, PL, RO, SG, SI, SK, SL, TR, TT, UA, US, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
BR 9711342	A	19990817	BR 1997-11342	19970222
CA 2255966	AA	19980226	CA 1997-2255966	19970806
AU 9741466	A1	19980306	AU 1997-41466	19970806
AU 733226	B2	20010510		
EP 920424	A1	19990609	EP 1997-939359	19970806
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI				
NZ 333038	A	20001027	NZ 1997-333038	19970806
JP 2001500850	T2	20010123	JP 1998-510779	19970806
ZA 9707526	A	19980219	ZA 1997-7526	19970821
US 6194437	B1	20010227	US 1999-230933	19990203

NO 9900788 A 19990219 NO 1999-788 19990219
 NO 312669 B1 20020617
 PRIORITY APPLN. INFO.: US 1996-24323P P 19960822
 WO 1997-US13871 W 19970806

OTHER SOURCE(S): MARPAT 128:205147

AB Compds. Ar(CR1R8)0-1(CH2)0-1NR4CONR5CR7(CH2Ar1)CONR6(CH2)0-3(CR2R9)0-1(CH2)0-2R3 [Ar = Ph, (un)substituted pyridyl; R1, R2 = H, alkyl, cycloalkyl; R8, R9 = H or forms a ring with R1 or R2, resp; Ar1 = Ar or pyridyl-N-oxide, indolyl, pyridyl, imidazole; R4, R5, R6, R7 = H, Me; R3 = Ar or H, OH, Me2N, N-methylpyrrole, etc.] or their pharmaceutically acceptable salts were prepared as bombesin receptor antagonists. Thus, 2-[3-(2,6-diisopropylphenyl)ureido]-3-(1H-indol-3-yl)-2-methyl-N-(1-pyridin-2-ylcyclohexylmethyl)propionamide was prepared by condensation of α -methyl-L-tryptophan with 2,6-diisopropylphenyl isocyanate, followed by amidation with 1-pyridin-2-ylcyclohexylmethylamine. Affinity binding data (IC50 values) for the product were determined to be 5 and <10 nM for the NMB and GRP receptors, resp.

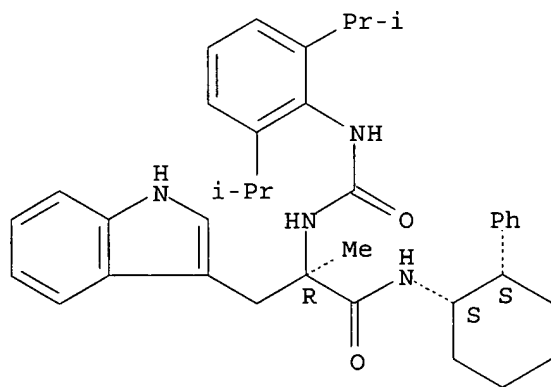
IT 204066-74-0P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
 (preparation of non-peptide bombesin receptor antagonists)

RN 204066-74-0 HCAPLUS

CN 1H-Indole-3-propanamide, α -[[[2,6-bis(1-methylethyl)phenyl]amino]carbonyl]amino]- α -methyl-N-(2-phenylcyclohexyl)-, [1S-[1 α (S*),2 α]]- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).



REFERENCE COUNT: 7 THERE ARE 7 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L10 ANSWER 15 OF 15 HCAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 1992:106815 HCAPLUS

DOCUMENT NUMBER: 116:106815

TITLE: Preparation of derivatives of N-phenylglycinamide as CCK and gastrin antagonists.

INVENTOR(S): Bourzat, Jean Dominique; Capet, Marc; Cotrel, Claude; Guyon, Claude; Manfre, Franco; Roussel, Gerard

PATENT ASSIGNEE(S): Rhone-Poulenc Rorer SA, Fr.

SOURCE: PCT Int. Appl., 100 pp.

CODEN: PIXXD2

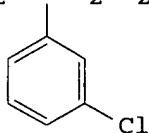
DOCUMENT TYPE: Patent

LANGUAGE: French
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9113907	A1	19910919	WO 1991-FR174	19910305
W: AU, CA, HU, JP, KR, NO, SU, US				
RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LU, NL, SE				
FR 2659334	A1	19910913	FR 1990-2889	19900307
FR 2659334	B1	19920515		
FR 2667864	A2	19920417	FR 1990-12727	19901016
FR 2667864	B2	19940805		
AU 9174920	A1	19911010	AU 1991-74920	19910305
AU 635832	B2	19930401		
EP 518960	A1	19921223	EP 1991-905832	19910305
EP 518960	B1	19940914		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE				
HU 61576	A2	19930128	HU 1992-2865	19910305
JP 05504967	T2	19930729	JP 1991-505781	19910305
ES 2059128	T3	19941101	ES 1991-905832	19910305
RU 2076108	C1	19970327	RU 1991-5053153	19910305
ZA 9101637	A	19911224	ZA 1991-1637	19910306
IL 97476	A1	19960723	IL 1991-97476	19910307
NO 9203456	A	19920904	NO 1992-3456	19920904
US 5475106	A	19951212	US 1992-924065	19921008
PRIORITY APPLN. INFO.:				
			FR 1990-2889	A 19900307
			FR 1990-12727	A 19901016
			WO 1991-FR174	A 19910305

OTHER SOURCE(S): MARPAT 116:106815
 GI

R⁵NHCH₂CONCH₂CO₂CMe₃



II

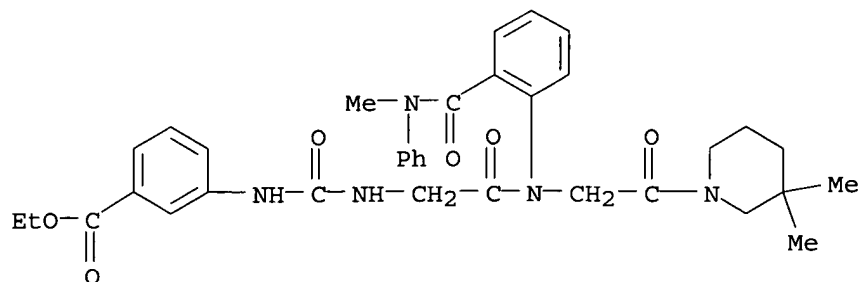
AB R₂COCHR₁NR₄COCH₂NHCOR₃ [I; R₁ = H, alkyl, alkoxy, alkoxy, (substituted) phenyl; R₂ = alkoxy, (substituted) cycloalkoxy, cycloalkylalkoxy, phenylalkoxy, polyfluoroalkoxy, cinnamyl, (substituted) amino; R₃ = (substituted) phenylamino, etc.; R₄ = Ph substituted by a halogen, alkyl, alkoxy, etc.], useful as antagonists against CCK and gastrin (no data), are prepared N-(Chlorophenyl)acetamide II [R₅ = H] (preparation given) in THF was reacted with m-MeC₆H₄NCO at 20° to give II [R₅ = m-MeC₆H₄NHCO]. Tablets, injections, etc., containing I were formulated.

IT 139088-42-9P

RL: SPN (Synthetic preparation); PREP (Preparation)
 (preparation of, as CCK and gastrin antagonist)

RN 139088-42-9 HCAPLUS

CN Benzoic acid, 3-[[[2-[[2-(3,3-dimethyl-1-piperidinyl)-2-oxoethyl] 2-[(methylphenylamino)carbonyl]phenyl]amino]-2-oxoethyl]amino]carbonyl]amino]-, ethyl ester (9CI) (CA INDEX NAME)



FILE 'BEILSTEIN' ENTERED AT 10:41:57 ON 22 NOV 2005

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FILE LAST UPDATED ON OCTOBER 10, 2005

FILE COVERS 1771 TO 2005.

*** FILE CONTAINS 9,363,954 SUBSTANCES ***

>>>PLEASE NOTE: Reaction Data and substance data are stored in separate documents and can not be searched together in one query. Reaction data for BEILSTEIN compounds may be displayed immediately with the display codes PRE (preparations) and REA (reactions). A substance answer set retrieved after the search for a chemical name, a compounds with available reaction information by combining with PRE/FA, REA/FA or more generally with RX/FA. The BEILSTEIN Registry Number (BRN) is the link between a BEILSTEIN compound and belonging reactions. For more detailed reaction searches BRNs can be searched as reaction partner BRNs Reactant BRN (RX.RBRN) or Product BRN (RX.PBRN).<<<

>>> FOR SEARCHING PREPARATIONS SEE HELP PRE <<<

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* ESTIMATES MAY NOT REFLECT THE ACTUAL COSTS. *
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NEW

- * PATENT NUMBERS (PN) AND BABS ACCESSION NUMBERS (BABSAN) CAN NOW BE SEARCHED, SELECTED AND TRANSFERRED.
- * NEW DISPLAY FORMATS ALLREF, ALLP AND BABSAN SHOW ALL REFERENCES, ALL PATENT REFERENCES, OR ALL BABS ACCESSION NUMBERS FOR A COMPOUND AT A GLANCE.

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L7 STR

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 & G3 & O & & & O & G2 & & & & \\
 & \text{~} & || & & & || & \text{~} & & & & \\
 \text{Cb-} & \text{N} & \text{C} & \text{N} & \text{C} & \text{C} & \text{N} & \text{Cb-} & & & \\
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Ak @17 Ak~Cy
@18 19

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VAR G2=H/17/CY/18
VAR G3=H/AK/CY
NODE ATTRIBUTES:
NSPEC IS RC AT 5
NSPEC IS RC AT 16
CONNECT IS E1 RC AT 17
DEFAULT MLEVEL IS ATOM
DEFAULT ECLEVEL IS LIMITED

GRAPH ATTRIBUTES:
RING(S) ARE ISOLATED OR EMBEDDED
NUMBER OF NODES IS 19

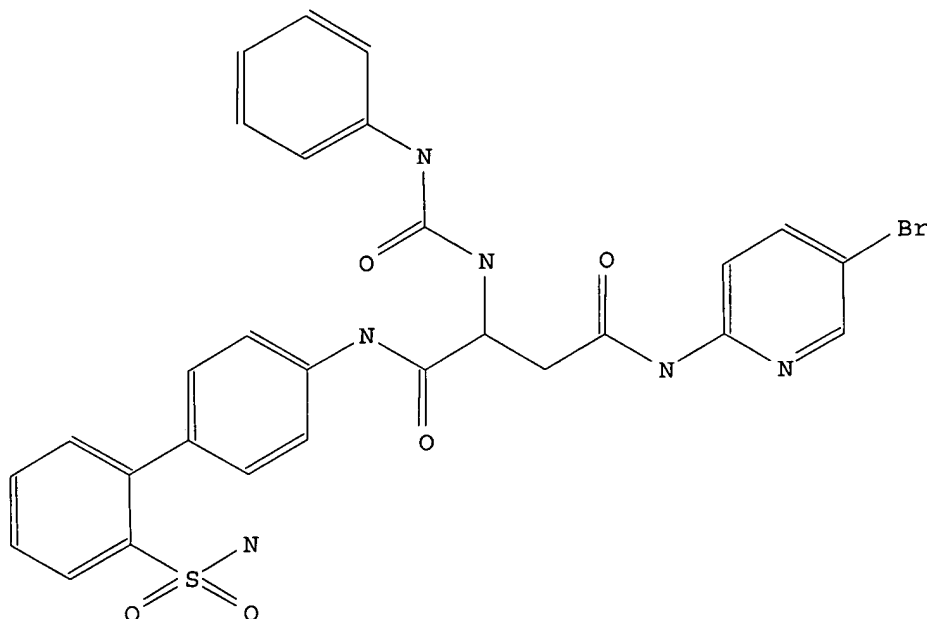
STEREO ATTRIBUTES: NONE
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100.0% PROCESSED 5329 ITERATIONS 2 ANSWERS
SEARCH TIME: 00.00.21

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L11 ANSWER 1 OF 2 BEILSTEIN COPYRIGHT 2005 BEILSTEIN MDL on STN

Beilstein Records (BRN):	9823901
Chemical Name (CN):	N4-(5-bromo-pyridin-2-yl)-2-(3-phenyl-ureido)-N1-(2'-sulfamoyl-biphenyl-4-yl)-succinamide
Autonom Name (AUN):	N4-(5-bromo-pyridin-2-yl)-2-(3-phenyl-ureido)-N1-(2'-sulfamoyl-biphenyl-4-yl)-succinamide
Molec. Formula (MF):	C28 H25 Br N6 O5 S
Molecular Weight (MW):	637.51
Lawson Number (LN):	27379, 16328, 14131, 3487, 1762
Compound Type (CTYPE):	heterocyclic
Constitution ID (CONSID):	8275634
Tautomer ID (TAUTID):	9210091
Entry Date (DED):	2005/01/21
Update Date (DUPD):	2005/01/21



Field Availability:

Code	Name	Occurrence
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BRN	Beilstein Records	1
CN	Chemical Name	1
AUN	Autonomname	1
MF	Molecular Formula	1
FW	Formular Weight	1
LN	Lawson Number	5
CTYPE	Compound Type	1
CONSID	Constitution ID	1
TAUTID	Tautomer ID	1
DED	Entry Date	1
DUPD	Update Date	1
PHARM	Pharmacological Data	1

All References:

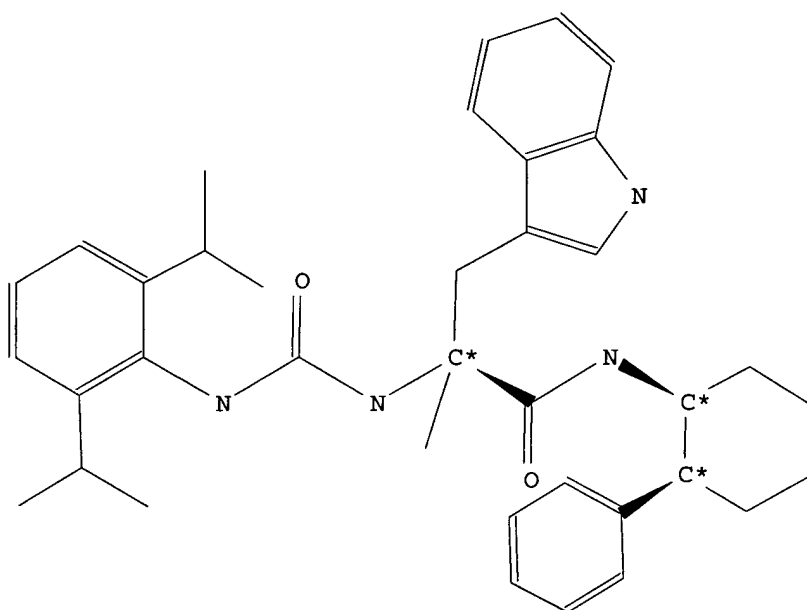
ALLREF

1. Bauer, Shawn M.; Goldman, Erick A.; Huang, Wenrong; Su, Ting; Wang, Lingyan; Woolfrey, John; Wu, Yanhong; Zuckett, Jingmei F.; Arfsten, Ann; Huang, Brian; Kothule, Jaya; et al., Bioorg. Med. Chem. Lett., CODEN: BMCLE8, 14(15), <2004>, 4045 - 4050; BABS-6461935

L11 ANSWER 2 OF 2 BEILSTEIN COPYRIGHT 2005 BEILSTEIN MDL on STN

Beilstein Records (BRN): 7676703
 Chemical Name (CN): 2-<3-(2,6-diisopropyl-phenyl)-ureido>-3-(1H-indol-3-yl)-2-methyl-N-(2-phenyl-cyclohexyl)-propionamide
 Autonom Name (AUN): 2-<3-(2,6-diisopropyl-phenyl)-ureido>-3-(1H-indol-3-yl)-2-methyl-N-(2-phenyl-

Molec. Formula (MF): cyclohexyl)-propionamide
 C37 H46 N4 O2
 Molecular Weight (MW): 578.80
 Lawson Number (LN): 27822, 14255, 14181, 1762
 File Segment (FS): Stereo compound
 Compound Type (CTYPE): heterocyclic
 Constitution ID (CONSID): 6552398
 Tautomer ID (TAUTID): 7268650
 Beilstein Citation (BSO): 6-22
 Entry Date (DED): 1997/07/31
 Update Date (DUPD): 1998/03/04



Field Availability:

Code	Name	Occurrence
BRN	Beilstein Records	1
CN	Chemical Name	1
AUN	Autonomname	1
MF	Molecular Formula	1
FW	Formular Weight	1
LN	Lawson Number	4
FS	File Segment	1
CTYPE	Compound Type	1
CONSID	Constitution ID	1
TAUTID	Tautomer ID	1
BSO	Beilstein Citation	1
DED	Entry Date	1
DUPD	Update Date	1
PHARM	Pharmacological Data	1

All References:

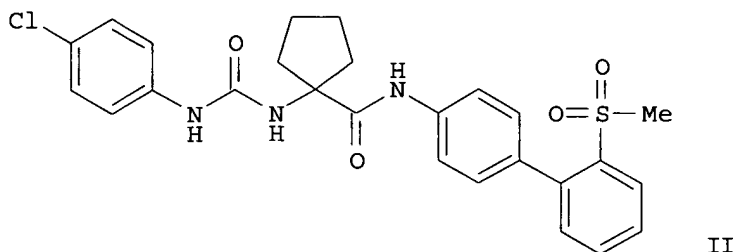
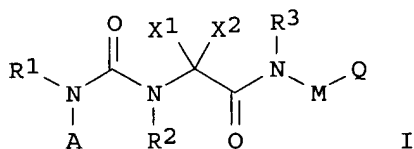
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1. Eden, J. M.; Hall, M. D.; Higginbottom, M.; Horwell, W.; Howson, W.; et al., Bioorg.Med.Chem.Lett., CODEN: BMCLE8, 6(21), <1996>, 2617-2622; BABS-6047715

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L24 ANSWER 1 OF 1 HCAPLUS COPYRIGHT 2005 ACS on STN
 ACCESSION NUMBER: 2004:252476 HCAPLUS
 DOCUMENT NUMBER: 140:287179
 TITLE: Preparation of [phenylureido(hetero)cyclyl]carboxamide
 s as inhibitors of factor Xa and other serine
 proteases involved in the coagulation cascade
 INVENTOR(S): Bolton, Gary Louis; Filipski, Kevin James; Kohrt,
 Jeffrey Thomas; La, Frances Thu; Leonard, Daniele
 Marie
 PATENT ASSIGNEE(S): Warner-Lambert Company Llc, USA
 SOURCE: PCT Int. Appl., 111 pp.
 CODEN: PIXXD2
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004024679	A1	20040325	WO 2003-IB3900	20030902
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
CA 2497003	AA	20040325	CA 2003-2497003	20030902
EP 1539686	A1	20050615	EP 2003-795154	20030902
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BR 2003014219	A	20050719	BR 2003-14219	20030902
US 2004167131	A1	20040826	US 2003-662046	20030911
PRIORITY APPLN. INFO.:			US 2002-409891P	P 20020911
			WO 2003-IB3900	W 20030902
OTHER SOURCE(S):			MARPAT 140:287179	
GI				



AB Title amino acid derivs. I [wherein X1 and X2 = independently H, (ar)alkyl, alkenyl, alkynyl, (hetero)aryl, cycloalkyl(alkyl), (CH₂)_m-halo, (CH₂)_m-heteroaryl, (CH₂)_mSOR₃, (CH₂)_mOCOR₃, (CH₂)_mOSO₂R₃, (CH₂)_mOSO₂NR₄R₅, (CH₂)_mNR₄R₅, (CH₂)_mOR₃, CN, NO₂, (CH₂)_mO(CH₂)_mOR₃, (CH₂)_mO(CH₂)_mNR₄R₅, (CH₂)_mR₃, (CH₂)_mCO₂R₃, (CH₂)_mCOR₃, (CH₂)_mCONR₄R₅, (CH₂)_mNR₆COR₃, (CH₂)_mNR₆CONR₄R₅, (CH₂)_mSO₂R₃, (CH₂)_mSO₂NR₄R₅, (CH₂)_m-morpholinyl, (CH₂)_m-piperazinyl, etc.; or CX₁X₂ = (hetero)cyclyl; A = aryl(cycloalkyl), heteroaryl(cycloalkyl), cycloalkyl, or cycloalkenyl; M = (hetero)arylene, (hetero)cycloalkylene, or (hetero)cycloalkenylene; Q = CONR₄R₅, (hetero)aryl, (hetero)cycloalkyl, or (hetero)cycloalkenyl; R₁ = H, alkyl, (hetero)aryl, or alkenyl; R₂ = H, (cyclo)alkyl, (hetero)aryl, alkenyl, (hetero)cycloalkylalkyl, (hetero)aralkyl, carboxy, (CH₂)_mNR₄R₅, (CH₂)_mOR₃, (CH₂)_mSR₃, (CH₂)_mCONR₄R₅, or (CH₂)_mNR₆COR₃; R₃ and R₆ = independently H, (ar)alkyl, (hetero)aryl, alkenyl, alkynyl, cycloalkyl(alkyl), or heteroarylalkyl; R₄ and R₅ = independently H, (ar)alkyl, (hetero)aryl, alkenyl, alkynyl, cycloalkyl(alkyl), heteroarylalkyl, acyl, alkoxy carbonyl, alkylthiocarbonyl, or alkylcarbonyl; or NR₄R₅ = heterocyclyl; m = 0-8; and pharmaceutically acceptable salts thereof] were prepared as serine protease factor Xa inhibitors. For example, 1-(tert-butoxycarbonylamino)cyclopentanecarboxylic acid was condensed with 4-bromoaniline using EEDQ and TEA in CHCl₃ to give the amide (55%). Coupling with 2-(methylthio)benzeneboronic acid in the presence of tetrabutylammonium bromide and Na₂CO₃, H₂O, Pd(PPh₃)₄ in toluene provided the biphenyl derivative (57%). Oxidation to the mesyl derivative with m-CPBA

in

EtOAc (79%), followed by treatment with TFA in DCM and reaction with 4-chlorophenyl isocyanate using TEA in THF gave the desired urea II (82%). The latter suppressed cleavage of a fluorogenic substrate by human factor Xa (3 pM) with a IC₅₀ value of 38 nM and increased prothrombin clotting time by 2-fold at a concentration of 13.46 μM. Thus, I and pharmaceutically acceptable compns. comprising them are useful as therapeutic agents for treating or preventing disease states in mammals characterized by abnormal thrombosis (no data).

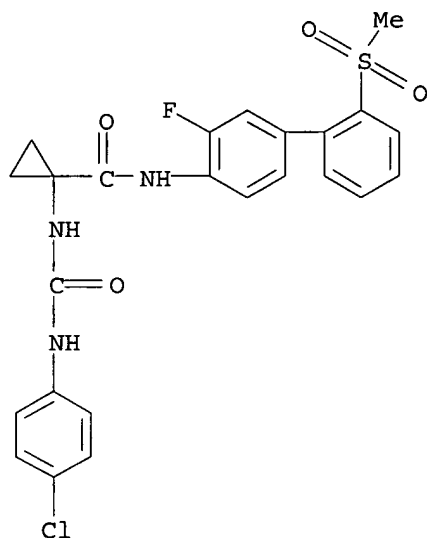
IT **675833-67-7P**, 1-[3-(4-Chlorophenyl)ureido]cyclopropanecarboxylic acid N-[3-fluoro-2'-(methanesulfonyl)biphenyl-4-yl]amide
675833-71-3P, 1-[3-(4-Chlorophenyl)ureido]cyclopropanecarboxylic acid N-(3-fluoro-2'-sulfamoylbiphenyl-4-yl)amide **675833-75-7P**, 2-[3-(4-Chlorophenyl)ureido]-N-[3-fluoro-2'-(methanesulfonyl)biphenyl-4-yl]-2-methylpropionamide **675833-79-1P**, 2-[3-(4-

Chlorophenyl)ureido]-N-(3-fluoro-2'-sulfamoylbiphenyl-4-yl)-2-methylpropionamide **675834-06-7P**, (1S,2S)-1-[3-(4-Chlorophenyl)ureido]-2-hydroxymethylcyclopropanecarboxylic acid N-[3-fluoro-2'-(methanesulfonyl)biphenyl-4-yl]amide **675834-11-4P**, (1R,2S)-1-[3-(4-Chlorophenyl)ureido]-2-hydroxymethylcyclopropanecarboxylic acid N-[3-fluoro-2'-(methanesulfonyl)biphenyl-4-yl]amide **675834-22-7P**, 2-[3-(4-Chlorophenyl)-1-(cyclopropylmethyl)ureido]-N-[3-fluoro-2'-(methanesulfonyl)biphenyl-4-yl]acetamide
 RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(factor Xa inhibitor; preparation of [phenylureido(hetero)cyclyl]carboxamides as factor Xa inhibitors for treatment of abnormal thrombosis)

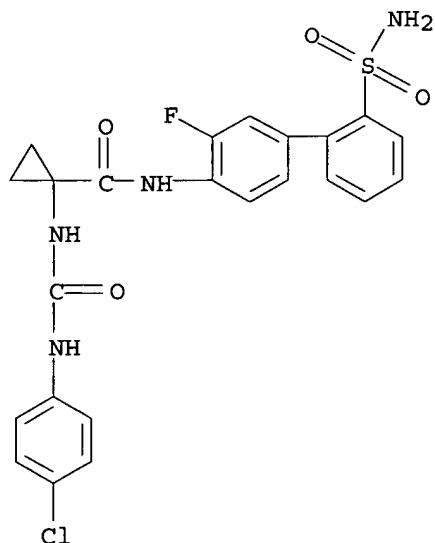
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CN Cyclopropanecarboxamide, 1-[[[(4-chlorophenyl)amino]carbonyl]amino]-N-[3-fluoro-2'-(methylsulfonyl)[1,1'-biphenyl]-4-yl]- (9CI) (CA INDEX NAME)



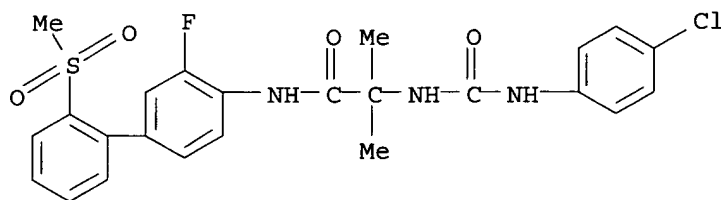
RN 675833-71-3 HCAPLUS

CN Cyclopropanecarboxamide, N-[2'-(aminosulfonyl)-3-fluoro[1,1'-biphenyl]-4-yl]-1-[[[(4-chlorophenyl)amino]carbonyl]amino]- (9CI) (CA INDEX NAME)



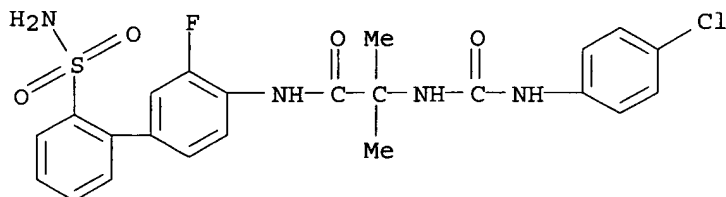
RN 675833-75-7 HCAPLUS

CN Propanamide, 2-[[[(4-chlorophenyl)amino]carbonyl]amino]-N-[3-fluoro-2'-(methylsulfonyl)[1,1'-biphenyl]-4-yl]-2-methyl- (9CI) (CA INDEX NAME)



RN 675833-79-1 HCAPLUS

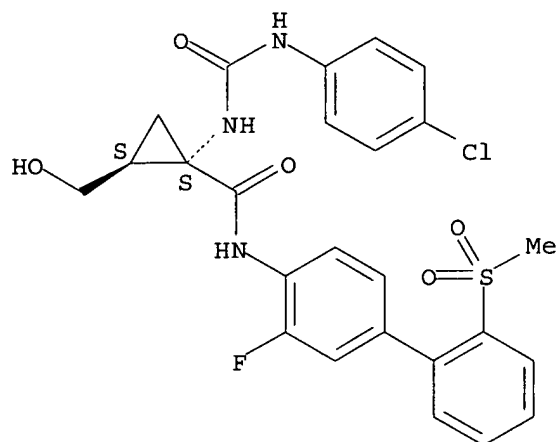
CN Propanamide, N-[2'-(aminosulfonyl)-3-fluoro[1,1'-biphenyl]-4-yl]-2-[[[(4-chlorophenyl)amino]carbonyl]amino]-2-methyl- (9CI) (CA INDEX NAME)



RN 675834-06-7 HCAPLUS

CN Cyclopropanecarboxamide, 1-[[[(4-chlorophenyl)amino]carbonyl]amino]-N-[3-fluoro-2'-(methylsulfonyl)[1,1'-biphenyl]-4-yl]-2-(hydroxymethyl)-, (1S,2S)- (9CI) (CA INDEX NAME)

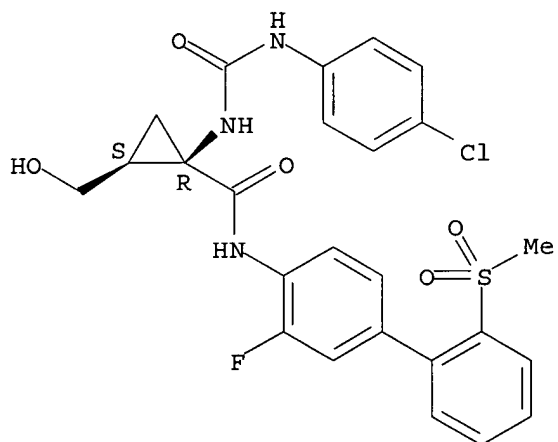
Absolute stereochemistry.



RN 675834-11-4 HCAPLUS

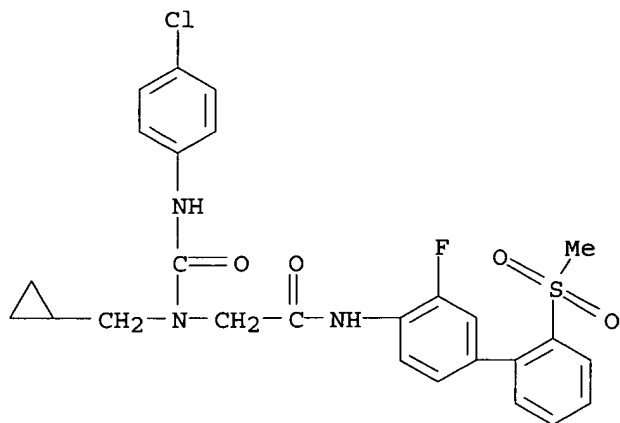
CN Cyclopropanecarboxamide, 1-[[[(4-chlorophenyl)amino]carbonyl]amino]-N-[3-fluoro-2'-(methylsulfonyl)[1,1'-biphenyl]-4-yl]-2-(hydroxymethyl)-, (1R,2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 675834-22-7 HCAPLUS

CN Acetamide, 2-[[[(4-chlorophenyl)amino]carbonyl](cyclopropylmethyl)amino]-N-[3-fluoro-2'-(methylsulfonyl)[1,1'-biphenyl]-4-yl]- (9CI) (CA INDEX NAME)



IT 675834-14-7P

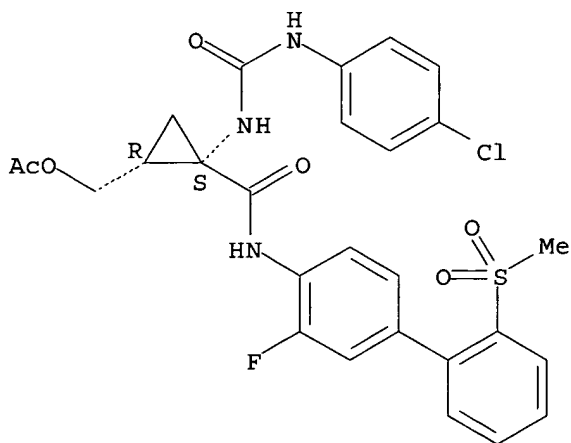
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(intermediate; preparation of [phenylureido(hetero)cyclyl]carboxamides as factor Xa inhibitors for treatment of abnormal thrombosis)

RN 675834-14-7 HCAPLUS

CN Cyclopropanecarboxamide, 2-[(acetyloxy)methyl]-1-[[[(4-chlorophenyl)amino]carbonyl]amino]-N-[3-fluoro-2'-(methylsulfonyl)[1,1'-biphenyl]-4-yl]-, (1S,2R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

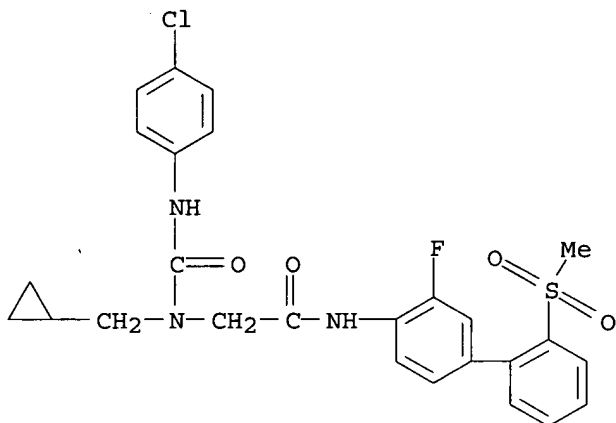


REFERENCE COUNT:

2

THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L25 ANSWER 1 OF 8 REGISTRY COPYRIGHT 2005 ACS on STN
RN 675834-22-7 REGISTRY
ED Entered STN: 16 Apr 2004
CN Acetamide, 2-[[[(4-chlorophenyl)amino]carbonyl](cyclopropylmethyl)amino]-N-[3-fluoro-2'-(methylsulfonyl)[1,1'-biphenyl]-4-yl]- (9CI) (CA INDEX NAME)
OTHER NAMES:
CN 2-[3-(4-Chlorophenyl)-1-(cyclopropylmethyl)ureido]-N-[3-fluoro-2'-(methanesulfonyl)biphenyl-4-yl]acetamide
FS 3D CONCORD
MF C26 H25 Cl F N3 O4 S
SR CA
LC STN Files: CA, CAPLUS, TOXCENTER, USPATFULL

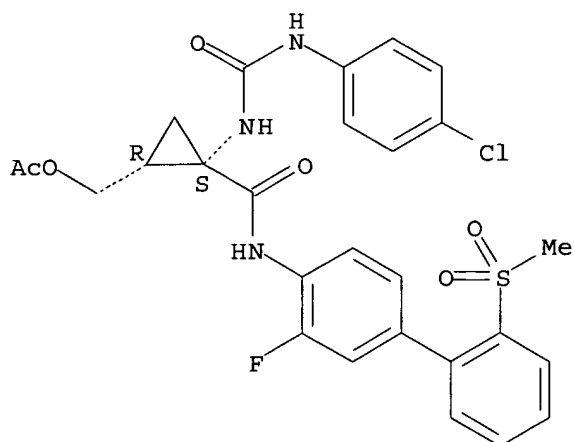


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1 REFERENCES IN FILE CAPLUS (1907 TO DATE)

L25 ANSWER 2 OF 8 REGISTRY COPYRIGHT 2005 ACS on STN
RN 675834-14-7 REGISTRY
ED Entered STN: 16 Apr 2004
CN Cyclopropanecarboxamide, 2-[(acetyloxy)methyl]-1-[[[(4-chlorophenyl)amino]carbonyl]amino]-N-[3-fluoro-2'-(methylsulfonyl)[1,1'-biphenyl]-4-yl]-, (1S,2R)- (9CI) (CA INDEX NAME)
FS STEREOSEARCH
MF C27 H25 Cl F N3 O6 S
SR CA
LC STN Files: CA, CAPLUS, TOXCENTER, USPATFULL

Absolute stereochemistry.



PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

1 REFERENCES IN FILE CA (1907 TO DATE)
1 REFERENCES IN FILE CAPLUS (1907 TO DATE)

L25 ANSWER 3 OF 8 REGISTRY COPYRIGHT 2005 ACS on STN

RN 675834-11-4 REGISTRY

ED Entered STN: 16 Apr 2004

CN Cyclopropanecarboxamide, 1-[[[(4-chlorophenyl)amino]carbonyl]amino]-N-[3-fluoro-2'-(methanesulfonyl)[1,1'-biphenyl]-4-yl]-2-(hydroxymethyl)-, (1R,2S)-(9CI) (CA INDEX NAME)

OTHER NAMES:

CN (1R,2S)-1-[3-(4-Chlorophenyl)ureido]-2-hydroxymethylcyclopropanecarboxylic acid N-[3-fluoro-2'-(methanesulfonyl)biphenyl-4-yl]amide

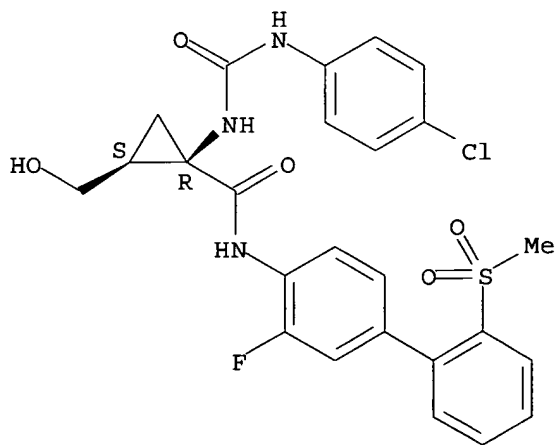
FS STEREOSEARCH

MF C25 H23 Cl F N3 O5 S

SR CA

LC STN Files: CA, CAPLUS, TOXCENTER, USPATFULL

Absolute stereochemistry.



PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

1 REFERENCES IN FILE CA (1907 TO DATE)
1 REFERENCES IN FILE CAPLUS (1907 TO DATE)

L25 ANSWER 4 OF 8 REGISTRY COPYRIGHT 2005 ACS on STN

RN 675834-06-7 REGISTRY

ED Entered STN: 16 Apr 2004

CN Cyclopropanecarboxamide, 1-[[[(4-chlorophenyl)amino]carbonyl]amino]-N-[3-fluoro-2'-(methylsulfonyl)[1,1'-biphenyl]-4-yl]-2-(hydroxymethyl)-, (1S,2S)-(9CI) (CA INDEX NAME)

OTHER NAMES:

CN (1S,2S)-1-[3-(4-Chlorophenyl)ureido]-2-hydroxymethylcyclopropanecarboxylic acid N-[3-fluoro-2'-(methanesulfonyl)biphenyl-4-yl]amide

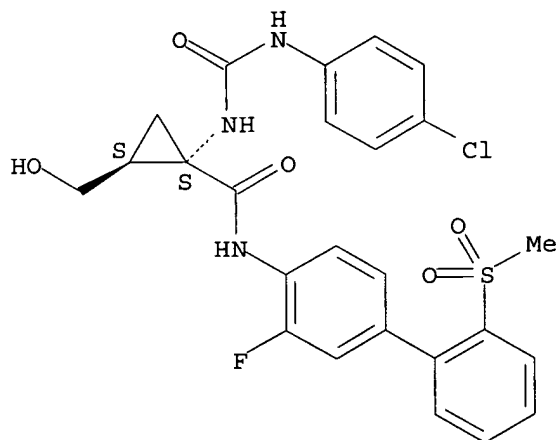
FS STEREOSEARCH

MF C25 H23 Cl F N3 O5 S

SR CA

LC STN Files: CA, CAPLUS, TOXCENTER, USPATFULL

Absolute stereochemistry.



PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

1 REFERENCES IN FILE CA (1907 TO DATE)
1 REFERENCES IN FILE CAPLUS (1907 TO DATE)

L25 ANSWER 5 OF 8 REGISTRY COPYRIGHT 2005 ACS on STN

RN 675833-79-1 REGISTRY

ED Entered STN: 16 Apr 2004

CN Propanamide, N-[2'-(aminosulfonyl)-3-fluoro[1,1'-biphenyl]-4-yl]-2-[[[(4-chlorophenyl)amino]carbonyl]amino]-2-methyl- (9CI) (CA INDEX NAME)

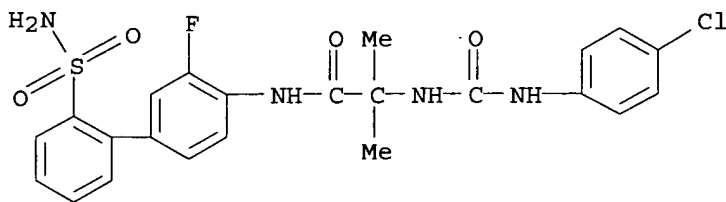
OTHER NAMES:

CN 2-[3-(4-Chlorophenyl)ureido]-N-(3-fluoro-2'-sulfamoylbiphenyl-4-yl)-2-methylpropionamide

FS 3D CONCORD

MF C23 H22 Cl F N4 O4 S

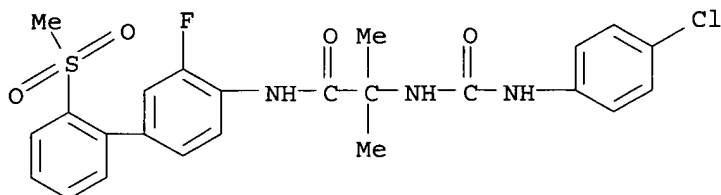
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 1 REFERENCES IN FILE CAPLUS (1907 TO DATE)

L25 ANSWER 6 OF 8 REGISTRY COPYRIGHT 2005 ACS on STN
 RN 675833-75-7 REGISTRY
 ED Entered STN: 16 Apr 2004
 CN Propanamide, 2-[[[(4-chlorophenyl)amino]carbonyl]amino]-N-[3-fluoro-2'-(methanesulfonyl)[1,1'-biphenyl]-4-yl]-2-methyl- (9CI) (CA INDEX NAME)
 OTHER NAMES:
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 FS 3D CONCORD
 MF C24 H23 Cl F N3 O4 S
 SR CA
 LC STN Files: CA, CAPLUS, TOXCENTER, USPATFULL

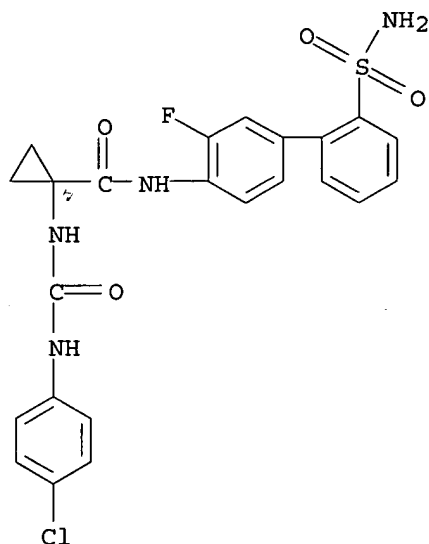


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1 REFERENCES IN FILE CA (1907 TO DATE)
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L25 ANSWER 7 OF 8 REGISTRY COPYRIGHT 2005 ACS on STN
 RN 675833-71-3 REGISTRY
 ED Entered STN: 16 Apr 2004
 CN Cyclopropanecarboxamide, N-[2'-(aminosulfonyl)-3-fluoro[1,1'-biphenyl]-4-yl]-1-[[[(4-chlorophenyl)amino]carbonyl]amino]- (9CI) (CA INDEX NAME)
 OTHER NAMES:
 CN 1-[3-(4-Chlorophenyl)ureido]cyclopropanecarboxylic acid
 N-(3-fluoro-2'-sulfamoylbiphenyl-4-yl)amide
 MF C23 H20 Cl F N4 O4 S
 SR CA

LC STN Files: CA, CAPLUS, TOXCENTER, USPATFULL



PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

1 REFERENCES IN FILE CA (1907 TO DATE)
1 REFERENCES IN FILE CAPLUS (1907 TO DATE)

L25 ANSWER 8 OF 8 REGISTRY COPYRIGHT 2005 ACS on STN

RN 675833-67-7 REGISTRY

ED Entered STN: 16 Apr 2004

CN Cyclopropanecarboxamide, 1-[[[(4-chlorophenyl)amino]carbonyl]amino]-N-[3-fluoro-2'-(methanesulfonyl)[1,1'-biphenyl]-4-yl]- (9CI) (CA INDEX NAME)

OTHER NAMES:

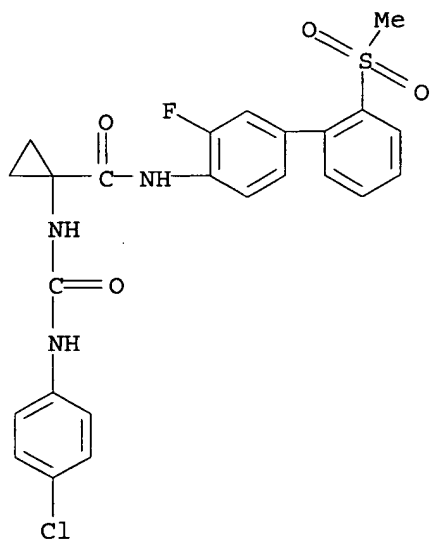
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N-[3-fluoro-2'-(methanesulfonyl)biphenyl-4-yl]amide

MF C24 H21 Cl F N3 O4 S

SR CA

LC STN Files: CA, CAPLUS, TOXCENTER, USPATFULL



PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

- 1 REFERENCES IN FILE CA (1907 TO DATE)
- 1 REFERENCES IN FILE CAPLUS (1907 TO DATE)